



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 174202**

**TO: Tamthom Truong**  
**Location: rem/5B19/5C18**  
**Art Unit: 1624**  
**Wednesday, December 28, 2005**  
**Case Serial Number: 09/960477**

**From: John DiNatale**  
**Location: Biotech-Chem Library**  
**REM-1B65**  
**Phone: (571)272-2557**

**john.dinatale@uspto.gov**

### **Search Notes**

Examiner Truong,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

John DiNatale  
Technical Information Specialist  
STIC Biotech/Chem Library  
(571)272-2557



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174202

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☐ TC 2900 ☐ TC 3600 ☐ TC 3700 ☐ Law Lib ☐ Other

**Your Contact Information:**

\* indicates mandatory information.

Your Name: \*Email Address:   
(e.g., Susan.Smith@uspto.gov)\*Employee No.: \*Art Unit/Org.: \*Office Location: \*Phone No.: Mailbox No.: \*Case serial number: 

If not related to a patent application, please enter NA here.

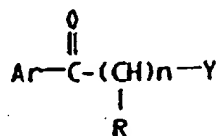
Class / Subclass(es) Earliest Priority Filing Date: **Format preferred for results:**☒ Paper ☒ Diskette ☐ E-mail**Provide detailed information on your search topic:**

Query attached

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Include the elected species or structures, keywords, synonyms, acronyms, and
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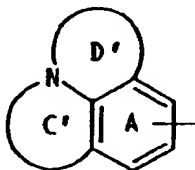
**AMENDMENTS TO THE CLAIMS:**

1. (Previously presented) A method for improving excretory potency of an urinary bladder, which comprises administering a therapeutically effective amount of a non-carbamate amine compound having an acetylcholinesterase-inhibiting action to a patient in need thereof, wherein the non-carbamate amine compound has the formula:



wherein

Ar is a group of the formula:



wherein

ring A is an optionally substituted benzene ring;

rings C' and D' are each a 5- to 9-membered nitrogen-containing heterocycle which may further be substituted by oxo;

n is an integer from 1 to 10;

R is hydrogen or an optionally substituted hydrocarbon group;

Y is an optionally substituted amino or an optionally substituted nitrogen-containing saturated heterocyclic group;  
or a salt thereof.

2-4. (Canceled)



# STIC SEARCH RESULTS FEEDBACK FORM

## Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor  
Remsen Bldg. 01 D86  
571-272-2507

## Voluntary Results Feedback Form

➤ I am an examiner in Workgroup:  Example: 1610

➤ Relevant prior art **found**, search results used as follows:

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature  
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art **not found**:

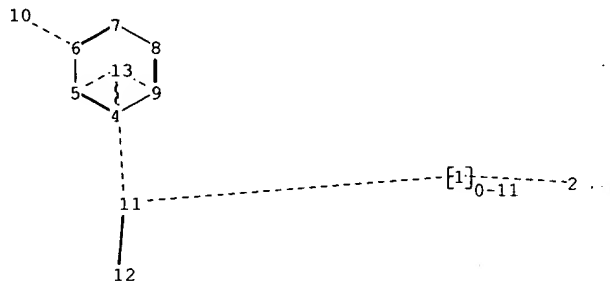
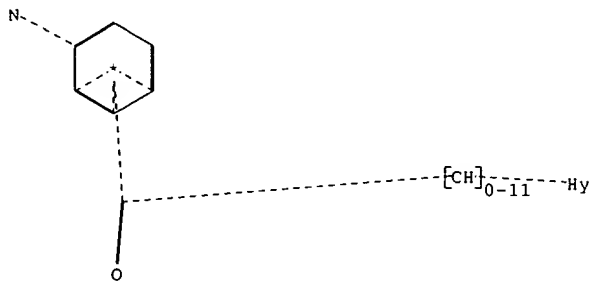
- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library Remsen Bldg.







chain nodes :

1 2 11 12

ring nodes :

4 5 6 7 8 9 10

chain bonds :

1-2 1-11 11-12

ring bonds :

4-5 4-9 5-6 6-7 6-10 7-8 8-9

exact/norm bonds :

1-2 1-11 6-10 11-12

normalized bonds :

4-5 4-9 5-6 6-7 7-8 8-9

STRUCTURES

Connectivity :

11:3 E exact RC ring/chain 12:1 E exact RC ring/chain

Match level :

1:CLASS 2:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS 11:CLASS

12:CLASS 13:Atom

Generic attributes :

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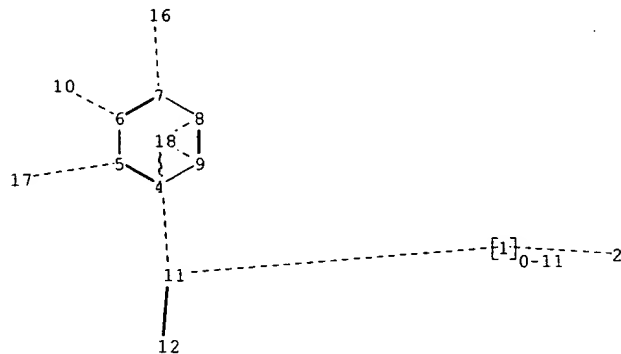
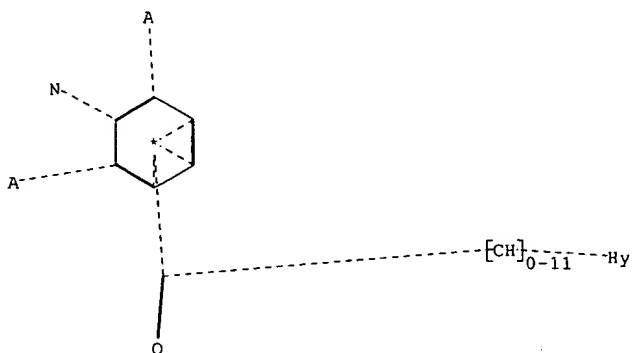
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Element Count :

Node 2: Limited

N,N1

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chain nodes :

1 2 11 12

ring nodes :

4 5 6 7 8 9 10 16 17

chain bonds :

1-2 1-11 11-12

ring bonds :

4-5 4-9 5-6 5-17 6-7 6-10 7-8 7-16 8-9

exact/norm bonds :

1-2 1-11 5-17 6-10 7-16 11-12

normalized bonds :

4-5 4-9 5-6 6-7 7-8 8-9

Connectivity :

11:3 E exact RC ring/chain 12:1 E exact RC ring/chain

Match level :

1:CLASS 2:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS 11:CLASS

12:CLASS 16:CLASS 17:Atom 18:Atom

Generic attributes :

2:

Saturation : Saturated

Element Count :

Node 2: Limited

N,N1

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# STRUCTURE SEARCH

Truong 09/960477

12/27/2005

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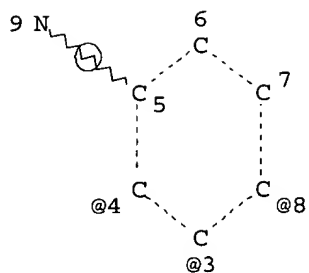
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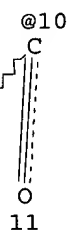
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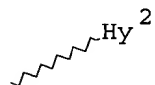
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L9 STR



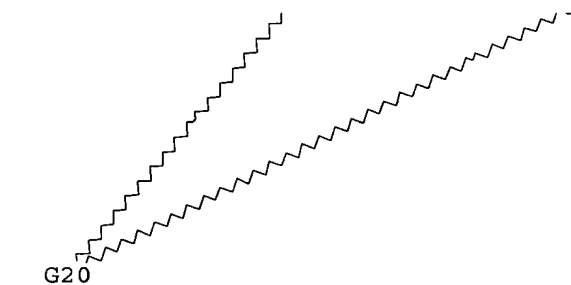
1 C M1



Page 1-A



Page 1-B



12

Page 2-A

REP G20=(0-11) 1-2 1-10

VPA 10-3/4/8 U

NODE ATTRIBUTES:

HCOUNT	IS M1	AT	1
NSPEC	IS C	AT	1
NSPEC	IS C	AT	2
NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5

```

NSPEC   IS R      AT   6
NSPEC   IS R      AT   7
NSPEC   IS R      AT   8
NSPEC   IS R      AT   9
NSPEC   IS C      AT  10
NSPEC   IS C      AT  11
NSPEC   IS C      AT  12
CONNECT IS E3  RC AT  10
CONNECT IS E1  RC AT  11
DEFAULT MLEVEL IS ATOM
MLEVEL   IS CLASS AT   1   3   4   5   6   9  10  11
GGCAT    IS SAT   AT   2
DEFAULT ECLEVEL IS LIMITED
ECOUNT   IS M1 N   AT   2

```

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 12

## STEREO ATTRIBUTES: NONE

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L15      1768235 SEA FILE=REGISTRY ABB=ON  PLU=ON  L11 AND O>0
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L20      1057   SEA FILE=REGISTRY SUB=L18  SSS FUL L9

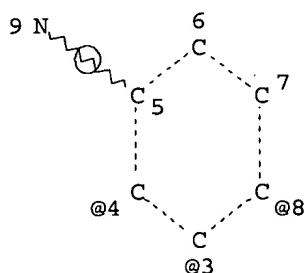
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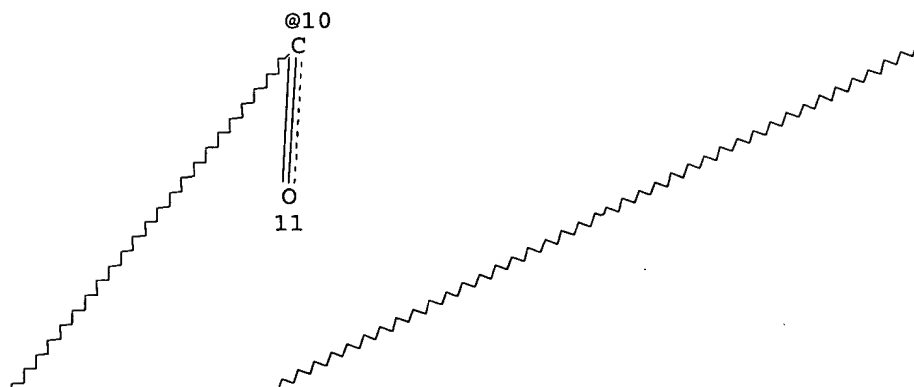
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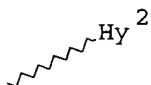
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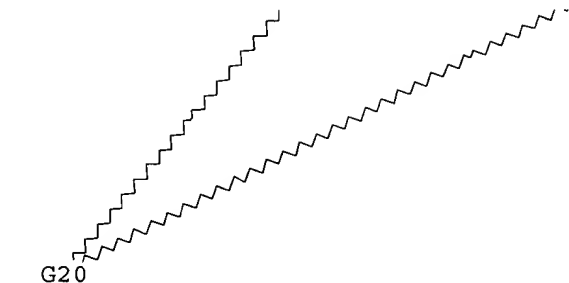
1 C M1



Page 1-A



Page 1-B



12

Page 2-A

REP G20=(0-11) 1-2 1-10

VPA 10-3/4/8 U

NODE ATTRIBUTES:

HCOUNT	IS	M1	AT	1
NSPEC	IS	C	AT	1
NSPEC	IS	C	AT	2
NSPEC	IS	R	AT	3
NSPEC	IS	R	AT	4
NSPEC	IS	R	AT	5
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NSPEC	IS	R	AT	7
NSPEC	IS	R	AT	8
NSPEC	IS	R	AT	9
NSPEC	IS	C	AT	10
NSPEC	IS	C	AT	11
NSPEC	IS	C	AT	12
CONNECT	IS	E3	RC	AT 10
CONNECT	IS	E1	RC	AT 11
DEFAULT	MLEVEL	IS	ATOM	
MLEVEL	IS	CLASS	AT	1 3 4 5 6 9 10 11
GGCAT	IS	SAT	AT	2
DEFAULT	ECLEVEL	IS	LIMITED	
ECOUNT	IS	M1 N	AT	2

GRAPH ATTRIBUTES:

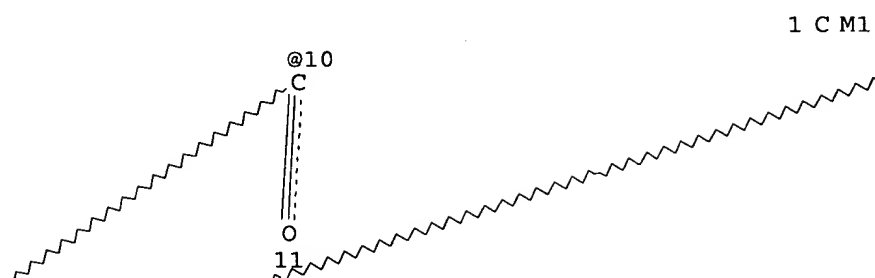
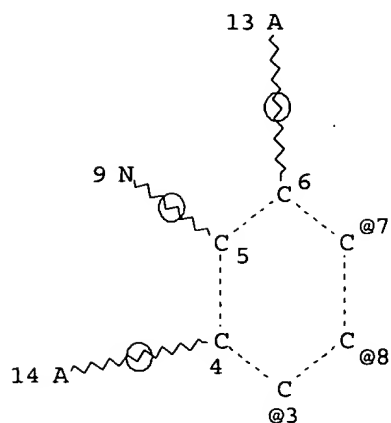
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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L15	1768235	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L11 AND O>0
L18	948578	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L15 AND 46.150.18/RID
L20	1057	SEA	FILE=REGISTRY	SUB=L18	SSS FUL	L9
L22			STR			

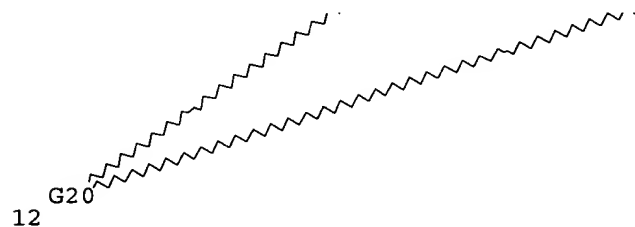




Page 1-A



Page 1-B



Page 2-A

REP G20=(0-11) 1-2 1-10

VPA 10-3/7/8 U

NODE ATTRIBUTES:

HCOUNT	IS M1	AT	1
NSPEC	IS C	AT	1
NSPEC	IS C	AT	2
NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5
NSPEC	IS R	AT	6
NSPEC	IS R	AT	7
NSPEC	IS R	AT	8

NSPEC IS R AT 9  
NSPEC IS C AT 10  
NSPEC IS C AT 11  
NSPEC IS C AT 12  
NSPEC IS R AT 13  
NSPEC IS R AT 14  
CONNECT IS E3 RC AT 10  
CONNECT IS E1 RC AT 11  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 1 3 4 5 6 9 10 11 13  
GGCAT IS SAT AT 2  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS M1 N AT 2

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE  
L24 345 SEA FILE=REGISTRY SUB=L20 SSS FUL L22

100.0% PROCESSED 1057 ITERATIONS 345 ANSWERS  
SEARCH TIME: 00.00.01

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=> d que nos L25  
L9 STR  
L11 2080956 SEA FILE=REGISTRY ABB=ON PLU=ON NRRS>2 AND C6/ESS AND N>1  
L15 1768235 SEA FILE=REGISTRY ABB=ON PLU=ON L11 AND O>0  
L18 948578 SEA FILE=REGISTRY ABB=ON PLU=ON L15 AND 46.150.18/RID  
L20 1057 SEA FILE=REGISTRY SUB=L18 SSS FUL L9  
L22 STR

L24 345 SEA FILE=REGISTRY SUB=L20 SSS FUL L22  
 L25 18 SEA FILE=CAPLUS ABB=ON PLU=ON L24

=> d que nos L31

L9 STR  
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 L15 1768235 SEA FILE=REGISTRY ABB=ON PLU=ON L11 AND O>0  
 L18 948578 SEA FILE=REGISTRY ABB=ON PLU=ON L15 AND 46.150.18/RID  
 L20 1057 SEA FILE=REGISTRY SUB=L18 SSS FUL L9  
 L22 STR  
 L24 345 SEA FILE=REGISTRY SUB=L20 SSS FUL L22  
 L31 16 SEA FILE=CAPLUS ABB=ON PLU=ON L24 (L) (BAC OR DMA OR PAC OR  
 PKT OR THU)/RL

=> d que nos L37

L9 STR  
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 L15 1768235 SEA FILE=REGISTRY ABB=ON PLU=ON L11 AND O>0  
 L18 948578 SEA FILE=REGISTRY ABB=ON PLU=ON L15 AND 46.150.18/RID  
 L20 1057 SEA FILE=REGISTRY SUB=L18 SSS FUL L9  
 L32 68 SEA FILE=CAPLUS ABB=ON PLU=ON L20 (L) (BAC OR DMA OR PAC OR  
 PKT OR THU)/RL  
 L34 3384738 SEA FILE=CAPLUS ABB=ON PLU=ON ?URIN?/BI  
 L35 76253 SEA FILE=CAPLUS ABB=ON PLU=ON ?BLAD?/BI  
 L36 90038 SEA FILE=CAPLUS ABB=ON PLU=ON ?ACETYLCHOLIN?/BI  
 L37 16 SEA FILE=CAPLUS ABB=ON PLU=ON L32 AND (L34 OR L35 OR L36)

=> d que nos L38

L9 STR  
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 L15 1768235 SEA FILE=REGISTRY ABB=ON PLU=ON L11 AND O>0  
 L18 948578 SEA FILE=REGISTRY ABB=ON PLU=ON L15 AND 46.150.18/RID  
 L20 1057 SEA FILE=REGISTRY SUB=L18 SSS FUL L9  
 L22 STR  
 L24 345 SEA FILE=REGISTRY SUB=L20 SSS FUL L22  
 L25 18 SEA FILE=CAPLUS ABB=ON PLU=ON L24  
 L34 3384738 SEA FILE=CAPLUS ABB=ON PLU=ON ?URIN?/BI  
 L35 76253 SEA FILE=CAPLUS ABB=ON PLU=ON ?BLAD?/BI  
 L36 90038 SEA FILE=CAPLUS ABB=ON PLU=ON ?ACETYLCHOLIN?/BI  
 L38 16 SEA FILE=CAPLUS ABB=ON PLU=ON L25 AND (L34 OR L35 OR L36)

=> d que nos L39

L9 STR  
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 L20 1057 SEA FILE=REGISTRY SUB=L18 SSS FUL L9  
 L21 85 SEA FILE=CAPLUS ABB=ON PLU=ON L20  
 L34 3384738 SEA FILE=CAPLUS ABB=ON PLU=ON ?URIN?/BI  
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 L36 90038 SEA FILE=CAPLUS ABB=ON PLU=ON ?ACETYLCHOLIN?/BI  
 L39 18 SEA FILE=CAPLUS ABB=ON PLU=ON L21 AND (L34 OR L35 OR L36)

=> s L25 or L31 or L37 or L38 or L39

L53 20 L25 OR L31 OR L37 OR L38 OR L39

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L9 STR

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L15 1768235 SEA FILE=REGISTRY ABB=ON PLU=ON L11 AND O>0

L18 948578 SEA FILE=REGISTRY ABB=ON PLU=ON L15 AND 46.150.18/RID

L20 1057 SEA FILE=REGISTRY SUB=L18 SSS FUL L9

L22 STR

L24 345 SEA FILE=REGISTRY SUB=L20 SSS FUL L22

L28 10 SEA L24

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=> d stat que nos L29

L9 STR

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L15 1768235 SEA FILE=REGISTRY ABB=ON PLU=ON L11 AND O>0

L18 948578 SEA FILE=REGISTRY ABB=ON PLU=ON L15 AND 46.150.18/RID

L20 1057 SEA FILE=REGISTRY SUB=L18 SSS FUL L9

L22 STR

L24 345 SEA FILE=REGISTRY SUB=L20 SSS FUL L22

L29 1 SEA FILE=PROUSDDR ABB=ON PLU=ON L24

=> file toxcenter

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See <http://www.nlm.nih.gov/mesh/>

[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_med\\_data\\_changes.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html)

[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_2006\\_MeSH.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html)

for a description of changes.

=> d stat que nos L30

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L15      1768235 SEA FILE=REGISTRY ABB=ON  PLU=ON  L11 AND O>0
L18      948578  SEA FILE=REGISTRY ABB=ON  PLU=ON  L15 AND 46.150.18/RID
L20      1057   SEA FILE=REGISTRY SUB=L18  SSS FUL L9
L22          STR
L24      345   SEA FILE=REGISTRY SUB=L20  SSS FUL L22
L30      2     SEA FILE=TOXCENTER ABB=ON  PLU=ON  L24
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PROCESSING COMPLETED FOR L30  
PROCESSING COMPLETED FOR L29  
PROCESSING COMPLETED FOR L28

L54 29 DUP REM L53 L30 L29 L28 (4 DUPLICATES REMOVED)

ANSWERS 1-20 FROM FILE CAPLUS  
ANSWER 21 FROM FILE PROUSDDR  
ANSWERS 22-29 FROM FILE USPATFULL

=> d ibib abs hitind hitstr L54 1-20; d iall L54 21; d ibib abs hitstr L54 22-29

L54 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2005:14256 CAPLUS  
DOCUMENT NUMBER: 142:100419  
TITLE: Preventive/remedy for **urinary** disturbance  
INVENTOR(S): Doi, Takayuki; Nagabukuro, Hiroshi  
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan  
SOURCE: PCT Int. Appl., 258 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000354	A1	20050106	WO 2004-JP9486	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

JP 2005035996

A2

20050210

JP 2004-192142

20040629

PRIORITY APPLN. INFO.:

JP 2003-188761

A 20030630

AB It is intended to provide a preventive/remedy for **urinary** disturbance containing a compound, which shows an **acetylcholine** esterase inhibitory activity but substantially has no butyrylcholine esterase inhibitory activity, showing no side effect and being safe and efficacious without inhibiting the **urine** collection function; a preventive/remedy for dry mouth induced by the administration of a remedy for **urinary** disturbance and a preventive/remedy for hyperactive **bladder** not accompanied by dry mouth; and a method of screening a substance preventing/treating **urinary** disturbance without inhibiting the **urine** collection function characterized by comprising **measuring** and comparing the **acetylcholine** esterase inhibitory activity and the butyrylcholine esterase inhibitory activity of a test compound. A selective **acetylcholine** esterase inhibitory activity of 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (I) was in vitro tested. Also, I inhibited oxybutynin-induced hyposalivation in rats.

IC ICM A61K045-00  
 ICS A61P013-02; A61P013-10; A61P043-00

CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1

ST **acetylcholine** esterase inhibitor **urinary** disturbance  
 remedy

IT **Urinary** system, disease  
 (dysuria; preventive/remedy for **urinary** disturbance containing selective **acetylcholine** esterase inhibitors)

IT **Bladder**, disease  
 (hyperreflexia; preventive/remedy for **urinary** disturbance containing selective **acetylcholine** esterase inhibitors)

IT Drug delivery systems  
 (injections; preventive/remedy for **urinary** disturbance containing selective **acetylcholine** esterase inhibitors)

IT Cholinergic antagonists  
 (preventive/remedy for dry mouth induced by drugs for **urinary** disturbance)

IT Human  
 (preventive/remedy for **urinary** disturbance containing selective **acetylcholine** esterase inhibitors)

IT Drug screening  
 (screening of preventive/remedy for **urinary** disturbance by using **acetylcholine** esterase and butyrylcholine esterase)

IT Drug delivery systems  
 (tablets, coated; preventive/remedy for **urinary** disturbance containing selective **acetylcholine** esterase inhibitors)

IT Mouth, disease  
 (xerostomia, prevention of; preventive/remedy for dry mouth induced by drugs for **urinary** disturbance)

IT 9000-81-1, **Acetylcholine** esterase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibition of; preventive/remedy for **urinary** disturbance  
 containing selective **acetylcholine** esterase inhibitors)

IT 9001-08-5, Butyrylcholine esterase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (no effect on; preventive/remedy for **urinary** disturbance  
 containing selective **acetylcholine** esterase inhibitors)

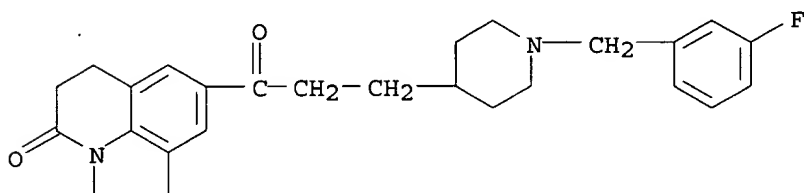
IT 5633-20-5, Oxybutynin  
 RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (preventive/remedy for dry mouth induced by drugs for **urinary**  
 disturbance)

IT 263248-16-4 562040-40-8 562040-41-9 562040-49-7  
 562040-92-0 562040-93-1 819805-99-7  
 RL: PAC (Pharmacological activity); THU (Therapeutic  
 use); BIOL (Biological study); USES (Uses)  
 (preventive/remedy for **urinary** disturbance containing selective  
**acetylcholine** esterase inhibitors)

IT 263248-16-4  
 RL: PAC (Pharmacological activity); THU (Therapeutic  
 use); BIOL (Biological study); USES (Uses)  
 (preventive/remedy for **urinary** disturbance containing selective  
**acetylcholine** esterase inhibitors)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-  
 piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:1102191 CAPLUS

DOCUMENT NUMBER: 143:379654

TITLE: Differential effects of TAK-802, a selective  
**acetylcholinesterase** inhibitor, and carbamate  
**acetylcholinesterase** inhibitors on contraction  
 of the detrusor smooth muscle of the guinea pig

AUTHOR(S): Nagabukuro, Hiroshi; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Division, Takeda  
 Pharmaceutical Company Limited, Yodogawa-ku, Osaka,  
 532-8686, Japan

SOURCE: Life Sciences (2005), 77(26), 3276-3286

CODEN: LIFSAK; ISSN: 0024-3205

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aim of this study was to compare the effects of TAK-802, a novel  
**acetylcholinesterase** (AChE) inhibitor, and carbamate AChE

inhibitors on the detrusor smooth muscle contractility in vitro using isometric tension measurements. The effects of drugs on the nicotine-induced contractions and basal tone of the isolated detrusor muscle of the guinea pig were examined. All of the drugs, namely, TAK-802, distigmine, neostigmine and pyridostigmine, enhanced the nicotine-induced contractions of the muscle strips in a concentration-dependent manner. On the other hand, while neostigmine and pyridostigmine markedly increased the basal tone, and distigmine slightly but significantly increased the basal tone, TAK-802 had no influence on the basal tone of the muscle strips at all. However, following cotreatment with tetraisopropyl pyrophosphoramidate, a selective butyrylcholinesterase (BuChE) inhibitor, TAK-802 also did increase the basal tone. The increase of the basal tone by all of the above treatments was completely abolished by atropine. These results reveal that while all the four AChE inhibitors enhanced endogenous **acetylcholine**-induced contractions, their effects on the basal tone were clearly different. The effect of carbamate AChE inhibitors of increasing the basal tone could be partly attributed to their dual inhibition of both AChE and BuChE, because both cholinesterases may play a critical role in maintaining the resting tension of the **urinary bladder**. TAK-802, however, did not increase the basal tone of the detrusor muscle strips, probably because of its selective inhibitory effect against AChE. The effect of carbamate AChE inhibitors on the basal tone of the detrusor muscle may explain the decrease of **bladder** compliance observed in our previous study on guinea pigs as well as the deterioration of the **bladder**-storage function reported with their clin. use.

CC 1-11 (Pharmacology)

ST TAK802 distigmine neostigmine pyridostigmine **acetylcholinesterase** inhibitor **bladder** detrusor contraction

IT **Bladder**

(detrusor muscle; differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT Muscle contraction

(differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT **Bladder, disease**

(storage function; differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT Drug interactions

(synergistic; differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT **Bladder, disease**

(voiding dysfunction; differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT 101-26-8, Pyridostigmine bromide 114-80-7, Neostigmine bromide 513-00-8, Tetraisopropyl pyrophosphoramidate 15876-67-2, Distigmine bromide 263248-16-4, TAK-802

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study);



## USES (Uses)

(differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT 54-11-5, (-)-Nicotine

RL: BSU (Biological study, unclassified); BIOL (Biological study) (differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT 9000-81-1, **Acetylcholinesterase** 9001-08-5, Butyrylcholinesterase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT 263248-16-4, TAK-802

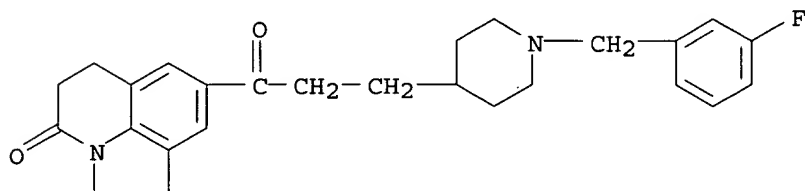
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study);

## USES (Uses)

(differential effects of TAK-802, a selective **acetylcholinesterase** inhibitor, and carbamate **acetylcholinesterase** inhibitors on contraction of detrusor smooth muscle of guinea pig)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2002:907186 CAPLUS

DOCUMENT NUMBER: 138:350

TITLE: Agents and crystals for improving excretory potency of **urinary bladder**

INVENTOR(S): Ishihara, Yuji; Doi, Takayuki; Nagabukuro, Hiroshi; Ishichi, Yuji

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 65 pp., Cont.-in-part of U. S. Ser. No. 787,288.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002177593	A1	20021128	US 2001-960477	20010924
JP 2003192593	A2	20030709	JP 2002-354856	19990929
JP 2003201237	A2	20030718	JP 2002-354833	19990929
JP 3512786	B2	20040331		
WO 2000018391	A1	20000406	WO 1999-JP5367	19990930
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1604653	A1	20051214	EP 2005-20329	19990930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2001335576	A2	20011204	JP 2001-85190	20010323
PRIORITY APPLN. INFO.:				
			JP 1998-276677	A 19980930
			WO 1999-JP5367	W 19990930
			US 2001-787288	A2 20010315
			JP 2001-85190	A 20010323
			JP 1999-275614	A3 19990929
			EP 1999-969675	A3 19990930
			JP 2000-88523	A 20000324

OTHER SOURCE(S): MARPAT 138:350

AB Agents for improving potency of the **urinary bladder** which comprises an amine compound of non-carbamate-type having an **acetylcholinesterase**-inhibiting action. Particularly, crystals of a tricyclic, condensed, heterocyclic derivative are provided, which possess an excellent action to inhibit **acetylcholinesterase** and an action to improve the excretory potency of **urinary bladder**. As an example, crystals of 8-[3-[1-[(3-fluorophenyl)-methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one or a salt thereof and pharmaceutical compns. containing them are disclosed.

IC ICM A61K031-55  
ICS A61K031-54; A61K031-535; A61K031-495; A61K031-40; A61K031-445

INCL 514227500; 514217120; 514238800; 514252120; 514317000; 514428000; 514649000

CC 1-12 (Pharmacology)  
Section cross-reference(s): 27, 63

ST amine **urinary bladder** excretion  
**acetylcholinesterase** inhibitor; heterocyclic deriv amine  
**urinary bladder** excretion crystal

IT **Bladder**  
Human  
(agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT Prostate gland, disease  
(benign hyperplasia, dysuria from; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT Hyperplasia  
(benign prostatic, dysuria from; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT Brain, disease  
(block, dysuria from **bladder** disease in; agents and crystals

- for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Drug delivery systems  
(carriers; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Nerve, disease  
(diabetic neuropathy, dysuria from **bladder** disease in; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Aging, animal  
Diabetes mellitus  
Multiple sclerosis  
Parkinson's disease  
(dysuria from **bladder** disease in; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT **Urinary** system, disease  
(dysuria, treatment; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT **Urine**  
(excretion; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT **Bladder**, disease  
(hypotonic, dysuria from; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Micturition  
(improvement of; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Spinal cord, disease  
(injury, dysuria from **bladder** disease in; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT **Bladder**, disease  
(neurogenic, dysuria from; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Muscle contraction  
(of **urinary bladder**, stimulation of; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Surgery  
(post, dysuria from **bladder** disease in; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Injury  
(spinal cord, dysuria from **bladder** disease in; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Drug delivery systems  
(tablets; agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)
- IT Adrenoceptor antagonists  
( $\alpha$ -, **acetylcholinesterase** inhibitor combined with;

agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT 9000-81-1, **Acetylcholinesterase**  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT 263248-16-4P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT 263248-18-6P 263248-36-8P 263248-38-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT 321-64-2, 9-Amino-1,2,3,4-tetrahydroacridine 120011-70-3 142851-99-8  
 142852-09-3 142852-11-7 142852-41-3 142852-51-5 142872-94-4  
 167633-54-7 263248-14-2 263248-22-2  
 263248-23-3 263248-24-4 263248-25-5  
 263248-26-6 263248-27-7 263248-28-8  
 263248-29-9 263248-30-2 263248-31-3  
 263248-32-4 263248-33-5 263248-34-6  
 263248-35-7 263248-37-9 263248-39-1  
 263248-40-4 263248-41-5 263248-48-2  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT 100-39-0, Benzyl bromide 456-41-7, 3-Fluorobenzyl bromide 57369-32-1  
 131417-49-7, 3-(1-Acetyl-4-piperidinyl)propionic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

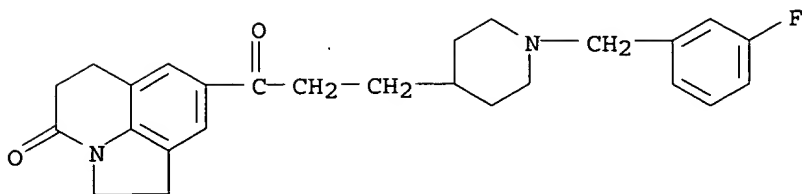
IT 142853-09-6P 215040-77-0P 215047-86-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT 377724-20-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

IT 263248-16-4P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



IT 263248-18-6P 263248-36-8P 263248-38-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

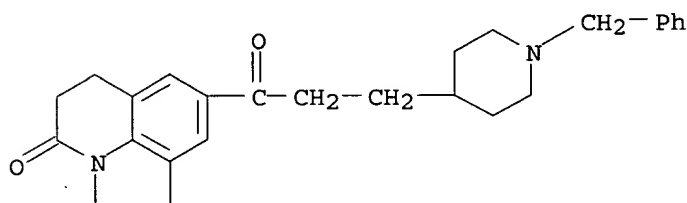
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

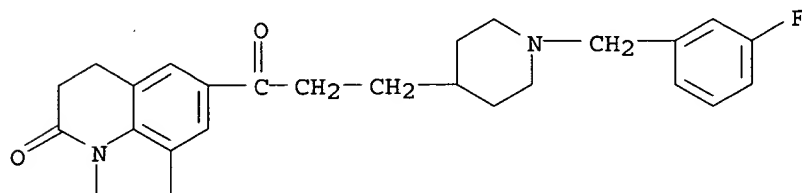
RN 263248-18-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 263248-36-8 CAPLUS

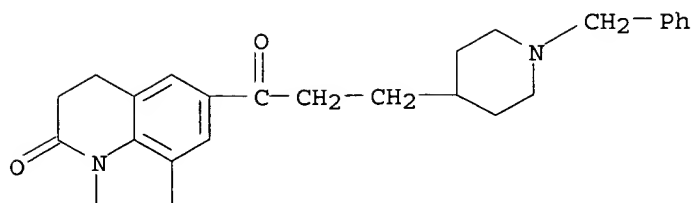
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-38-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



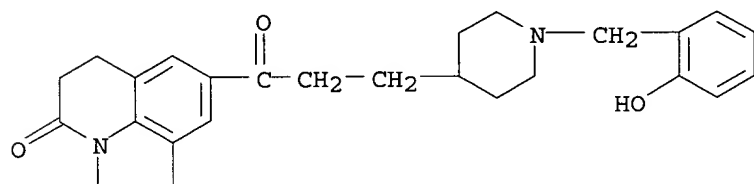
● HCl

IT 263248-14-2 263248-22-2 263248-23-3  
 263248-24-4 263248-25-5 263248-26-6  
 263248-27-7 263248-28-8 263248-29-9  
 263248-30-2 263248-31-3 263248-32-4  
 263248-33-5 263248-34-6 263248-35-7  
 263248-37-9 263248-39-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)

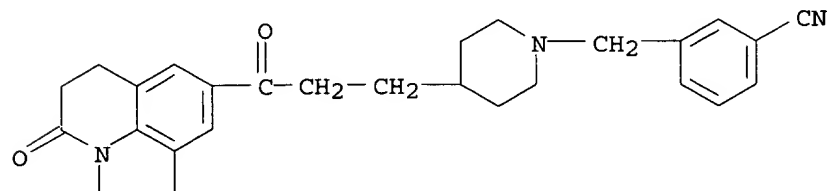
RN 263248-14-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 263248-22-2 CAPLUS

CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)

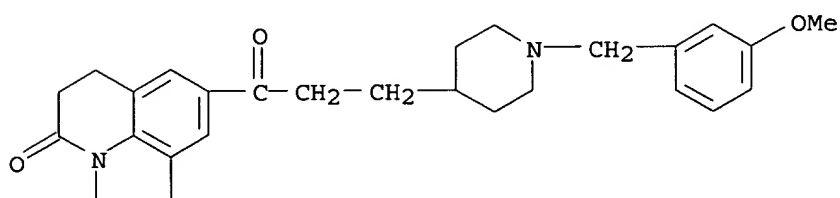


● HCl

RN 263248-23-3 CAPLUS

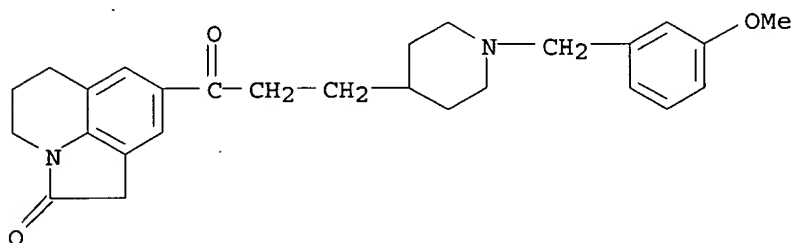
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-

methoxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



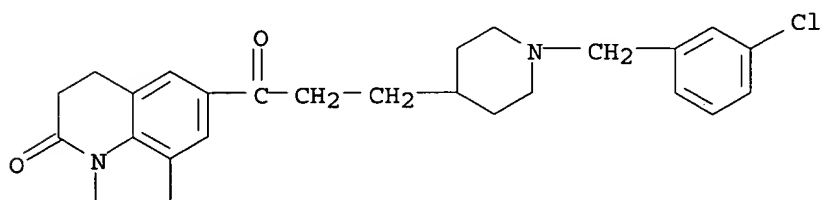
● HCl

RN 263248-24-4 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

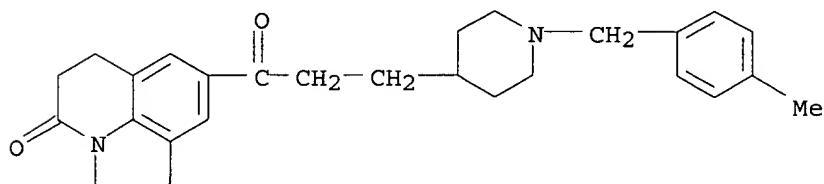
RN 263248-25-5 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

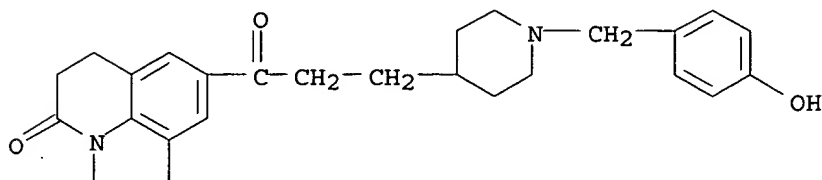
RN 263248-26-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



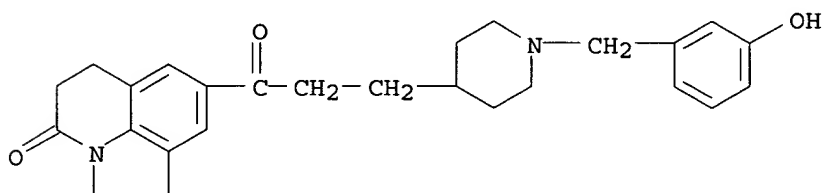


hydroxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



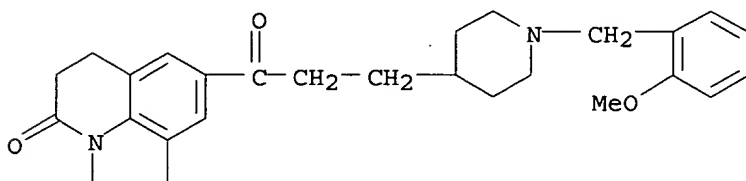
● HCl

RN 263248-30-2 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



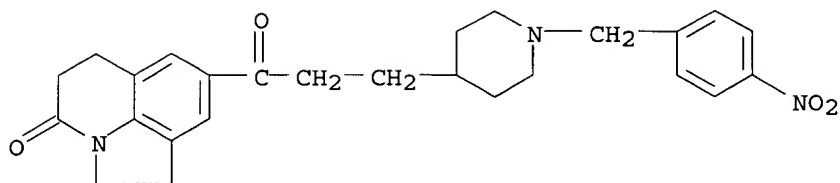
● HCl

RN 263248-31-3 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



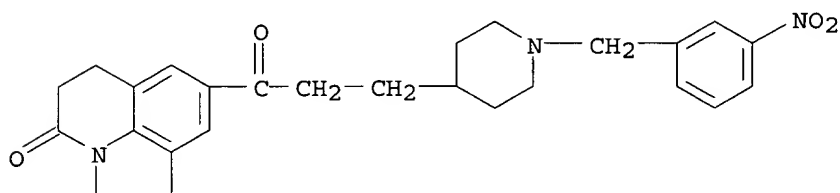
● HCl

RN 263248-32-4 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



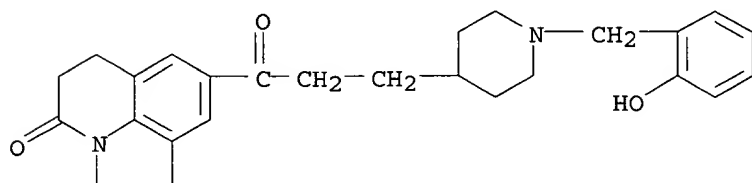
● HCl

RN 263248-33-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



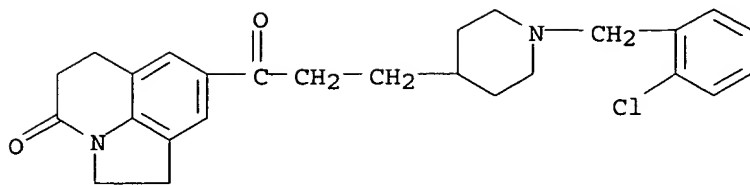
● HCl

RN 263248-34-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



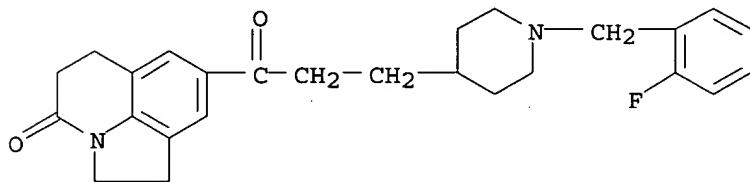
● HCl

RN 263248-35-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



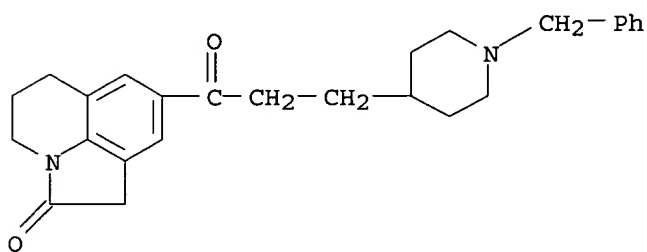
● HCl

RN 263248-37-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

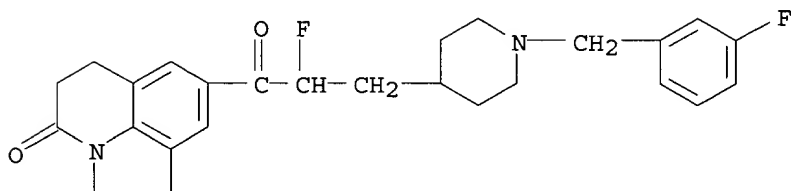
RN 263248-39-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 377724-20-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (agents and crystals for improving excretory potency of **urinary bladder** with **acetylcholinesterase**-inhibiting action)  
 RN 377724-20-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[2-fluoro-3-[1-[(3-

fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI)  
(CA INDEX NAME)



L54 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:348098 CAPLUS

DOCUMENT NUMBER: 143:26477

TITLE: Palladium(II)-catalyzed heterocyclization of  
8-arylethynyl-1,2,3,4-tetrahydroquinolines: A facile  
route to 2-aryl-5,6-dihydro-4H-pyrrolo[3,2,1-  
ij]quinoline derivatives

AUTHOR(S): Marchand, Pascal; Puget, Alain; Le Baut, Guillaume;  
Emig, Peter; Czech, Michael; Guenther, Eckhard

CORPORATE SOURCE: Laboratoires de Chimie Organique et de Chimie  
Therapeutique, UPRES EA 1155, Faculte de Pharmacie,  
Nantes, F-44035, Fr.

SOURCE: Tetrahedron (2005), 61(16), 4035-4041

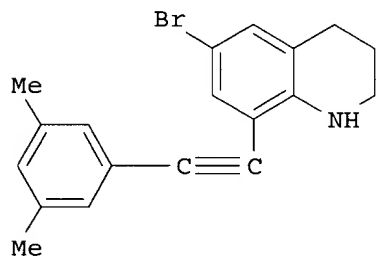
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

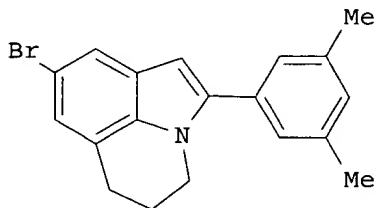
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

AB Dihydropyrroloquinolines have been synthesized reacting  
8-arylethynyl-1,2,3,4-tetrahydroquinolines in the presence of  
palladium(II) chloride catalyst. Heteroannulation has been achieved in

good yields and tolerates substituents on the tetrahydroquinoline, including bromo, cyano, and ester. E.g., PdCl<sub>2</sub> catalyzed the heterocyclization of 8-arylethynyl-1,2,3,4-tetrahydroquinoline I to give 2-aryl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinoline II.

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

IT 5382-49-0P 5570-85-4P 6366-06-9P 22190-35-8P, 6-Bromo-1,2,3,4-tetrahydroquinoline 50741-36-1P, 6-Cyano-1,2,3,4-tetrahydroquinoline 276856-72-5P 853021-62-2P 853021-63-3P 853021-65-5P 853021-67-7P 853021-68-8P 853021-69-9P 853021-70-2P 853021-71-3P 853021-72-4P 853021-73-5P 853021-75-7P 853021-76-8P 853021-77-9P  
**853021-78-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-aryl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolines by palladium(II)-catalyzed heterocyclization of 8-arylethynyl-1,2,3,4-tetrahydroquinolines)

IT 853021-74-6P **853021-79-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-aryl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolines by palladium(II)-catalyzed heterocyclization of 8-arylethynyl-1,2,3,4-tetrahydroquinolines)

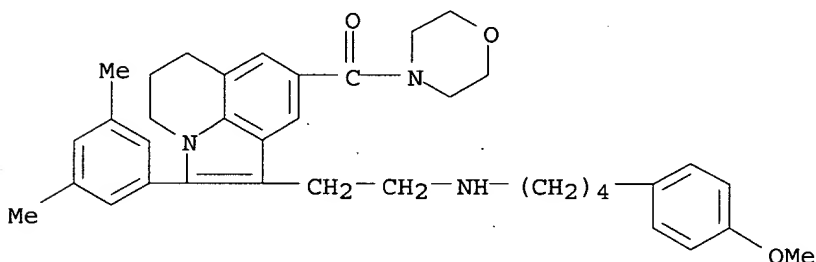
IT **853021-78-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-aryl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolines by palladium(II)-catalyzed heterocyclization of 8-arylethynyl-1,2,3,4-tetrahydroquinolines)

RN 853021-78-0 CAPLUS

CN Morpholine, 4-[[2-(3,5-dimethylphenyl)-5,6-dihydro-1-[2-[[4-(4-methoxyphenyl)butyl]amino]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]carbonyl]- (9CI) (CA INDEX NAME)



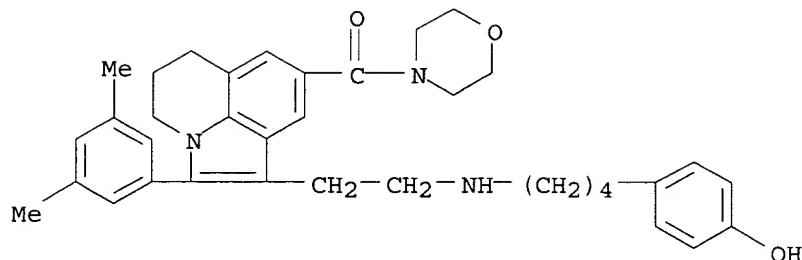
IT **853021-79-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-aryl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolines by palladium(II)-catalyzed heterocyclization of 8-arylethynyl-1,2,3,4-tetrahydroquinolines)

RN 853021-79-1 CAPLUS

CN Morpholine, 4-[[2-(3,5-dimethylphenyl)-5,6-dihydro-1-[2-[[4-(4-hydroxyphenyl)butyl]amino]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:150024 CAPLUS

DOCUMENT NUMBER: 142:385066

TITLE: Novel **acetylcholinesterase** inhibitor as increasing agent on rhythmic **bladder** contractions: SAR of 8-{3-[1-(3-fluorobenzyl)piperidin-4-yl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (TAK-802) and related compounds

AUTHOR(S): Ishichi, Yuji; Sasaki, Mitsuru; Setoh, Masaki; Tsukamoto, Tetsuya; Miwatashi, Seiji; Nagabukuro, Hiroshi; Okanishi, Satoshi; Imai, Shigemitsu; Saikawa, Reiko; Doi, Takayuki; Ishihara, Yuji

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Pharmaceutical Research Division, Takeda Pharmaceutical Company Ltd, Yodogawa-ku, Osaka, 532-8686, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(6), 1901-1911

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:385066

AB As part of an on-going investigation to develop an increasing agent on rhythmic **bladder** contractions, 1-aryl-3-(1-benzylpiperidin-4-yl)propanones were synthesized and examined as noncarbamate **acetylcholinesterase** (AChE) inhibitors. Among compds. with various aryl groups, 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one derivative 9c was found to possess a potent AChE inhibition activity with an IC<sub>50</sub> value of 1.3 nM. The compound 9c increased rhythmic **bladder** contractions in Guinea pigs and rats without affecting the basal intravesical pressure, which suggests that 9c may be useful for the treatment of voiding dysfunction caused by detrusor underactivity.

CC 1-3 (Pharmacology)

Section cross-reference(s): 28

ST tetrahydropyrroloquinolin deriv prepn structure

**acetylcholinesterase** inhibitor **bladder** contraction

IT Structure-activity relationship

(**acetylcholinesterase**-inhibiting; novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT Structure-activity relationship

(**bladder**-contracting; novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT **Bladder**  
 (novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT 9000-81-1, **Acetylcholinesterase**  
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT 142852-88-8P 160300-33-4P 263248-25-5P 263248-29-9P  
 263248-30-2P 263248-34-6P 263248-36-8P  
 263248-37-9P 263248-38-0P 263248-39-1P  
 849935-42-8P 849935-43-9P  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT 142852-51-5  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT 153038-39-2P 263248-22-2P 263248-23-3P  
 263248-31-3P 263248-32-4P 263248-33-5P  
 263248-35-7P 849935-53-1P 849935-54-2P  
 849935-55-3P 849935-61-1P 849935-62-2P  
 849935-63-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT 15876-67-2, Distigmine bromide 142851-86-3 142851-96-5 142852-09-3  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT 100-11-8 100-39-0, Benzyl bromide 446-48-0 456-41-7 459-46-1  
 611-17-6 622-95-7 766-80-3 874-98-6 2746-25-0 3958-57-4  
 3958-60-9 4457-32-3, p-Nitrobenzyl chloroformate 16078-37-8  
 17201-43-3 22115-41-9 27079-92-1, 4-Hydroxybenzyl bromide 28188-41-2  
 51052-79-0 52289-93-7 57369-31-0 57369-32-1 58402-38-3  
 72232-46-3 74597-04-9, 3-Hydroxybenzyl bromide 142853-09-6  
 153038-70-1 158726-30-8 221692-31-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

IT 86208-07-3P 142852-89-9P 153038-71-2P 160300-43-6P 215040-77-0P  
 215047-86-2P 263248-16-4P 263248-20-0P 562038-96-4P  
 562038-97-5P 562038-98-6P 562038-99-7P 849935-44-0P 849935-45-1P  
 849935-46-2P 849935-47-3P 849935-48-4P 849935-49-5P 849935-50-8P  
 849935-51-9P 849935-52-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

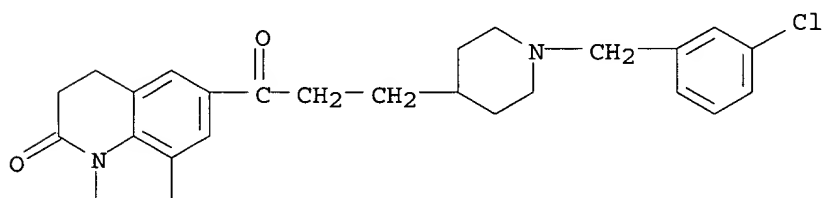
IT 263248-25-5P 263248-29-9P 263248-30-2P  
263248-34-6P 263248-36-8P 263248-37-9P  
263248-38-0P 263248-39-1P 849935-42-8P  
849935-43-9P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)

RN 263248-25-5 CAPLUS

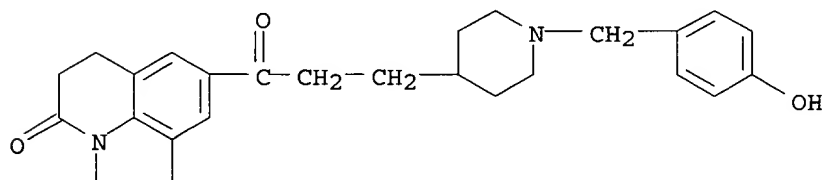
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 263248-29-9 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

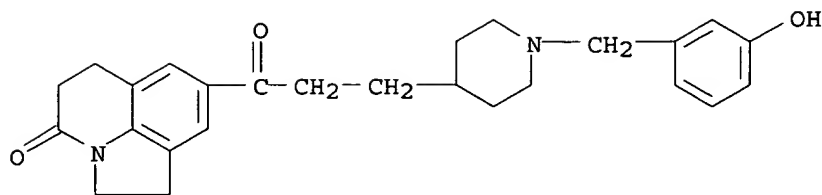


● HCl

RN 263248-30-2 CAPLUS

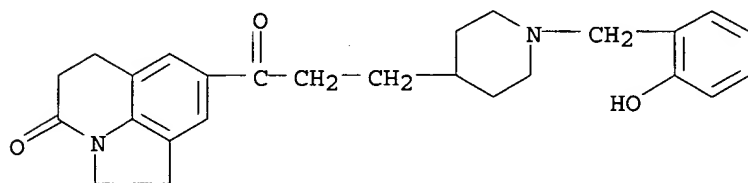
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)





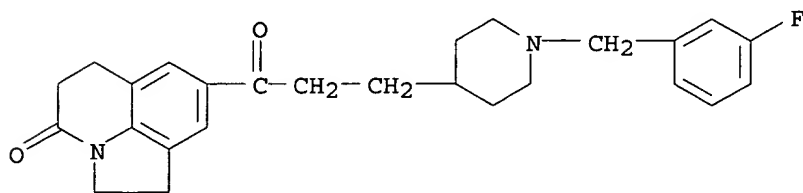
● HCl

RN 263248-34-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



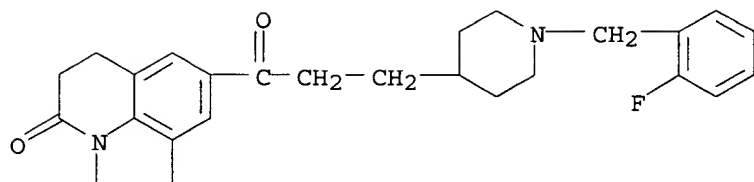
● HCl

RN 263248-36-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



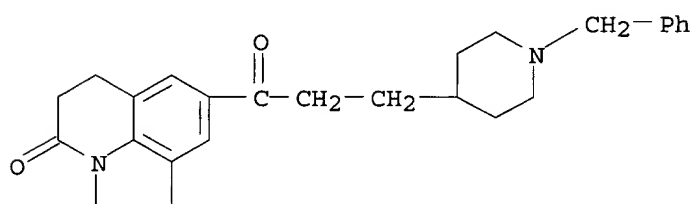
● HCl

RN 263248-37-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



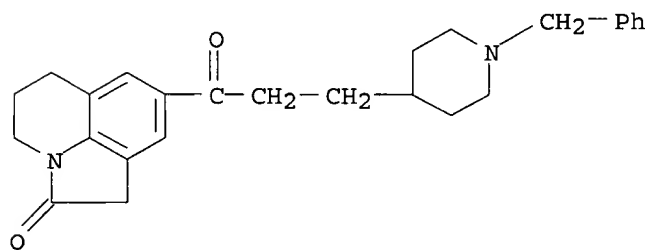
● HCl

RN 263248-38-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



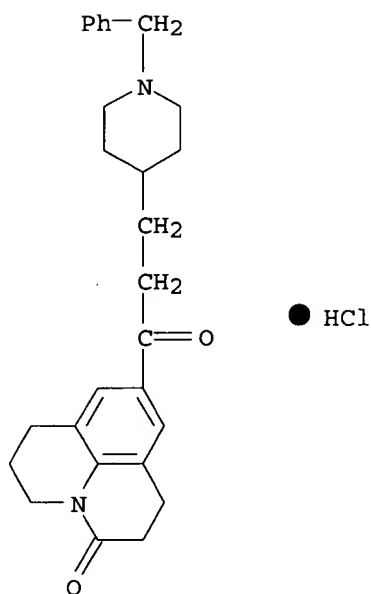
● HCl

RN 263248-39-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

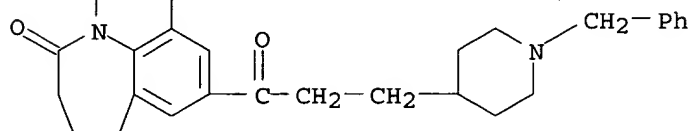


● HCl

RN 849935-42-8 CAPLUS  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



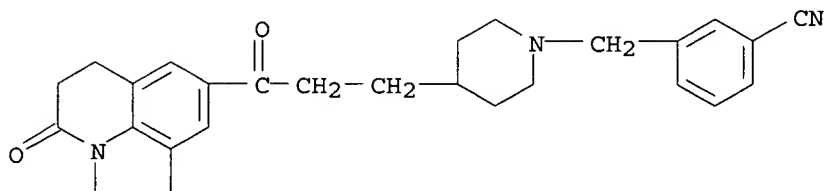
RN 849935-43-9 CAPLUS  
 CN Azepino[3,2,1-hi]indol-4(5H)-one, 1,2,6,7-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

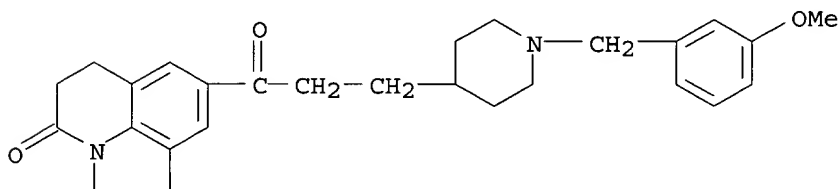
IT 263248-22-2P 263248-23-3P 263248-31-3P  
 263248-32-4P 263248-33-5P 263248-35-7P  
 849935-53-1P 849935-54-2P 849935-55-3P  
 849935-61-1P 849935-62-2P 849935-63-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (novel **acetylcholinesterase** inhibitor as agent increasing  
 rhythmic **bladder** contractions and SAR of TAK-802 and related  
 compds.)

RN 263248-22-2 CAPLUS  
 CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



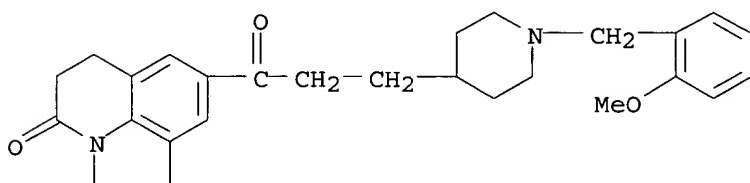
● HCl

RN 263248-23-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



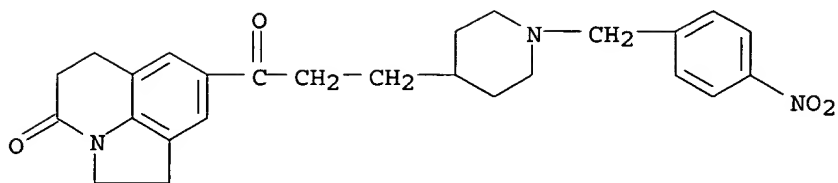
● HCl

RN 263248-31-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



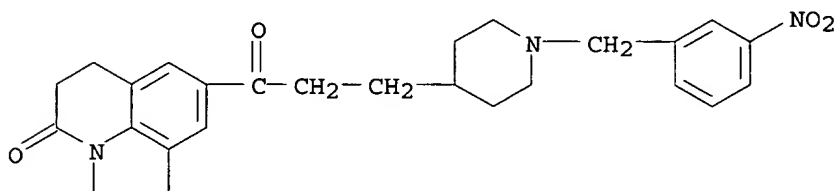
● HCl

RN 263248-32-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



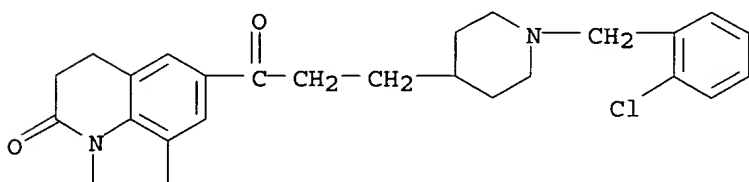
● HCl

RN 263248-33-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



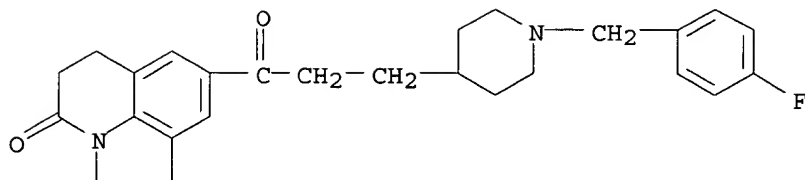
● HCl

RN 263248-35-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



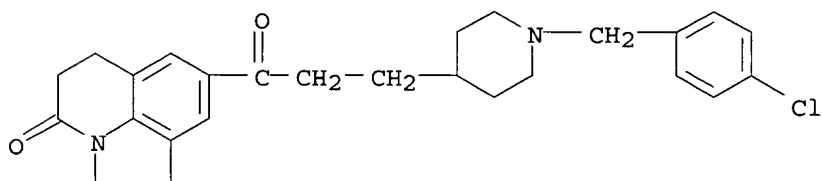
● HCl

RN 849935-53-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



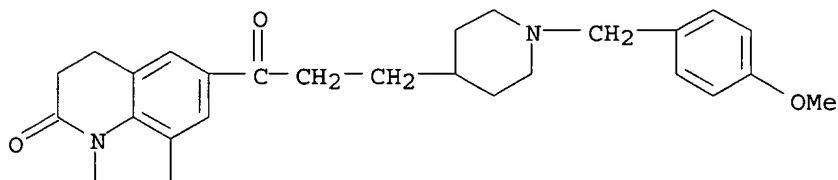
● HCl

RN 849935-54-2 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



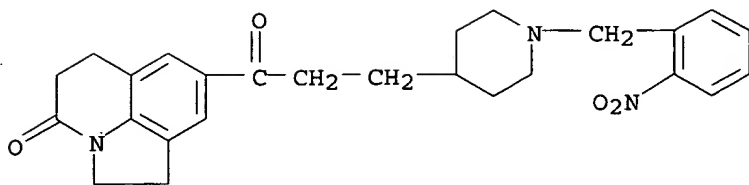
● HCl

RN 849935-55-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



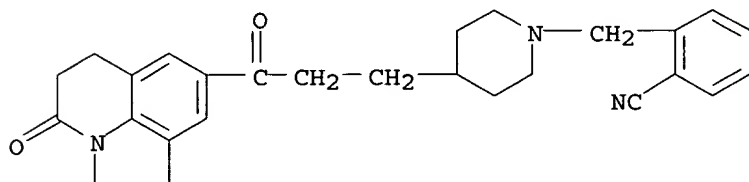
● HCl

RN 849935-61-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



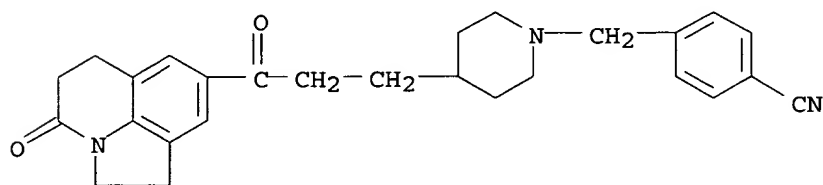
● HCl

RN 849935-62-2 CAPLUS  
 CN Benzonitrile, 2-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

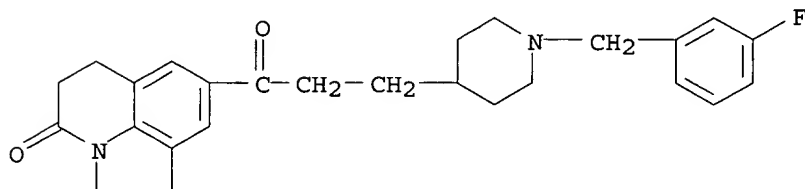
RN 849935-63-3 CAPLUS  
 CN Benzonitrile, 4-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

IT 263248-16-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (novel **acetylcholinesterase** inhibitor as agent increasing rhythmic **bladder** contractions and SAR of TAK-802 and related compds.)  
 RN 263248-16-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-

piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:728810 CAPLUS

DOCUMENT NUMBER: 144:496

TITLE: Effects of the selective **acetylcholinesterase** inhibitor TAK-802 on the voiding behavior and **bladder** mass increase in rats with partial **bladder** outlet obstruction

AUTHOR(S): Hashimoto, Tadatoshi; Nagabukuro, Hiroshi; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Pharmaceutical Company Limited, Osaka, Japan

SOURCE: Journal of Urology (Hagerstown, MD, United States) (2005), 174(3), 1137-1141  
CODEN: JOURAA; ISSN: 0022-5347

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Purpose: We examined the effects of the selective **acetylcholinesterase** (AChE) inhibitor TAK-802 on voiding behavior and residual **urine** volume in rats with partial **bladder** outlet obstruction (BOO) vs rats treated with the nonselective AChE inhibitor distigmine and the muscarinic agonist bethanechol. In addition, the effect of repeat doses of TAK-802 on the **bladder** mass increase associated with BOO was also examined. Materials and methods: Male rats with BOO were used. Six to 8 days after obstruction voiding behavior was observed in a metabolic cage. The animals were then treated orally with 1 drug, and voiding frequency and **urine** volume at each void were measured for 3 h. Subsequently the volume of **urine** retained in the **bladder** (residual **urine**) was measured. In another experiment **bladder** weight in rats with BOO was measured after early repeat doses of TAK-802. Results: BOO increased voiding frequency and decreased average voided volume. TAK-802 and distigmine increased average voided

volume, while not causing any change in voiding frequency. On the other hand, bethanechol increased voiding frequency without affecting average voided volume. While all 3 drugs significantly decreased residual **urine** volume, TAK-802 was most efficacious. In addition, **bladder** weight in the control BOO group was greater (approx. 2.2-fold) than that in the sham operated group and early repeat administration of TAK-802 prevented the **bladder** mass increase. Conclusions: AChE inhibitors decreased residual **urine** volume by restoring voiding function in rats with BOO, although only the effect of TAK-802 was dose dependent. Bethanechol also decreased residual **urine** volume in a dose dependent manner but by increasing voiding frequency. The prevention of a **bladder**



mass increase by TAK-802 treatment may be attributable to its effect on restoring voiding.

CC 1-12 (Pharmacology)

ST **acetylcholinesterase** inhibitor TAK802 distigmine bethanechol

**bladder** outlet obstruction

IT **Bladder**, disease

(obstruction; selective AChE inhibitor TAK-802 compared to distigmine or bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in rat model of BOO)

IT **Bladder**

**Urine**

(selective AChE inhibitor TAK-802 compared to distigmine or bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in rat model of BOO)

IT Muscarinic agonists

(selective AChE inhibitor TAK-802 compared to muscarinic receptor agonist bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in partial BOO rat model)

IT Muscarinic receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(selective AChE inhibitor TAK-802 compared to muscarinic receptor agonist bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in partial BOO rat model)

IT Drug targets

(selective AChE inhibitor TAK-802 compared to non-selective AChE inhibitor distigmine and muscarinic agonist bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function in partial BOO rat model)

IT 263248-16-4, TAK-802

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective AChE inhibitor TAK-802 compared to distigmine or bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in rat model of BOO)

IT 590-63-6, Bethanechol chloride

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective AChE inhibitor TAK-802 compared to muscarinic receptor agonist bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in partial BOO rat model)

IT 9000-81-1, **Acetylcholinesterase**

RL: BSU (Biological study, unclassified); BIOL (Biological study)

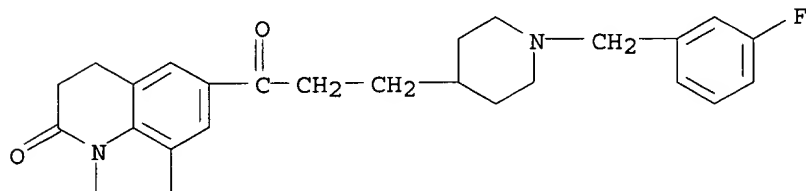
(selective AChE inhibitor TAK-802 compared to non-selective AChE inhibitor distigmine dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in partial BOO rat model)

IT 15876-67-2, Distigmine bromide

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective AChE inhibitor TAK-802 compared to non-selective AChE inhibitor distigmine dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in partial BOO rat model)

IT 263248-16-4, TAK-802  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (selective AChE inhibitor TAK-802 compared to distigmine or bethanechol dose-dependently and effectively reduced residual **urine** volume by restoring voiding function and prevented **bladder** mass raise in rat model of BOO)  
 RN 263248-16-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:481228 CAPLUS

DOCUMENT NUMBER: 143:166409

TITLE: Effects of TAK-802, a novel **acetylcholinesterase** inhibitor, and tamsulosin, an  $\alpha$ 1-adrenoceptor antagonist, and their synergistic effects on the urodynamic characteristics in a guinea-pig model of functional **bladder** outlet obstruction

AUTHOR(S): Nagabukuro, Hiroshi; Hashimoto, Tadatoshi; Iwata, Masashi; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Laboratories I, Pharmaceutical Research Division, Takeda Pharmaceutical Company Limited, Osaka, Japan

SOURCE: BJU International (2005), 95(7), 1071-1076

CODEN: BJINFO; ISSN: 1464-4096

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB OBJECTIVE: To investigate the effects of TAK-802, a potent **acetylcholinesterase** inhibitor, and tamsulosin, an  $\alpha$ 1-adrenoceptor antagonist, and their concomitant administration on the urodynamic characteristics in a guinea-pig model of functional **bladder** outlet obstruction. MATERIALS AND METHODS: Cystometry was performed in urethane-anesthetized guinea pigs, and various urodynamic variables, including the maximum flow rate (Qmax), voiding efficiency, maximum intravesical pressure (Pvesmax) and intravesical pressure at Qmax (PvesQmax), were measured before and after administration of the drugs in combination and alone. RESULTS: Continuous i.v. infusion of phenylephrine, an  $\alpha$ 1-adrenoceptor agonist (1-6  $\mu$ g/animal/min), dose-dependently decreased the Qmax and voiding efficiency, and increased the Pvesmax and PvesQmax, possibly by constricting urethral smooth muscle. In this functional urethral constriction model, both TAK-802 at 1 and 10  $\mu$ g/kg and tamsulosin at 3 and 10  $\mu$ g/kg (i.v.) caused increasing effects on the Qmax and voiding efficiency. The effects were more

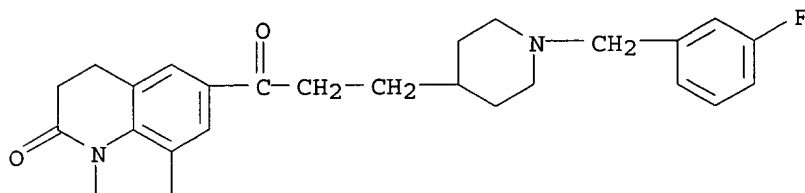
apparent with combined exposure. Although the Pvesmax was dose-dependently increased by TAK-802 alone, the effects were completely abolished by concomitant treatment with tamsulosin. CONCLUSION: These results suggest that TAK-802 and tamsulosin have synergistic effects in increasing the Qmax and voiding efficiency, and TAK-802 does not inhibit the decreasing effect of tamsulosin on urethral resistance. That TAK-802 increased Pves when administered alone implies that monotherapy using an **acetylcholinesterase** inhibitor should be withheld in patients with voiding dysfunction caused by obvious **bladder** outlet obstruction with benign prostatic hyperplasia, to avoid disorders of the upper **urinary** tracts, and it should be used with an  $\alpha$ 1-adrenoceptor antagonist. Whether TAK-802 combined with an  $\alpha$ 1-adrenoceptor antagonist confers addnl. clin. benefit is not yet known.

- CC 1-10 (Pharmacology)
- ST TAK802 tamsulosin **bladder** outlet obstruction
- IT Combination chemotherapy  
(TAK-802 combination with tamsulosin synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT **Bladder**, disease  
(obstruction; TAK-802 combination with tamsulosin synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT Drug interactions  
(synergistic; TAK-802 combination with tamsulosin synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT Adrenoceptor agonists  
( $\alpha$ 1-;  $\alpha$ 1-adrenoceptor agonist tamsulosin alone and combination with TAK-802 synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT Adrenoceptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\alpha$ 1;  $\alpha$ 1-adrenoceptor agonist tamsulosin alone and combination with TAK-802 synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT 263248-16-4, TAK-802  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(TAK-802 alone and combination with tamsulosin synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT 9000-81-1, **Acetylcholinesterase**  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(**acetylcholinesterase** inhibitor TAK-802 alone and combination with tamsulosin synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT 106133-20-4, Tamsulosin  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(tamsulosin alone and combination with TAK-802 synergistically increased Qmax, voiding efficiency in guinea-pig model of functional **bladder** outlet obstruction)
- IT 263248-16-4, TAK-802  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(TAK-802 alone and combination with tamsulosin synergistically increased Qmax, voiding efficiency in guinea-pig model of functional bladder outlet obstruction)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:857597 CAPLUS

DOCUMENT NUMBER: 141:350168

TITLE: Preparation of benzimidazole derivatives as gastric secretion inhibitors

INVENTOR(S): Buhr, Wilm; Chiesa, Vittoria M.; Zimmermann, Peter Jan; Brehm, Christof; Palmer, Andreas; Postius, Stefan; Kromer, Wolfgang; Simon, Wolfgang-Alexander; Senn-Bilfinger, Joerg; Buhr, Wilm; Chiesa, M. Vittoria; Zimmermann, Peter Jan

PATENT ASSIGNEE(S): Altana Pharma Ag, Germany

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087701	A1	20041014	WO 2004-EP50428	20040402
WO 2004087701	C1	20050303		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

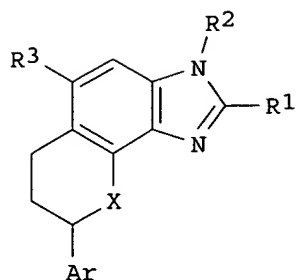
CA 2520581 AA 20041014 CA 2004-2520581 20040402

PRIORITY APPLN. INFO.: EP 2003-7780 A 20030404

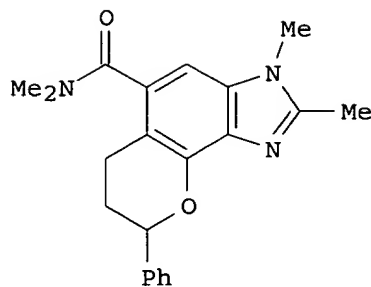
WO 2004-EP50428 W 20040402

OTHER SOURCE(S): MARPAT 141:350168

GI



I



II

AB Title compds. represented by the formula I [wherein R1 = H, halo, (cyclo)alkyl, alkylamino, etc.; R2 = H, (cyclo)alkyl, alkoxy, aryl, etc.; R3 = h, halo, fluoroalkyl, carboxyl, etc.; X = O or NH; Ar = (un)substituted aryl] and pharmaceutically acceptable salts thereof were prepared as gastric secretion inhibitors. For example, cyclization of 7-hydroxy-6-(3-hydroxy-3-phenylpropyl)-2,3-dimethyl-3H-benzimidazole-5-carboxylic acid dimethylamide (preparation given) by phosphoric acid gave II in 68% yield. The prepared compds. were tested for inhibition of gastric secretion in vivo on rat. Thus, I and their pharmaceutical compns. are useful as gastric secretion inhibitors for the treatment of gastrointestinal disorders.

IC ICM C07D471-04

ICS C07D491-04; A61K031-4188; A61K031-437; A61P001-04

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 774581-88-3P 774581-90-7P 774581-92-9P 774581-93-0P 774581-94-1P  
 774581-95-2P 774581-96-3P 774581-97-4P 774581-99-6P  
 774582-02-4P 774582-05-7P 774582-06-8P 774582-07-9P  
 774582-10-4P 774582-11-5P 774582-12-6P 774582-13-7P  
 774582-14-8P 774582-16-0P 774582-18-2P 774582-22-8P 774582-23-9P  
 774582-25-1P 774582-26-2P 774582-31-9P 774582-32-0P 774582-34-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of benzimidazole derivs. as gastric secretion inhibitors)

IT 774581-95-2P 774581-96-3P 774582-06-8P  
 774582-10-4P 774582-12-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

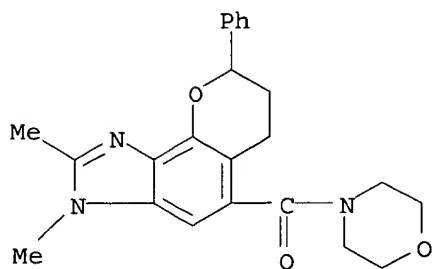
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of benzimidazole derivs. as gastric secretion inhibitors)

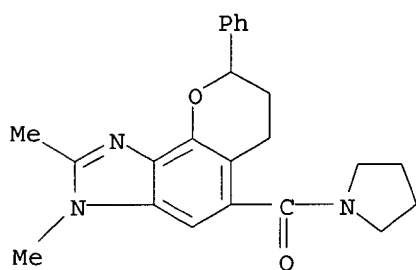
RN 774581-95-2 CAPLUS

CN Morpholine, 4-[(3,6,7,8-tetrahydro-2,3-dimethyl-8-phenylpyrano[2,3-e]benzimidazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



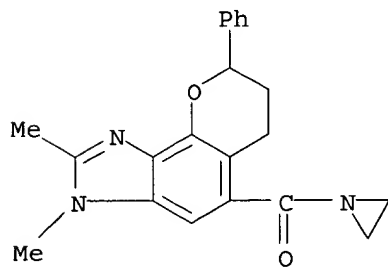
RN 774581-96-3 CAPLUS

CN Pyrrolidine, 1-[(3,6,7,8-tetrahydro-2,3-dimethyl-8-phenylpyrano[2,3-e]benzimidazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



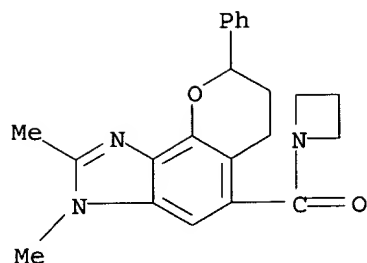
RN 774582-06-8 CAPLUS

CN Aziridine, 1-[(3,6,7,8-tetrahydro-2,3-dimethyl-8-phenylpyrano[2,3-e]benzimidazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



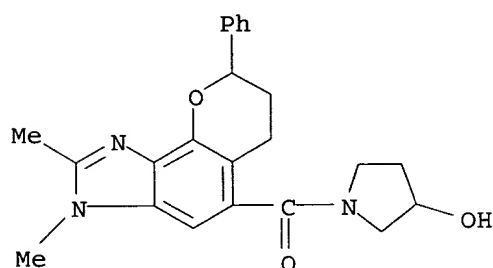
RN 774582-10-4 CAPLUS

CN Azetidine, 1-[(3,6,7,8-tetrahydro-2,3-dimethyl-8-phenylpyrano[2,3-e]benzimidazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 774582-12-6 CAPLUS

CN 3-Pyrrolidinol, 1-[(3,6,7,8-tetrahydro-2,3-dimethyl-8-phenylpyrano[2,3-e]benzimidazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:761379 CAPLUS

DOCUMENT NUMBER: 142:233007

TITLE: Effects of tamsulosin, an  $\alpha_1$ -adrenergic antagonist, and TAK-802, a novel **acetylcholinesterase** inhibitor, and their synergistic effects on the urodynamic characteristics in a guinea pig model of functional **bladder** outlet obstruction

AUTHOR(S): Nagabukuro, H.; Hashimoto, T.; Iwata, M.; Ishihara, Y.; Doi, T.

CORPORATE SOURCE: Takeda Chemical Industries, Japan  
SOURCE: Neurourology and Urodynamics (2004), 23(5/6), 458-460  
CODEN: NEUREM; ISSN: 0733-2467

PUBLISHER: Wiley-Liss, Inc.

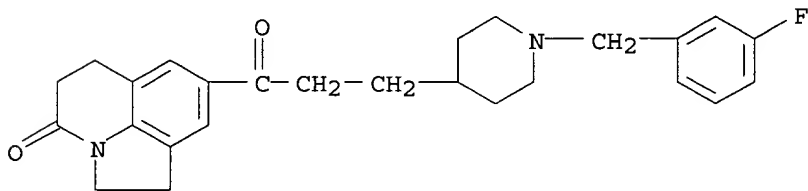
DOCUMENT TYPE: Journal

LANGUAGE: English

AB A guinea pig model with functional **bladder** outlet obstruction was established to model the dynamic component of benign prostatic hyperplasia. The effects of tamsulosin, an  $\alpha_1$ -adrenergic antagonist, TAK-802, a novel **acetylcholinesterase** inhibitor with some selectivity for muscarinic actions, and of both administered concomitantly on the urodynamic characteristics in this model were evaluated. Tamsulosin (0.003 and 0.01 mg/kg, i.v.) and TAK-802 (0.001 and 0.01 mg/kg, i.v.) increased the maximum flow rate ( $Q_{max}$ ) and voiding efficiency in a dose-dependent manner. The effects were most pronounced in the group that received concomitant administration of both the drugs. When administered alone, tamsulosin decreased, and TAK-802 increased, the maximum intravesical pressure and intravesical pressure at  $Q_{max}$ . The effect

of TAK-802 of increasing the intravesical pressure was completely abolished by concomitant administration of tamsulosin. Neither of the drugs affected the **bladder** capacity.

- CC 1-11 (Pharmacology)  
 ST **bladder** outlet obstruction adrenergic antagonist  
**acetylcholinesterase** inhibitor  
 IT Prostate gland, disease  
 (benign hyperplasia; synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 IT Hyperplasia  
 (benign prostatic; synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 IT **Bladder**, disease  
 (obstruction; synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 IT Cholinergic antagonists  
 (synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 IT Drug interactions  
 (synergistic; synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 IT Adrenoceptor antagonists  
 ( $\alpha$ 1-; synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 IT 106133-20-4, Tamsulosin 263248-16-4, TAK-802  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 IT 263248-16-4, TAK-802  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (synergistic effect of tamsulosin and TAK-802 on urodynamics in **bladder** outlet obstruction)  
 RN 263248-16-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



L54 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:78835 CAPLUS

DOCUMENT NUMBER: 141:1017

TITLE: Effects of TAK-802, a novel  
**acetylcholinesterase** inhibitor, on  
 distension-induced rhythmic **bladder**  
 contractions in rats and guinea pigs

AUTHOR(S): Nagabukuro, Hiroshi; Okanishi, Satoshi; Imai,  
 Shigemitsu; Ishichi, Yuji; Ishihara, Yuji; Doi,  
 Takayuki



CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Chemical Industries, Osaka, Yodogawa, 532-8686, Japan  
SOURCE: European Journal of Pharmacology (2004), 485(1-3), 299-305  
CODEN: EJPHAZ; ISSN: 0014-2999  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB In the present study, we investigated the effects of 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (TAK-802), a novel **acetylcholinesterase** inhibitor, on distension-induced rhythmic **bladder** contractions in urethane-anesthetized rats and guinea pigs. TAK-802 potently inhibited human-erythrocyte-derived **acetylcholinesterase** activity with an IC50 value of 1.5 nM, which represented a potency 30 and 250 times greater than that of the two carbamate **acetylcholinesterase** inhibitors, neostigmine and distigmine, resp. Unlike the carbamate **acetylcholinesterase** inhibitors, TAK-802 exhibits high selectivity for **acetylcholinesterase** inhibition over butyrylcholinesterase inhibition. In an assay conducted to measure the muscarinic and nicotinic actions, TAK-802 was found to exhibit higher selectivity for muscarinic actions over nicotinic actions in comparison to distigmine. Both TAK-802 and distigmine increased isovolumetric **bladder** contractions in rats and guinea pigs in a dose-dependent manner, with a min. ED (MED) of 0.01 and 0.03 mg/kg i.v., resp., in rats, and 0.01 and 0.1 mg/kg i.v., resp., in guinea pigs. The effects of both the drugs were completely abolished by atropine. These results suggest that TAK-802 and other **acetylcholinesterase** inhibitors can effectively increase reflex **bladder** contractions by increasing the efficacy of **acetylcholine** released by nerve impulses. On the other hand, bethanechol, a muscarinic agonist, markedly changed the pattern of distension-induced **bladder** contractions when administered at the dose of 1 mg/kg i.v., and it did not necessarily augment well-coordinated **bladder** contractions. Thus, considering that it has some selectivity for muscarinic action, TAK-802 might be expected to be useful in the treatment of voiding dysfunction caused by impaired detrusor contractility.

CC 1-11 (Pharmacology)

ST **acetylcholinesterase** inhibitor TAK802 rhythmic **bladder** contraction voiding dysfunction

IT **Bladder**  
(detrusor muscle, contractions; effects of TAK-802, a novel **acetylcholinesterase** inhibitor, on distension-induced rhythmic **bladder** contractions in rats and guinea pigs)

IT Rhythm, biological  
(effects of TAK-802, a novel **acetylcholinesterase** inhibitor, on distension-induced rhythmic **bladder** contractions in rats and guinea pigs)

IT Muscarinic receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(effects of TAK-802, a novel **acetylcholinesterase** inhibitor, on distension-induced rhythmic **bladder** contractions in rats and guinea pigs)

IT **Bladder**, disease  
(voiding dysfunction; effects of TAK-802, a novel **acetylcholinesterase** inhibitor, on distension-induced rhythmic **bladder** contractions in rats and guinea pigs)

IT 9000-81-1, **Acetylcholinesterase**

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(effects of TAK-802, a novel **acetylcholinesterase** inhibitor,  
on distension-induced rhythmic **bladder** contractions in rats  
and guinea pigs)

IT 59-99-4, Neostigmine 17299-00-2, Distigmine **263248-16-4**, TAK  
802

RL: **DMA** (Drug mechanism of action); **PAC** (Pharmacological  
**activity**); **THU** (Therapeutic use); BIOL (Biological study);  
USES (Uses)

(effects of TAK-802, a novel **acetylcholinesterase** inhibitor,  
on distension-induced rhythmic **bladder** contractions in rats  
and guinea pigs)

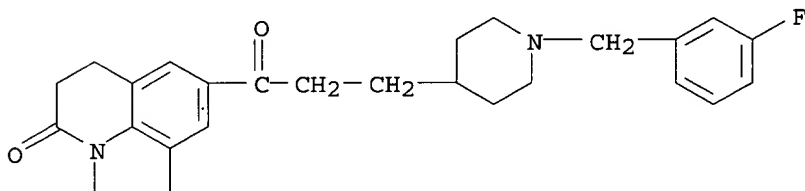
IT **263248-16-4**, TAK 802

RL: **DMA** (Drug mechanism of action); **PAC** (Pharmacological  
**activity**); **THU** (Therapeutic use); BIOL (Biological study);  
USES (Uses)

(effects of TAK-802, a novel **acetylcholinesterase** inhibitor,  
on distension-induced rhythmic **bladder** contractions in rats  
and guinea pigs)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-  
piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:505235 CAPLUS

DOCUMENT NUMBER: 141:47206

TITLE: Effects of TAK-802, a novel  
**acetylcholinesterase** inhibitor, and various  
cholinomimetics on the urodynamic characteristics in  
anesthetized guinea pigs

AUTHOR(S): Nagabukuro, Hiroshi; Okanishi, Satoshi; Doi, Takayuki  
CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Chemical  
Industries, 2-17-85, Jusohonmachi, Osaka, Yodogawa,  
532-8686, Japan

SOURCE: European Journal of Pharmacology (2004), 494(2-3),  
225-232

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In the present study, we investigated the effects of cholinomimetic drugs  
on the urodynamic characteristics in anesthetized guinea pigs.  
8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-  
tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (TAK-802), a novel  
**acetylcholinesterase** inhibitor, (0.003-0.03 mg/kg, i.v.) increased  
the voided volume and the maximum flow rate without affecting either the

intravesical pressure or the **bladder** compliance. Distigmine (0.03-0.3 mg/kg, i.v.) and neostigmine (0.01-0.1 mg/kg, i.v.), both carbamate **acetylcholinesterase** inhibitors, while not increasing the maximum flow rate, increased the intravesical pressure at the maximum flow rate. They also decreased the **bladder** compliance. Bethanechol (0.1-1 mg/kg, i.v.), a muscarinic receptor agonist, decreased the voided volume and the **bladder** compliance but did not affect the maximum flow rate. TAK-802 did not affect the intraurethral pressure at doses of up to 0.03 mg/kg in anesthetized guinea pigs. Distigmine increased the intraurethral pressure when administered at the dose of 0.3 mg/kg, and the effect was completely abolished by pretreatment with d-tubocurarine. These results suggest that TAK-802 reinforces the **bladder** -voiding functions by increasing the **bladder** contractility without decreasing the storage function. Carbamate **acetylcholinesterase** inhibitors not only deteriorate the voiding function by inducing contraction of the external urethral sphincter muscle, resulting in increasing the urethral resistance, but also cause deterioration of the storage function. Bethanechol obviously decreased the **bladder** capacity, possibly due to a direct contractile effect on the detrusor smooth muscle. TAK-802 may therefore be a more useful drug than either carbamate **acetylcholinesterase** inhibitors or muscarinic receptor agonists in the treatment of voiding dysfunction associated with impaired detrusor contractility.

CC 1-11 (Pharmacology)

ST **acetylcholinesterase** inhibitor TAK802 cholinomimetic urodynamics

IT **Bladder**

Cholinergic agonists

(effects of TAK-802 and various cholinomimetics on urodynamic characteristics in anesthetized guinea pigs)

IT 59-99-4, Neostigmine 674-38-4, Bethanechol 17299-00-2, Distigmine 263248-16-4, TAK-802

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(effects of TAK-802 and various cholinomimetics on urodynamic characteristics in anesthetized guinea pigs)

IT 9000-81-1, **Acetylcholinesterase**

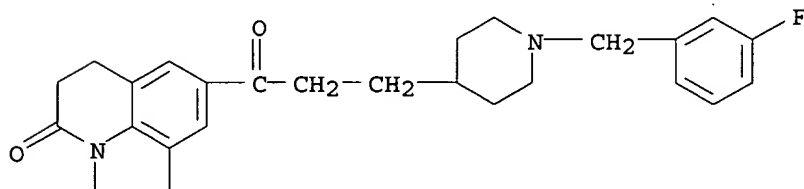
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors; effects of TAK-802 and various cholinomimetics on urodynamic characteristics in anesthetized guinea pigs)

IT 263248-16-4, TAK-802

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(effects of TAK-802 and various cholinomimetics on urodynamic characteristics in anesthetized guinea pigs)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

49

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:551407 CAPLUS  
 DOCUMENT NUMBER: 139:111692  
 TITLE: Preventives/remedies for **urinary** disturbance  
 INVENTOR(S): Ishihara, Yuji; Ishichi, Yuji; Doi, Takayuki;  
 Nagabukuro, Hiroshi; Kanzaki, Naoyuki; Ikeuchi, Motoki  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 520 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057254	A1	20030717	WO 2002-JP13653	20021226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2471760	AA	20030717	CA 2002-2471760	20021226
JP 2003335701	A2	20031128	JP 2002-377956	20021226
EP 1466625	A1	20041013	EP 2002-790890	20021226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015389	A	20041026	BR 2002-15389	20021226
ZA 2004005123	A	20050628	ZA 2004-5123	20040628
US 2005197362	A1	20050908	US 2004-935646	20040908
PRIORITY APPLN. INFO.:				
			JP 2001-402064	A 20011228
			JP 2002-72027	A 20020315
			WO 2002-JP13653	W 20021226
			US 2004-500217	A3 20040624

OTHER SOURCE(S): MARPAT 139:111692

AB Preventives/remedies for **urinary** disturbance containing a compound having both of an **acetylcholine** esterase inhibitory effect and an  $\alpha 1$  antagonistic effect which exhibits an excellent effect of improving the **urinary** function of the **bladder** (i.e., effects of improving **urine** flow rate and **urinary** efficiency) without affecting the **urinary** pressure or the blood pressure.

IC ICM A61K045-00  
 ICS A61K031-473; A61P013-00; A61P013-08; A61P043-00; C07D471-06

CC 1-11 (Pharmacology)  
 Section cross-reference(s): 28, 63

ST heterocyclic compd  $\alpha 1$  antagonist **acetylcholine** esterase **urinary** disturbance

IT Prostate gland, disease  
 (benign hyperplasia; heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)

IT Hyperplasia  
 (benign prostatic; heterocyclic compds. having **acetylcholine**

esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
 IT **Bladder**, disease  
 Drug screening  
 (heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
 IT Drug delivery systems  
 (tablets; heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
 IT Adrenoceptor agonists  
 ( $\alpha$ -; heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
 IT Adrenoceptor antagonists  
 ( $\alpha 1$ -; heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
 IT Adrenoceptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 ( $\alpha 1A$ ; heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
 IT 9000-81-1, **Acetylcholine** esterase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
 IT 59-42-7, Phenylephrine  
 RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)  
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562041-88-7P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(heterocyclic compds. having **acetylcholine** esterase

inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies

for **urinary** disturbance)

IT	562041-89-8P	562041-90-1P	562041-91-2P	562041-92-3P	562041-93-4P
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	562042-35-7P	562042-36-8P	562042-37-9P		
	562042-38-0P	562042-39-1P	562042-40-4P	562042-41-5P	562042-42-6P
	562042-43-7P	562042-44-8P	562042-45-9P	562042-46-0P	562042-47-1P
	562042-48-2P	562042-49-3P	562042-50-6P	562042-51-7P	562042-52-8P
	562042-53-9P	562042-54-0P	562042-55-1P	562042-56-2P	
	562042-57-3P	562042-58-4P	562042-59-5P		
	562042-60-8P	562042-61-9P	562042-62-0P		
	562042-63-1P	562042-64-2P	562042-65-3P		
	562042-66-4P	562042-67-5P	562042-68-6P		
	562042-69-7P	562042-70-0P	562042-71-1P		
	562042-72-2P	562042-73-3P	562042-74-4P		
	562042-75-5P	562042-76-6P	562042-77-7P	562042-78-8P	562042-79-9P
	562042-80-2P	562042-81-3P	562042-82-4P	562042-83-5P	562042-84-6P
	562042-85-7P	562042-86-8P	562042-87-9P	562042-88-0P	562042-89-1P
	562042-90-4P	562042-91-5P	562042-92-6P	562042-93-7P	562042-94-8P
	562042-95-9P	562042-96-0P	562042-97-1P	562042-98-2P	562042-99-3P
	562043-00-9P	562043-01-0P	562043-03-2P	562043-04-3P	562043-05-4P

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 562043-11-2P 562043-12-3P 562043-13-4P 562043-14-5P 562043-15-6P  
 562043-16-7P 562043-17-8P 562043-18-9P 562043-19-0P 562043-20-3P  
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 562043-46-3P 562043-47-4P 562043-48-5P 562043-49-6P 562043-50-9P  
 562043-51-0P 562043-52-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(heterocyclic compds. having **acetylcholine** esterase  
 inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies  
 for **urinary** disturbance)

IT 110-02-1, Thiophen 120-72-9, Indole, reactions 615-16-7,  
 1,3-Dihydro-2H-benzimidazol-2-one 1575-61-7, 5-Chlorovaleryl chloride  
 3097-21-0, 1,3-Dimethyl-1,3-dihydro-2H-benzimidazol-2-one 5466-88-6,  
 2H-1,4-Benzoxazin-3(4H)-one 7022-25-5, N-(2-  
 Methoxyphenyl)methanesulfonamide 16078-37-8 22809-37-6,  
 6-Bromohexanoyl chloride 57369-31-0, 2,3,6,7-Tetrahydro-1H,5H-  
 pyrido[3,2,1-ij]quinolin-5-one 57369-32-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(heterocyclic compds. having **acetylcholine** esterase  
 inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies  
 for **urinary** disturbance)

IT 949-06-4P, 5-Chloro-1-(4-methoxyphenyl)-1-pentanone 1615-06-1P,  
 1,3-Dihydro-2,1,3-benzothiadiazole 2,2-dioxide 3969-16-2P 4024-28-6P,  
 5,6-Dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one 7751-27-1P  
 30465-63-5P, 1-Methyl-5,6-Dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one  
 31378-12-8P, 1,3-Dimethyl-1,3-dihydro-2,1,3-benzothiadiazole 2,2-dioxide  
 33853-77-9P 33853-78-0P 36896-33-0P, 4-(1,3-Dimethyl-2-oxo-2,3-dihydro-  
 1H-benzimidazol-5-yl)-4-oxobutanoic acid 42710-39-4P 53295-44-6P,  
 5,6-Dimethoxy-1-oxo-2-indanecarboxylic acid ethyl ester 54012-92-9P,  
 1,2,3,4-Tetrahydro-8-quinolinamine 90416-36-7P, 5-Chloro-1-(2-thienyl)-1-  
 pentanone 100078-25-9P, 5-(5-Chloropentanoyl)-2-  
 methoxybenzenesulfonamide 153030-21-8P 157649-20-2P 157649-30-4P  
 188973-68-4P 220226-17-5P 256218-90-3P, 5-Chloro-1-(2,3-dihydro-1,4-  
 benzodioxan-6-yl)-1-pentanone 562037-42-7P 562037-43-8P 562037-44-9P  
 562037-45-0P 562037-46-1P 562037-47-2P 562037-48-3P 562037-49-4P  
 562037-50-7P, 5-(5-Chloropentanoyl)-1,3-dihydro-2H-benzimidazol-2-one  
 562037-51-8P 562037-52-9P 562037-53-0P 562037-54-1P 562037-55-2P,  
 N-[5-(6-Bromohexanoyl)-2-methoxyphenyl]methanesulfonamide 562037-56-3P  
 562037-57-4P 562037-58-5P 562037-59-6P 562037-60-9P 562037-61-0P  
 562037-62-1P 562037-63-2P 562037-64-3P 562037-65-4P 562037-66-5P  
 562037-67-6P 562037-68-7P 562037-69-8P 562037-70-1P 562037-71-2P  
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 562037-82-5P 562037-83-6P 562037-84-7P 562037-85-8P 562037-86-9P  
 562037-87-0P 562037-88-1P, 5-(2,3-Dihydro-1H-indol-5-yl)-5-oxopentyl[2-  
 (2-methoxyphenyl)ethyl]carbamic acid 562037-89-2P 562037-90-5P  
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 562038-01-1P 562038-02-2P 562038-03-3P, 5-(5-Chloropentanoyl)-N-  
 isopropyl-2-methoxybenzenesulfonamide 562038-04-4P, 5-Chloro-1-(2,3-  
 dihydro-1-benzofuran-5-yl)-1-pentanone 562038-05-5P 562038-06-6P  
 562038-07-7P 562038-08-8P 562038-09-9P 562038-10-2P,  
 6-(5-Chloropentanoyl)-2,2-dimethyl-8-chromanesulfonamide 562038-11-3P

562038-12-4P 562038-13-5P 562038-14-6P 562038-15-7P 562038-16-8P  
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 562038-57-7P 562038-58-8P, 7-(5-Chloropentanoyl)-N-ethyl-1,2,4,5-tetrahydrobenzazepin-3-carboxamide 562038-59-9P, 1-(2-Acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-5-chloro-1-pentanone 562038-60-2P  
 562038-61-3P, 5-Chloro-1-(1,3-Dimethyl-2,2-dioxide-1,3-dihydro-2,1,3-benzothiadiazol-5-yl)-1-pentanone 562038-62-4P 562038-63-5P, 8-(5-Chloropentanoyl)-1-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one 562038-64-6P, 1,3-Dimethyl-3,6,7,8-tetrahydro-1H-naphtho[2,3-d]imidazole-2,5-dione 562038-65-7P, 1,3-Dimethyl-2,5-dioxo-2,3,5,6,7,8-hexahydro-1H-naphtho[2,3-d]imidazole-6-carboxylic acid ethyl ester 562038-66-8P 562038-67-9P 562038-68-0P 562038-69-1P 562038-70-4P, 2-(3-Chloropropyl)-5,6-Dimethoxy-1-oxo-2-indanecarboxylic acid ethyl ester 562038-71-5P, 2-(4-Chlorobutyl)-5,6-Dimethoxy-1-oxo-2-indanecarboxylic acid ethyl ester 562038-72-6P 562038-73-7P 562038-74-8P  
 562038-75-9P 562038-76-0P 562038-77-1P 562038-78-2P 562038-79-3P  
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 562038-91-9P 562038-92-0P 562038-93-1P 562038-94-2P 562038-95-3P  
 562038-96-4P 562038-97-5P, 8-[3-(4-Piperidinyl)propanoyl]-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one 562038-98-6P 562038-99-7P  
 562039-00-3P 562039-01-4P 562039-02-5P 562039-03-6P 562039-04-7P  
 562039-05-8P 562039-06-9P 562039-07-0P 562039-08-1P 562039-09-2P  
 562039-10-5P, N-{5-[3-(1-Acetyl-4-piperidinyl)propanoyl]-2-methoxyphenyl}-2,2,2-trifluoroacetamide 562039-11-6P, 3-(1-Acetyl-4-piperidinyl)-1-(3-amino-4-methoxyphenyl)-1-propanone 562039-12-7P 562039-13-8P  
 562039-14-9P 562039-15-0P 562039-16-1P 562039-17-2P 562039-18-3P  
 562039-19-4P 562039-20-7P 562039-21-8P 562039-22-9P, 5-(5-Chloropentanoyl)-N-methyl-2,3-dihydro-1-benzofuran-7-sulfonamide 562039-23-0P, 5-(5-Chloropentanoyl)-N,N-dimethyl-2,3-dihydro-1-benzofuran-7-sulfonamide 562039-24-1P 562039-25-2P 562039-26-3P 562039-27-4P  
 562039-28-5P 562039-29-6P 562039-30-9P 562039-31-0P 562039-32-1P  
 562039-33-2P 562039-34-3P 562039-35-4P, 6-(5-Chloropentanoyl)-1-methyl-1,3-dihydro-2H-benzimidazol-2-one 562039-36-5P 562039-37-6P  
 562039-38-7P 562039-39-8P 562039-40-1P 562039-41-2P 562039-42-3P  
 562039-43-4P 562039-44-5P 562039-45-6P 562039-46-7P 562039-48-9P  
 562039-49-0P 562039-50-3P 562039-51-4P 562039-52-5P 562039-53-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(heterocyclic compds. having **acetylcholine** esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for **urinary** disturbance)

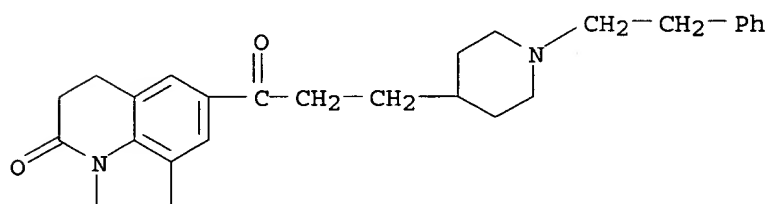
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 562042-16-4P 562042-17-5P 562042-18-6P  
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562042-37-9P 562042-57-3P 562042-58-4P  
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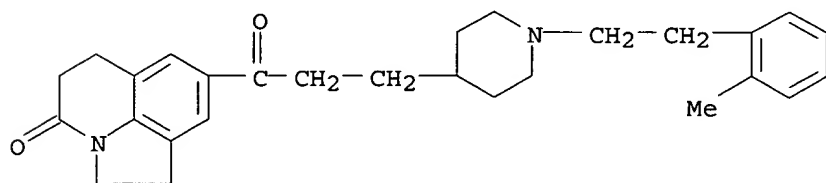
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (heterocyclic compds. having **acetylcholine** esterase  
 inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies  
 for **urinary** disturbance)

RN 562040-31-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(2-phenylethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



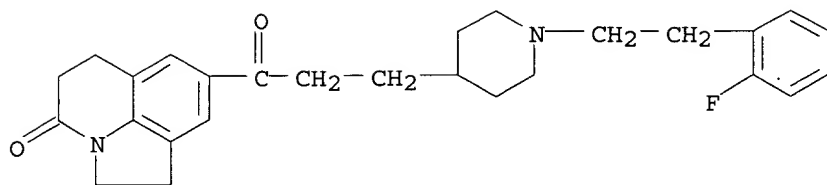
● HCl

RN 562040-32-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(2-methylphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



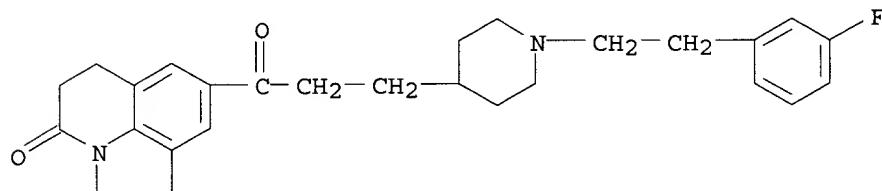
● HCl

RN 562040-33-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



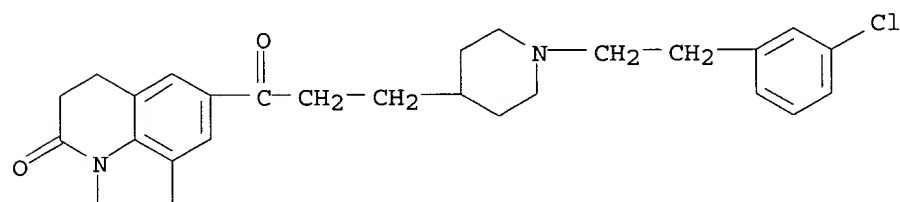
● HCl

RN 562040-34-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(3-fluorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



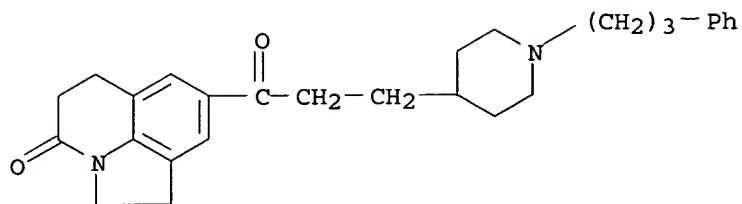
● HCl

RN 562040-35-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(3-chlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



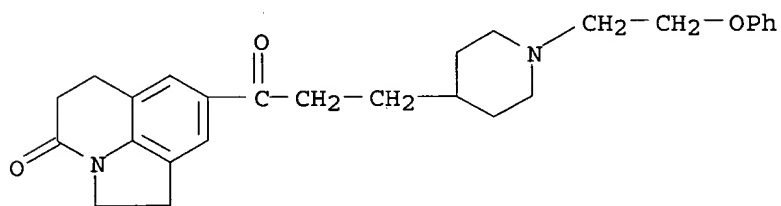
● HCl

RN 562040-37-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(3-phenylpropyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



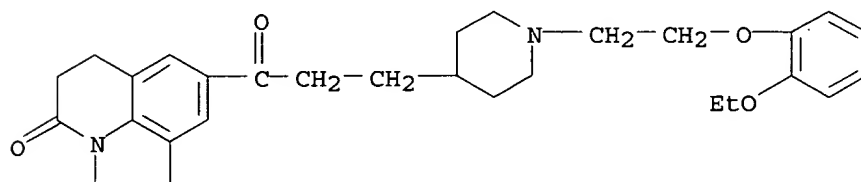
● HCl

RN 562040-38-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(2-phenoxylethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



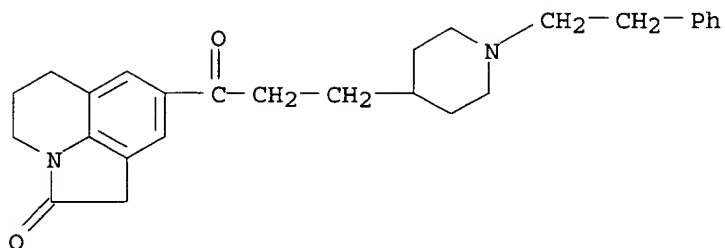
● HCl

RN 562040-39-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2-ethoxyphenoxy)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



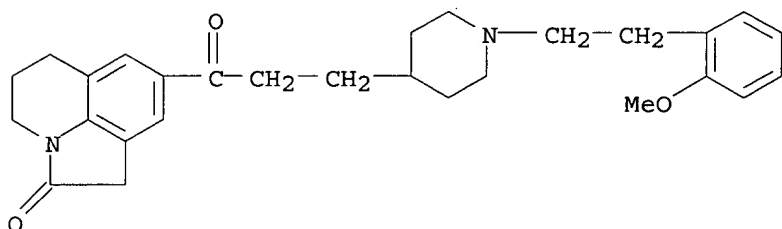
● HCl

RN 562042-15-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(2-phenylethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



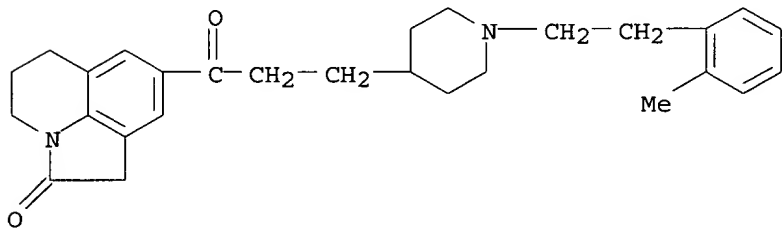
● HCl

RN 562042-16-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[2-(2-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



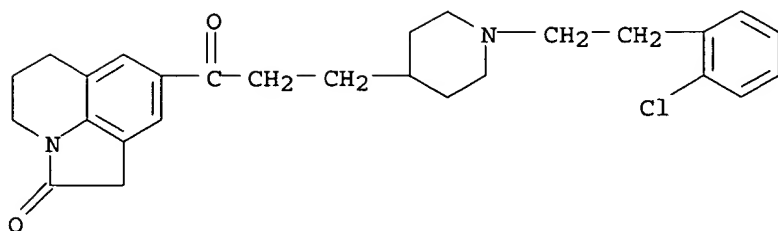
● HCl

RN 562042-17-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[2-(2-methylphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



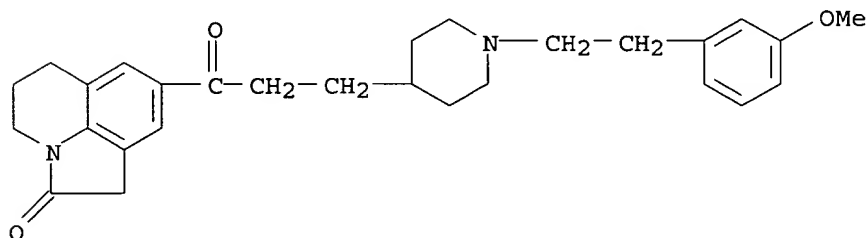
● HCl

RN 562042-18-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 8-[3-[1-[2-(2-chlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



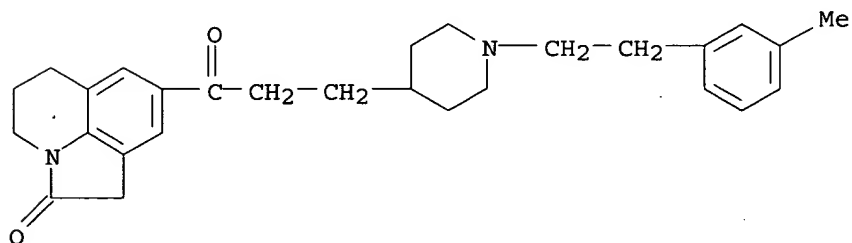
● HCl

RN 562042-19-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[2-(3-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

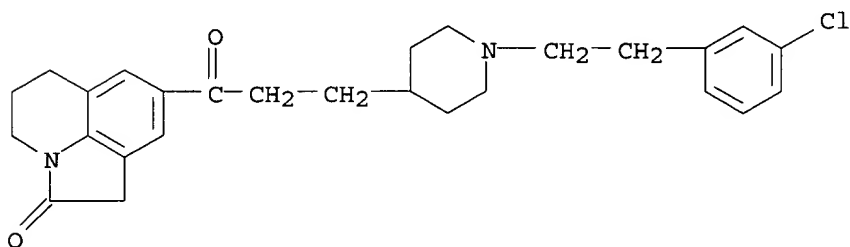
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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[2-(3-methylphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

RN 562042-21-1 CAPLUS

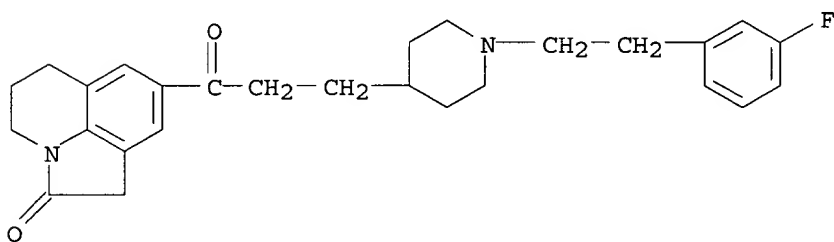
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 8-[3-[1-[2-(3-chlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-22-2 CAPLUS

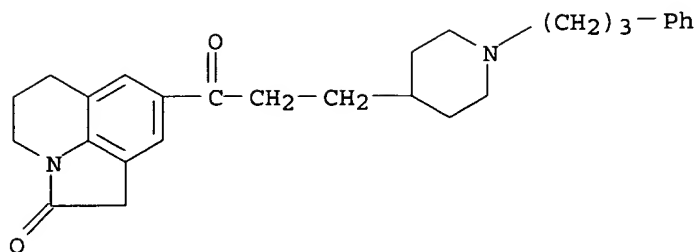
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 8-[3-[1-[2-(3-fluorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

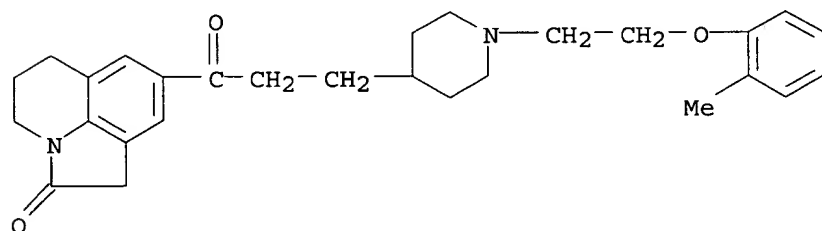
RN 562042-23-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(3-phenylpropyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



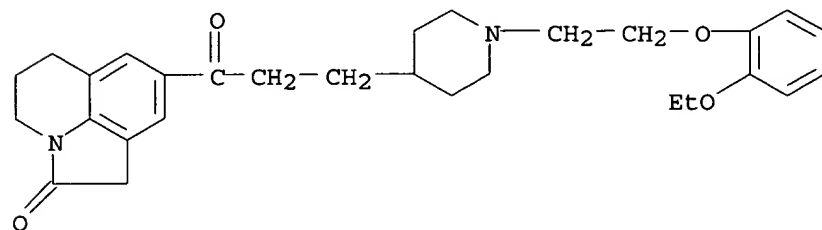
● HCl

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 (CA INDEX NAME)



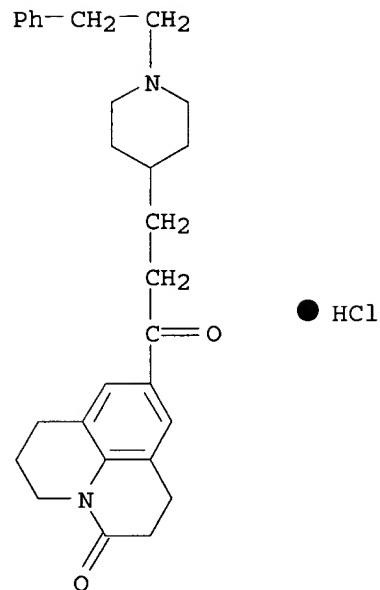
● HCl

RN 562042-25-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 8-[3-[1-[2-(2-ethoxyphenoxy)ethyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



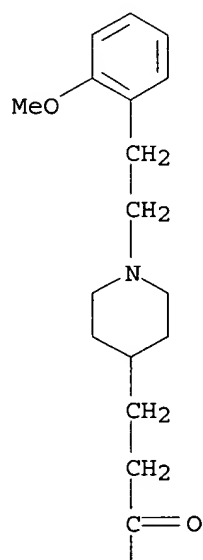
● HCl

RN 562042-26-6 CAPLUS  
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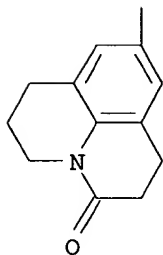
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 (CA INDEX NAME)

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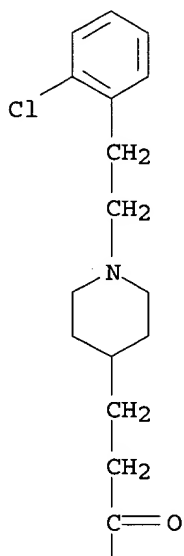
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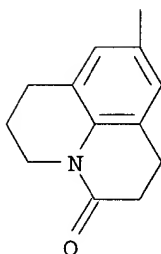
● HCl

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 (CA INDEX NAME)

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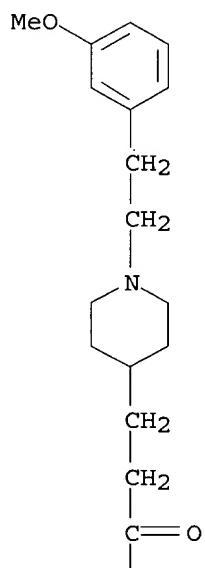
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● HCl

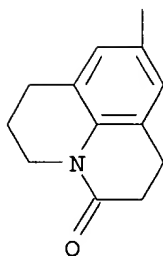
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CN 1H,5H-Benzo[ij]quinolizin-5-one, 9-[3-[1-[2-(3-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)

PAGE 1-A





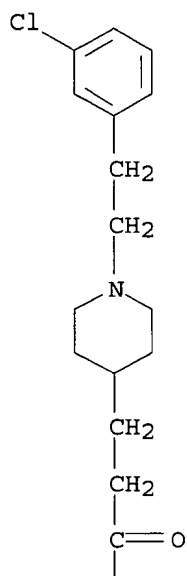
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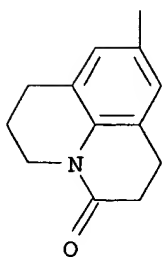
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RN 562042-31-3 CAPLUS  
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 (CA INDEX NAME)

PAGE 1-A



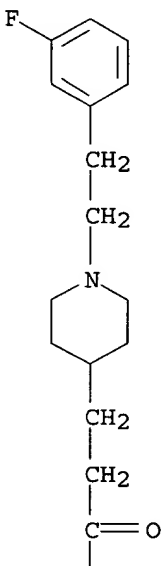
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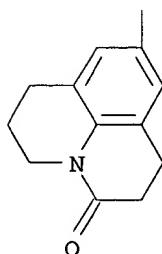
● HCl

RN 562042-32-4 CAPLUS  
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 (CA INDEX NAME)

PAGE 1-A

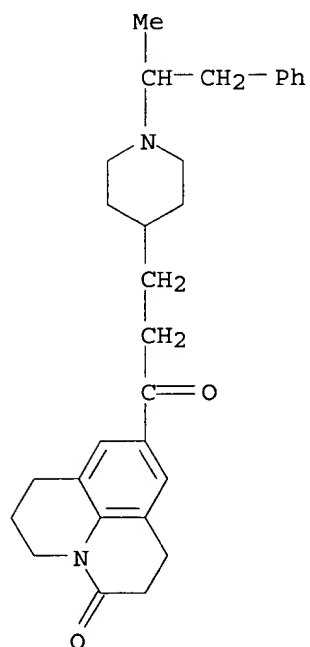


PAGE 2-A



● HCl

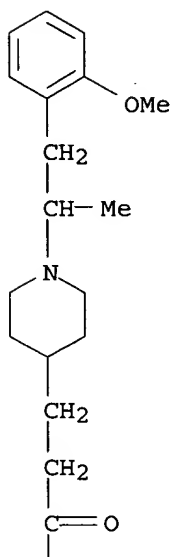
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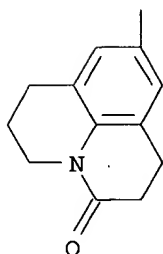
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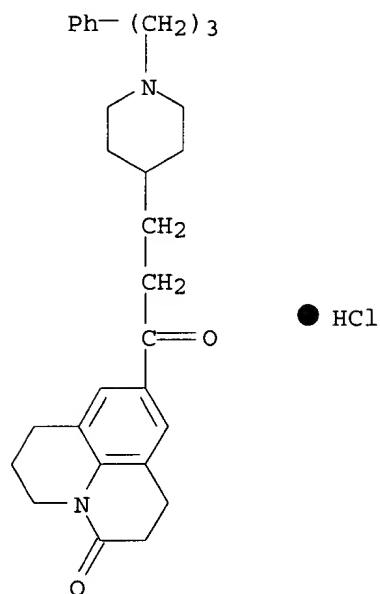


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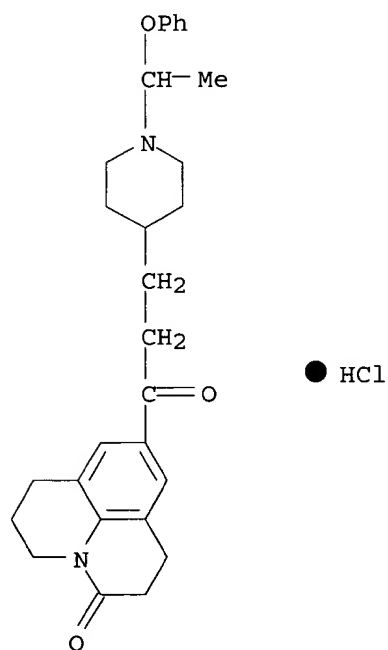
● HCl

RN 562042-35-7 CAPLUS  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(3-phenylpropyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 562042-36-8 CAPLUS

CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(1-phenoxyethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

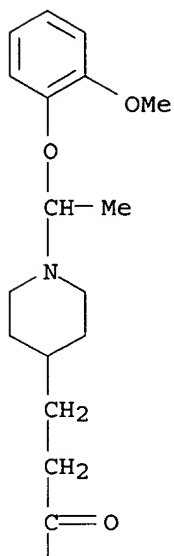


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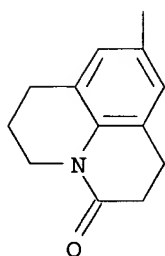
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[3-[1-[1-(2-methoxyphenoxy)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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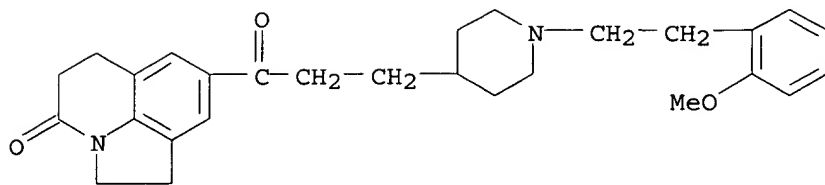


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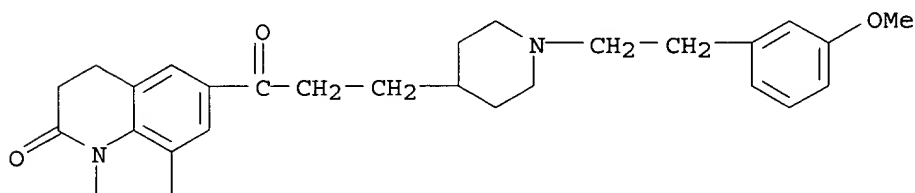
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RN 562042-57-3 CAPLUS  
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 (CA INDEX NAME)



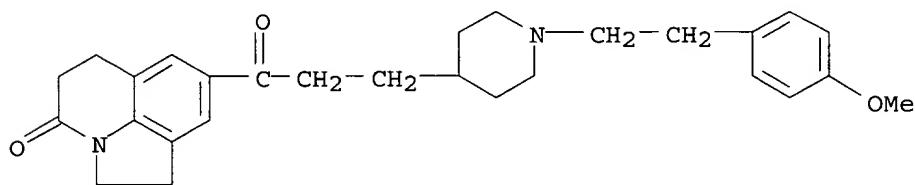
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RN 562042-58-4 CAPLUS  
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 (CA INDEX NAME)



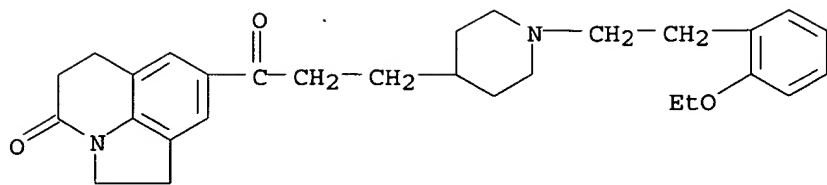
● HCl

RN 562042-59-5 CAPLUS  
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 (CA INDEX NAME)



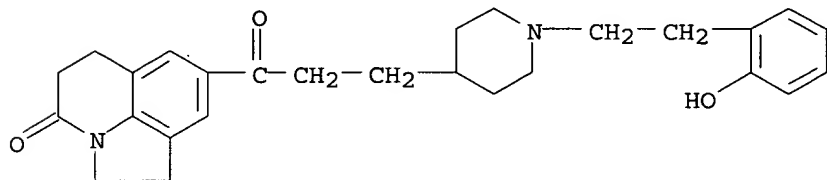
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RN 562042-60-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2-ethoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



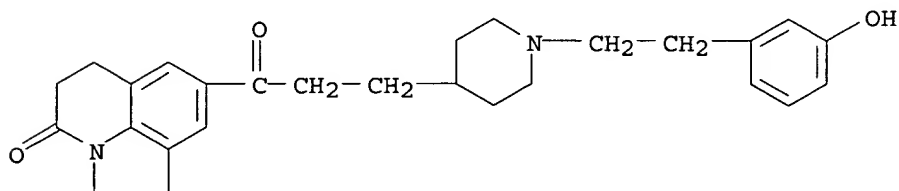
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RN 562042-61-9 CAPLUS  
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 (CA INDEX NAME)



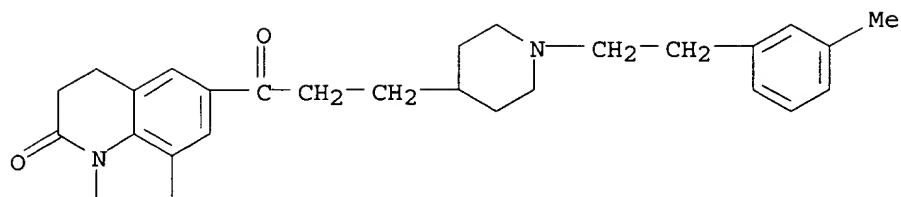
● HCl

RN 562042-62-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(3-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



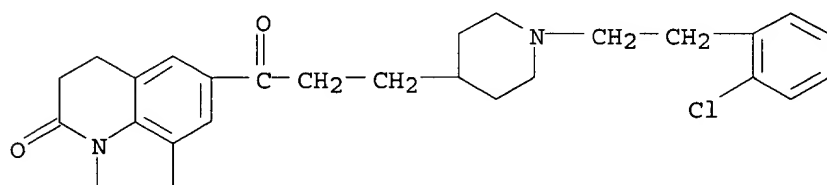
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RN 562042-63-1 CAPLUS  
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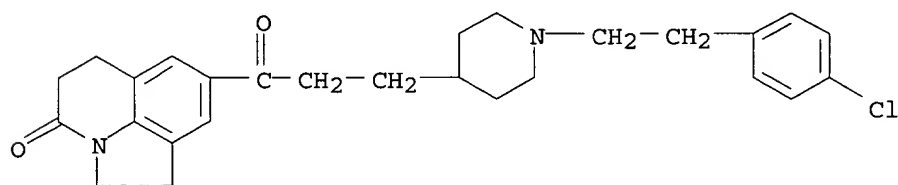
● HCl

RN 562042-64-2 CAPLUS  
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(CA INDEX NAME)



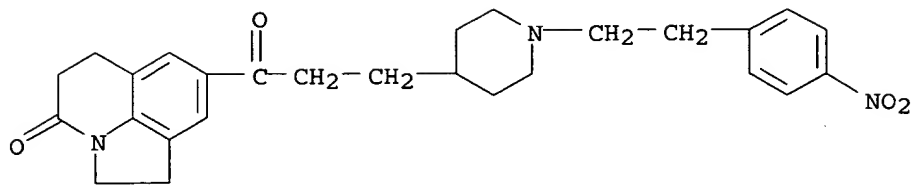
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RN 562042-65-3 CAPLUS  
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(CA INDEX NAME)



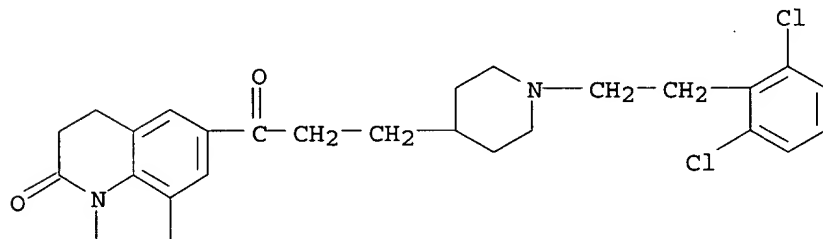
● HCl

RN 562042-66-4 CAPLUS  
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(CA INDEX NAME)



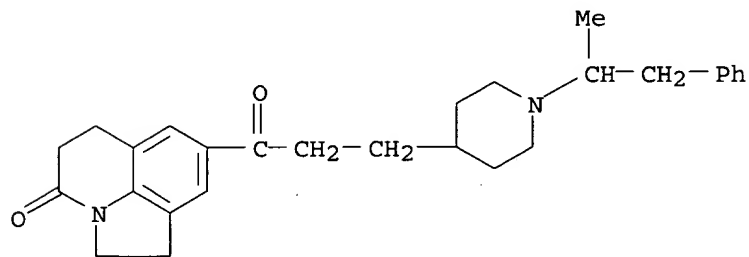
● HCl

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 (CA INDEX NAME)



● HCl

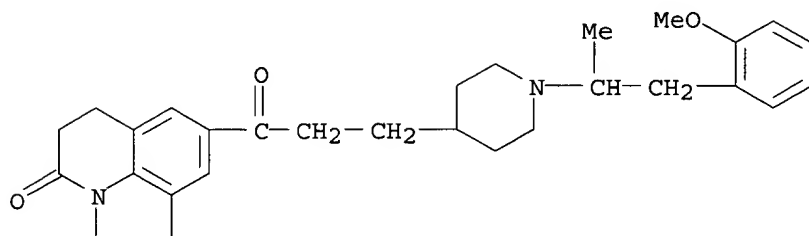
RN 562042-69-7 CAPLUS  
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● HCl

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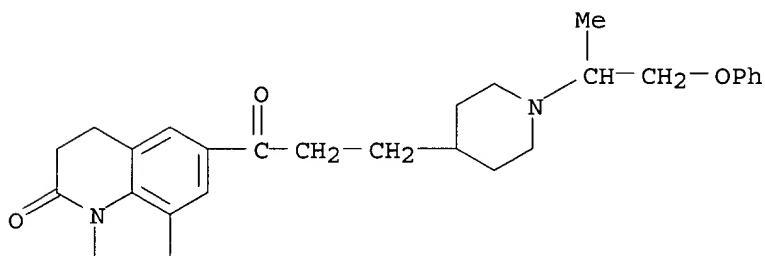
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-71-1 CAPLUS

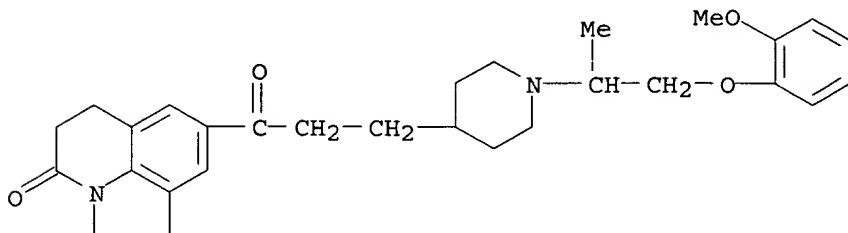
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-(1-methyl-2-phenoxyethyl)-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

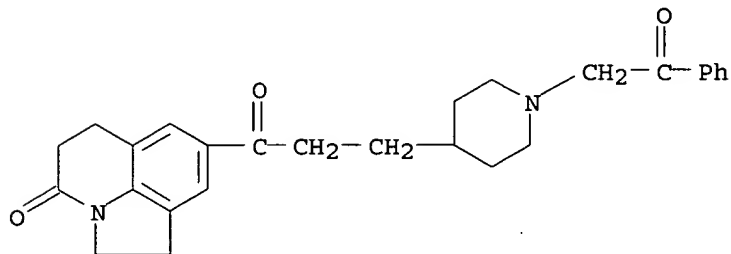
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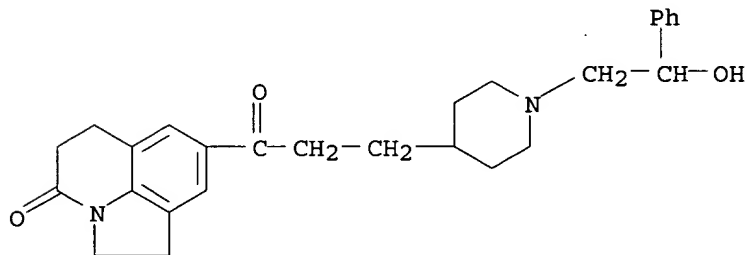
● HCl

RN 562042-73-3 CAPLUS  
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● HCl

RN 562042-74-4 CAPLUS  
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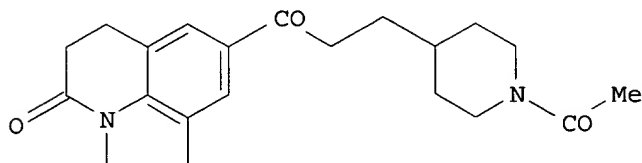
● HCl

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:240773 CAPLUS  
 DOCUMENT NUMBER: 136:279438  
 TITLE: Process for producing tricyclic fused heterocyclic derivatives  
 INVENTOR(S): Kawarasaki, Tadao; Hashimoto, Hideo; Tomimatsu, Kiminori  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024696	A1	20020328	WO 2001-JP8165	20010920
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090247	A5	20020402	AU 2001-90247	20010920
JP 2002167386	A2	20020611	JP 2001-287034	20010920
CA 2423060	AA	20030319	CA 2001-2423060	20010920
EP 1319661	A1	20030618	EP 2001-970158	20010920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003191150	A1	20031009	US 2003-381002	20030320
US 6881842	B2	20050419		
PRIORITY APPLN. INFO.:			JP 2000-286574	A 20000921
			WO 2001-JP8165	W 20010920
OTHER SOURCE(S):			CASREACT 136:279438; MARPAT 136:279438	
GI				



I

AB Title compds. and salts, having **acetylcholinesterase** inhibitory activity, are easily and industrially advantageously prepared Thus, the title compound I was prepared with 92% yield from 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinoline-4-one and 1-acetyl-4-piperidinylpropionic acid in polyphosphoric acid.

IC ICM C07D471-06  
ICS C07D487-06

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

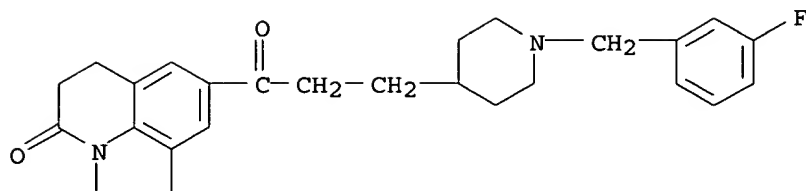
IT **263248-16-4P**  
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)  
(process for producing tricyclic fused heterocyclic derivs.)

IT **263248-16-4P**  
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)  
(process for producing tricyclic fused heterocyclic derivs.)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:125803 CAPLUS  
 DOCUMENT NUMBER: 136:309869  
 TITLE: Substituted Pentacyclic Carbazolones as Novel  
 Muscarinic Allosteric Agents: Synthesis and  
 Structure-Affinity and Cooperativity Relationships  
 AUTHOR(S): Gharagozloo, Parviz; Lazareno, Sebastian; Miyauchi,  
 Masao; Popham, Angela; Birdsall, Nigel J. M.  
 CORPORATE SOURCE: MRC Technology Research Division, Mill Hill London,  
 NW7 1AD, UK  
 SOURCE: Journal of Medicinal Chemistry (2002), 45(6),  
 1259-1274  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:309869  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Two series of pentacyclic carbazolones, I and II, have been synthesized utilizing a facile intramol. Diels-Alder reaction and are allosteric modulators at muscarinic **acetylcholine** receptors. Their affinities and cooperativities with **acetylcholine** and the antagonist N-methylscopolamine (NMS) at M1-M4 receptors have been analyzed and compared. All of the synthesized compds. are neg. cooperative with **acetylcholine**. In contrast, the majority of the compds. exhibit pos. cooperativity with NMS, particularly at M2 and M4 receptors. The subtype selectivity, in terms of affinity, was in general M2 > M1 > M4 > M3. The largest increases in affinity produced by a single substitution of the core structure were given by the methoxy and chloro derivs. III (R = MeO, Cl). The position of the N in the ring did not appear to be important for binding affinity or cooperativity. I and II were the most potent compds. synthesized, with dissociation consts. of 30-100 nM for the M2 NMS-liganded and unliganded receptor, resp. The results indicate that the allosteric site, like the primary binding site, is capable of high-affinity interactions with mols. of relatively low mol. weight

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1

ST pentacyclic carbazolone prepn muscarinic allosteric antagonist; muscarine receptor affinity pentacyclic carbazolone; affinity cooperativity

pentacyclic carbazolone **acetylcholine** methylscopolamine;  
 structure activity relationship pentacyclic carbazolone allosteric  
 muscarine receptor; tetrahydropyridinylindole intramol Diels Alder

IT 51-84-3, **Acetylcholine**, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (cooperativity of prepared muscarinic antagonists with  
**acetylcholine**)

IT	178386-01-1P	178386-02-2P	178386-03-3P	178386-04-4P	178386-05-5P
	178386-19-1P	178386-20-4P	178386-21-5P	178386-22-6P	178386-23-7P
	178386-24-8P	178386-68-0P	181184-22-5P	412043-47-1P	412043-48-2P
	412043-49-3P	412043-50-6P	412043-51-7P	412043-52-8P	412043-53-9P
	412043-54-0P	412043-55-1P	412043-56-2P	412043-58-4P	412043-59-5P
	412043-60-8P	412043-61-9P	412043-62-0P	412043-64-2P	412043-65-3P
	412043-66-4P	412043-67-5P	412043-68-6P	412043-69-7P	412043-70-0P
	412043-71-1P	412043-72-2P	412043-73-3P	412043-74-4P	412043-75-5P
	412043-76-6P	412043-85-7P	412043-87-9P	412043-88-0P	412043-89-1P
	412043-90-4P	412043-91-5P	412043-92-6P	<b>412043-93-7P</b>	
	412043-94-8P	412043-95-9P	412043-96-0P	412043-97-1P	412043-98-2P
	412043-99-3P	412044-00-9P	412044-01-0P	412044-02-1P	412044-03-2P
	412044-04-3P	412044-05-4P	412044-06-5P	412044-07-6P	412044-08-7P
	412044-09-8P	412044-10-1P	412044-11-2P	412044-12-3P	412044-13-4P
	412044-14-5P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation, structure-affinity, cooperativity relationships and antagonist  
 activity of substituted pentacyclic carbazolones as muscarinic  
 allosteric agents available via intramol. Diels-Alder reaction of  
 intermediate substituted indoles)

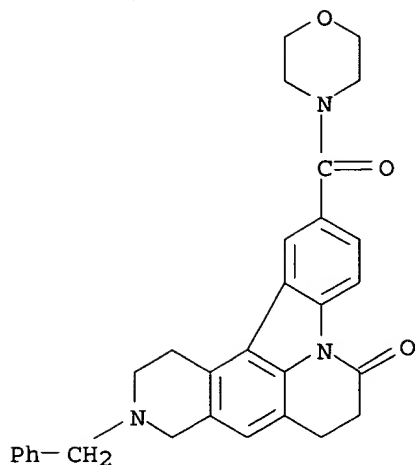
IT **412043-93-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation, structure-affinity, cooperativity relationships and antagonist  
 activity of substituted pentacyclic carbazolones as muscarinic  
 allosteric agents available via intramol. Diels-Alder reaction of  
 intermediate substituted indoles)

RN 412043-93-7 CAPLUS

CN Morpholine, 4-[[[7,8,10,11,12,13-hexahydro-6-oxo-11-(phenylmethyl)-6H-  
 dipyrido[3,4-c:1',2',3'-lm]carbazol-2-yl]carbonyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:873241 CAPLUS

DOCUMENT NUMBER: 136:15242

TITLE: Crystals of condensed heterotricycle as  
**acetylcholinesterase** inhibitor and  
pharmaceutical compositions containing the crystals  
INVENTOR(S): Ishihara, Yuji; Doi, Takayuki; Ishiji, Yuji  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 50 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent

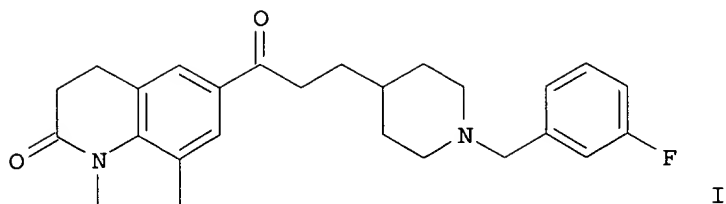
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001335576	A2	20011204	JP 2001-85190	20010323
US 2002177593	A1	20021128	US 2001-960477	20010924
PRIORITY APPLN. INFO.:			JP 2000-88523	A 20000324
			JP 1998-276677	A 19980930
			WO 1999-JP5367	W 19990930
			US 2001-787288	A2 20010315
			JP 2001-85190	A 20010323

GI



- AB Crystals of 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (I) or its salts, preferably having m.p. 113-118°, and pharmaceutical compns. containing the crystals are claimed. The compns. are useful for treatment of dysuria by increasing force of **bladder** emptying. The crystals may be used in combination with  $\alpha$ -blockers. Thus, crude crystal of I (preparation given) was dissolved in AcOEt/MeOH/CHCl<sub>3</sub> and the solution was subjected to silica gel chromatog. After repeating the process, the crystal was dissolved in EtOH and the solution was heated to remove EtOH and cooled under stirring for 6 h to give I having m.p. 114-117°.
- IC ICM C07D471-04  
ICS A61K031-437; A61K045-00; A61P013-00; A61P013-10; A61P025-28; A61P043-00
- CC 1-11 (Pharmacology)  
Section cross-reference(s): 27, 63
- ST condensed heterotricycle crystal prepn **acetylcholinesterase** inhibitor; pyrroloquinolinone deriv prepn **acetylcholinesterase** inhibitor dysuria treatment
- IT **Urinary** system, disease  
(dysuria; preparation of crystals of pyrroloquinolinone derivative as **acetylcholinesterase** inhibitor for treatment of dysuria)
- IT **Bladder**  
(force of emptying; preparation of crystals of pyrroloquinolinone derivative as **acetylcholinesterase** inhibitor for treatment of dysuria)
- IT Micturition  
(preparation of crystals of pyrroloquinolinone derivative as **acetylcholinesterase** inhibitor for treatment of dysuria)
- IT Adrenoceptor antagonists  
( $\alpha$ -; preparation of crystals of pyrroloquinolinone derivative as **acetylcholinesterase** inhibitor for treatment of dysuria)
- IT 9000-81-1, **Acetylcholinesterase**  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of crystals of pyrroloquinolinone derivative as **acetylcholinesterase** inhibitor for treatment of dysuria)
- IT 263248-16-4P  
RL: PAC (**Pharmacological activity**); SPN (Synthetic preparation); THU (**Therapeutic use**); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of crystals of pyrroloquinolinone derivative as **acetylcholinesterase** inhibitor for treatment of dysuria)
- IT 456-41-7, 3-Fluorobenzyl bromide 57369-32-1 131417-49-7, 3-(1-Acetyl-4-piperidinyl)propionic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of crystals of pyrroloquinolinone derivative as **acetylcholinesterase** inhibitor for treatment of dysuria)
- IT 215040-77-0P 215047-86-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of crystals of pyrroloquinolinone derivative as  
**acetylcholinesterase** inhibitor for treatment of dysuria)

IT 377724-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of crystals of pyrroloquinolinone derivative as  
**acetylcholinesterase** inhibitor for treatment of dysuria)

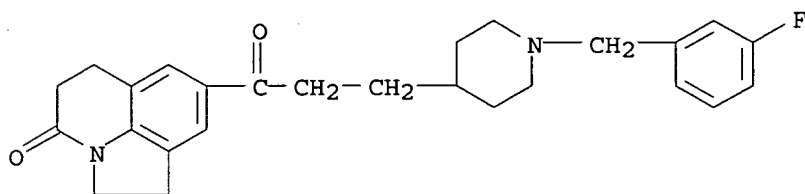
IT 263248-16-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of crystals of pyrroloquinolinone derivative as  
**acetylcholinesterase** inhibitor for treatment of dysuria)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



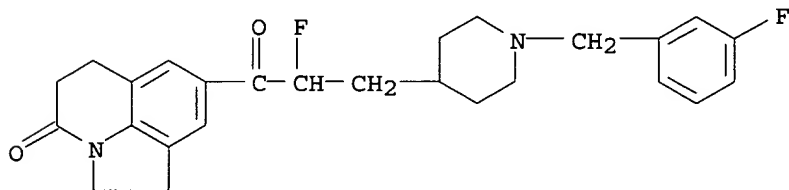
IT 377724-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of crystals of pyrroloquinolinone derivative as  
**acetylcholinesterase** inhibitor for treatment of dysuria)

RN 377724-20-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[2-fluoro-3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI)  
(CA INDEX NAME)



L54 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:227495 CAPLUS

DOCUMENT NUMBER: 132:260683

TITLE: **Acetylcholinesterase**-inhibiting amines for  
improving **bladder** vesical excretory strength

INVENTOR(S): Ishihara, Yuji; Doi, Takayuki; Nagabukuro, Hiroshi;  
Ishichi, Yuji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018391	A1	20000406	WO 1999-JP5367	19990930
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000169373	A2	20000620	JP 1999-275614	19990929
JP 2003192593	A2	20030709	JP 2002-354856	19990929
JP 2003201237	A2	20030718	JP 2002-354833	19990929
JP 3512786	B2	20040331		
CA 2344894	AA	20000406	CA 1999-2344894	19990930
AU 9959995	A1	20000417	AU 1999-59995	19990930
AU 758802	B2	20030327		
EP 1118322	A1	20010725	EP 1999-969675	19990930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9914163	A	20010814	BR 1999-14163	19990930
NZ 510685	A	20031031	NZ 1999-510685	19990930
CN 1535682	A	20041013	CN 2004-10039684	19990930
EP 1604653	A1	20051214	EP 2005-20329	19990930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
ZA 2001002426	A	20010925	ZA 2001-2426	20010323
NO 2001001602	A	20010522	NO 2001-1602	20010329
US 2002177593	A1	20021128	US 2001-960477	20010924
US 2004116457	A1	20040617	US 2003-726486	20031204
PRIORITY APPLN. INFO.:				
			JP 1998-276677	A 19980930
			JP 1999-275614	A3 19990929
			EP 1999-969675	A3 19990930
			WO 1999-JP5367	W 19990930
			US 2001-787288	A2 20010315
			JP 2001-85190	A 20010323

## OTHER SOURCE(S): MARPAT 132:260683

AB Drugs for improving **bladder** vesical excretory strength which contain a non-carbamate amine compound (Markush's structures given) having an **acetylcholinesterase** inhibitory effect.

IC ICM A61K031-13

ICS A61K031-445; A61K031-454; A61K031-4709; A61K031-55; A61K031-553; A61K031-4523; A61K031-4525; A61K031-4535; A61K031-473; A61K031-437; C07D211-32; C07D401-06; C07D413-06; C07D405-06; C07D409-06; C07D471-06; C07D219-10; C07D221-18; C07D491-107

CC 1-8 (Pharmacology)

Section cross-reference(s): 27, 63

ST amine **acetylcholinesterase** **bladder** vesical excretory strength

IT Amines, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(**acetylcholinesterase**-inhibiting amines for improving **bladder** vesical excretory strength)

IT **Bladder**

(diseases; **acetylcholinesterase**-inhibiting amines for

improving **bladder vesical excretory strength**)

IT Drug delivery systems  
(tablets; **acetylcholinesterase**-inhibiting amines for improving **bladder vesical excretory strength**)

IT 321-64-2P 120014-06-4P 142851-90-9P 142852-08-2P 142852-10-6P  
142852-40-2P 142852-50-4P 142872-93-3P 167633-55-8P 215047-93-1P  
215047-99-7P 215048-00-3P 215048-01-4P  
215048-02-5P 263248-06-2P 263248-07-3P  
263248-08-4P 263248-09-5P 263248-10-8P  
263248-11-9P 263248-12-0P 263248-13-1P  
263248-14-2P 263248-15-3P 263248-16-4P  
263248-17-5P 263248-18-6P 263248-19-7P  
263248-20-0P 263248-21-1P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); **THU**  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(**acetylcholinesterase**-inhibiting amines for improving  
**bladder vesical excretory strength**)

IT 120011-70-3 167633-54-7 263248-22-2 263248-23-3  
263248-24-4 263248-25-5 263248-26-6  
263248-27-7 263248-28-8 263248-29-9  
263248-30-2 263248-31-3 263248-32-4  
263248-33-5 263248-34-6 263248-35-7  
263248-36-8 263248-37-9 263248-38-0  
263248-39-1 263248-40-4 263248-41-5 263248-42-6  
263248-43-7 263248-44-8 263248-45-9 263248-46-0 263248-47-1  
263248-48-2  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); **THU** (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(**acetylcholinesterase**-inhibiting amines for improving  
**bladder vesical excretory strength**)

IT 9000-81-1, **Acetylcholinesterase**  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
(Biological study); PROC (Process)  
(**acetylcholinesterase**-inhibiting amines for improving  
**bladder vesical excretory strength**)

IT 456-41-7, 3-Fluorobenzyl bromide 57369-32-1 131417-49-7,  
3-(1-Acetyl-4-piperidiny)propionic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(**acetylcholinesterase**-inhibiting amines for improving  
**bladder vesical excretory strength**)

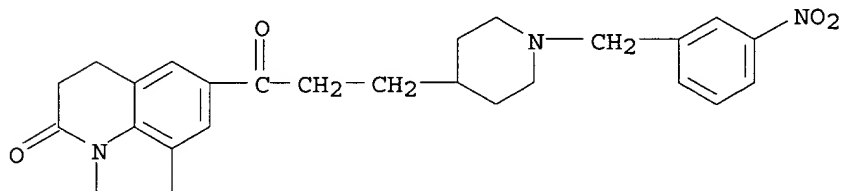
IT 142853-09-6P 215040-77-0P 215047-86-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(**acetylcholinesterase**-inhibiting amines for improving  
**bladder vesical excretory strength**)

IT 215047-99-7P 215048-00-3P 215048-01-4P  
215048-02-5P 263248-06-2P 263248-07-3P  
263248-08-4P 263248-09-5P 263248-10-8P  
263248-11-9P 263248-12-0P 263248-13-1P  
263248-14-2P 263248-15-3P 263248-16-4P  
263248-17-5P 263248-18-6P 263248-19-7P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); **THU**  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(**acetylcholinesterase**-inhibiting amines for improving

**bladder vesical excretory strength)**

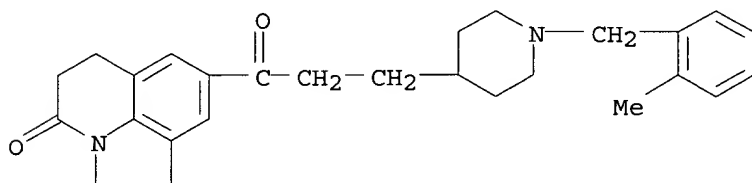
RN 215047-99-7 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



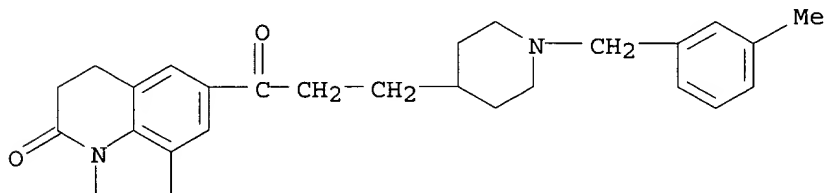
RN 215048-00-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



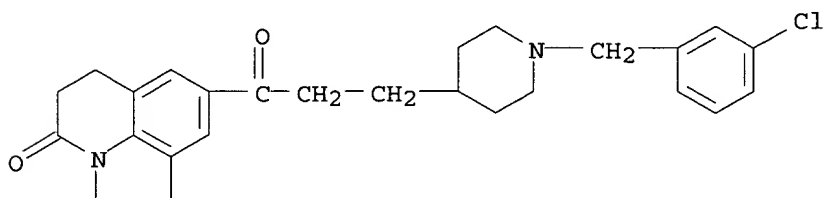
RN 215048-01-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 215048-02-5 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)

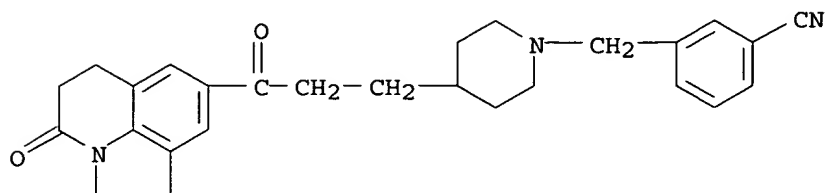


RN 263248-06-2 CAPLUS

CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-

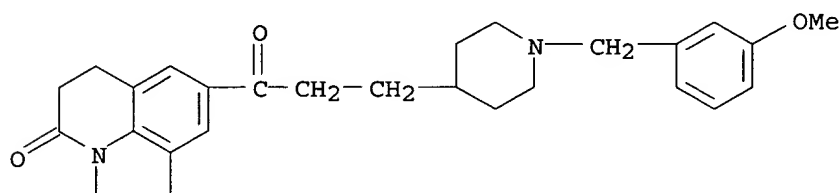


ij]quinolin-8-yl)propyl]-1-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



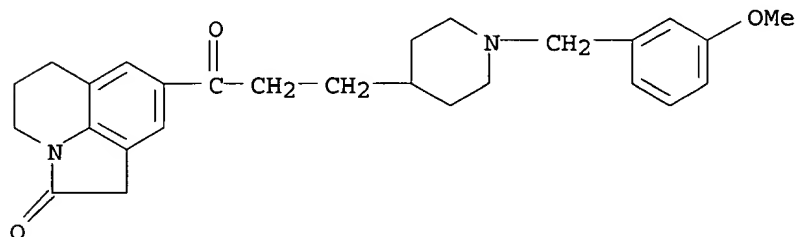
RN 263248-07-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



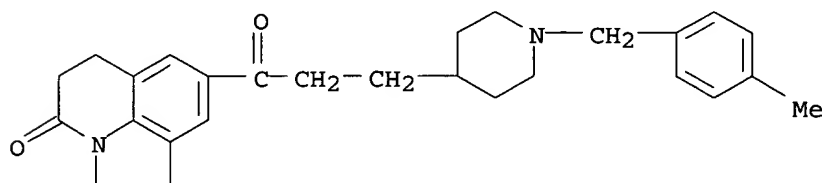
RN 263248-08-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



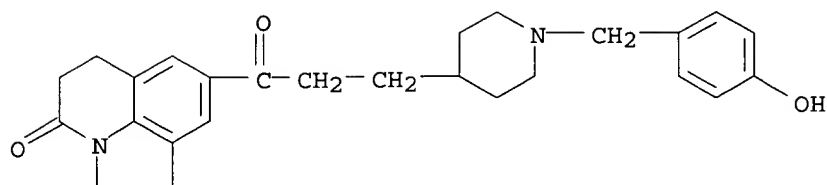
RN 263248-09-5 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



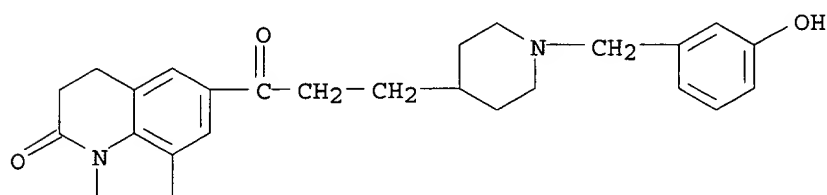
RN 263248-10-8 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



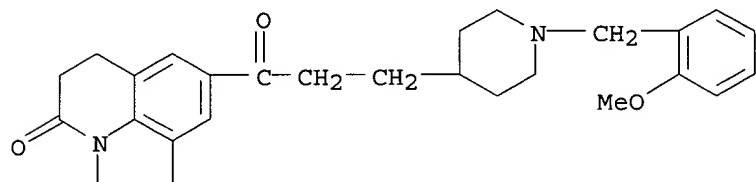
RN 263248-11-9 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



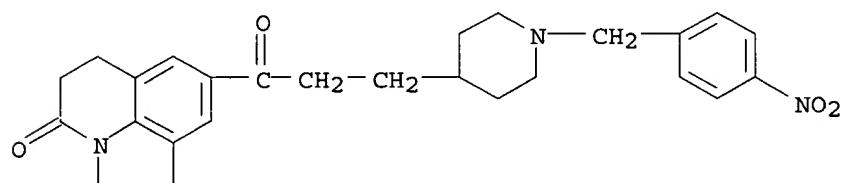
RN 263248-12-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



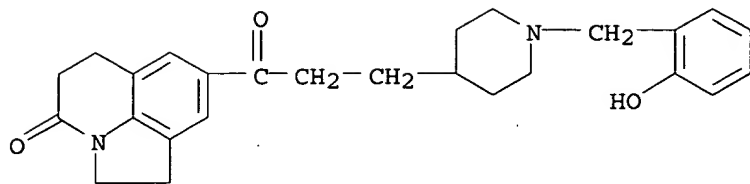
RN 263248-13-1 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



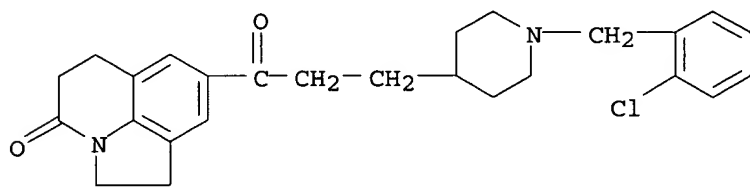
RN 263248-14-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



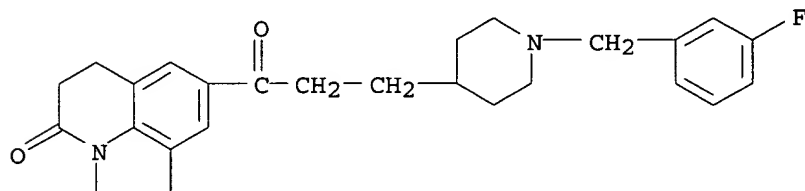
RN 263248-15-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



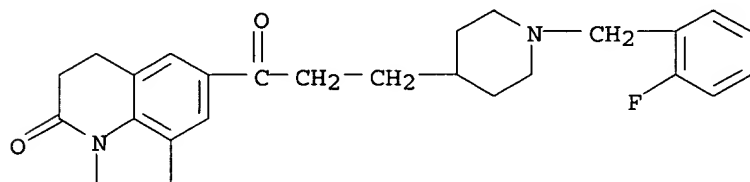
RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



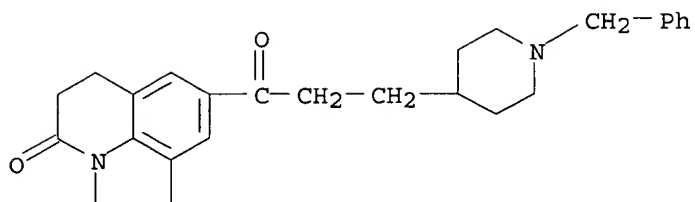
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CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



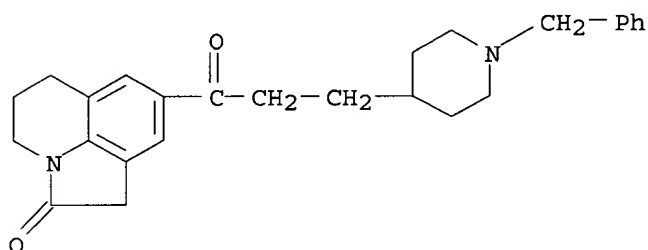
RN 263248-18-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 263248-19-7 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]- (9CI) (CA INDEX NAME)

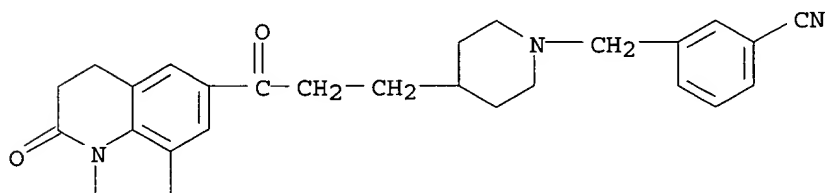


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 263248-37-9 263248-38-0 263248-39-1

RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (acetylcholinesterase-inhibiting amines for improving  
 bladder vesical excretory strength)

RN 263248-22-2 CAPLUS

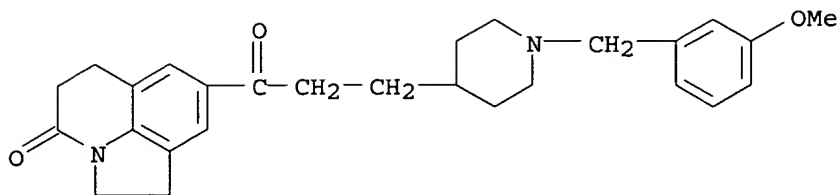
CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidiny]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

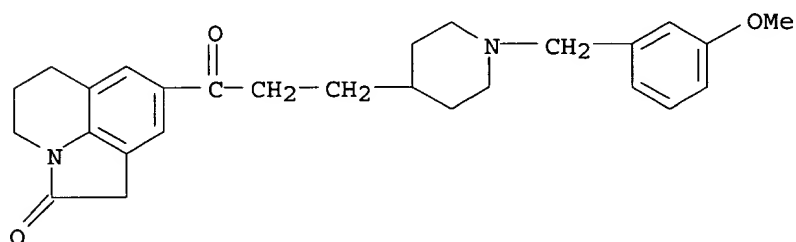
RN 263248-23-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



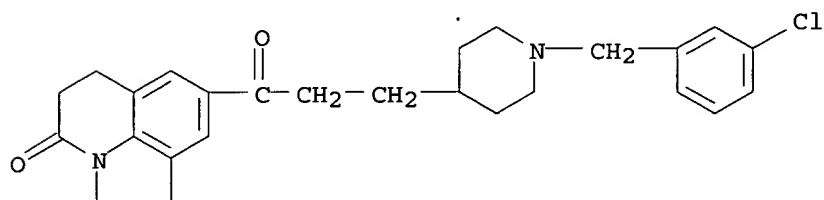
● HCl

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CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

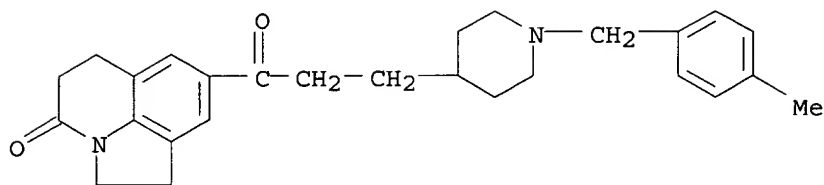
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● HCl

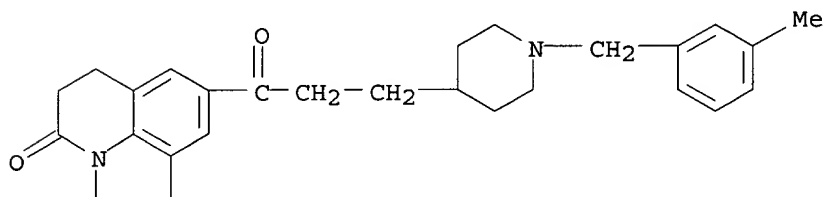
RN 263248-26-6 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)

(CA INDEX NAME)



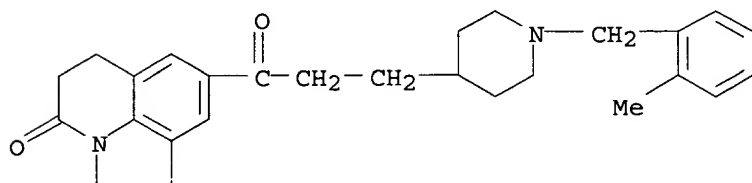
● HCl

RN 263248-27-7 CAPLUS  
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 (CA INDEX NAME)



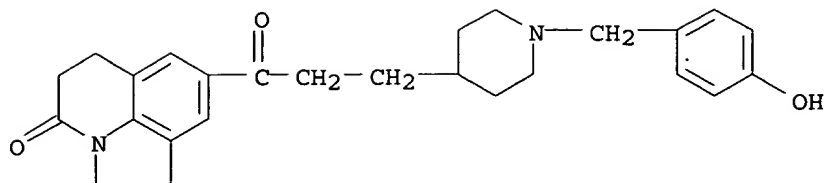
● HCl

RN 263248-28-8 CAPLUS  
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 (CA INDEX NAME)



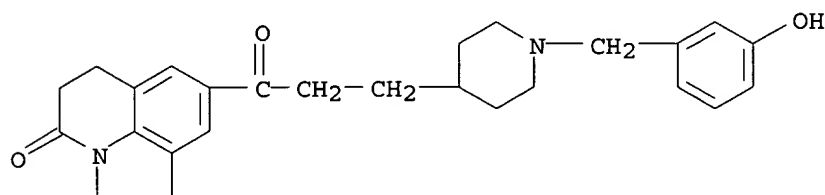
● HCl

RN 263248-29-9 CAPLUS  
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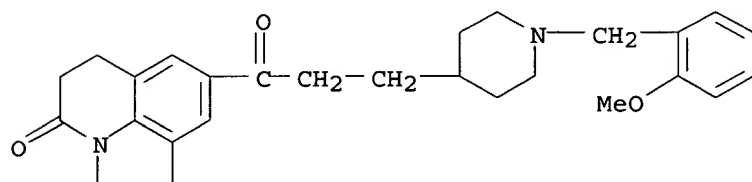
● HCl

RN 263248-30-2 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



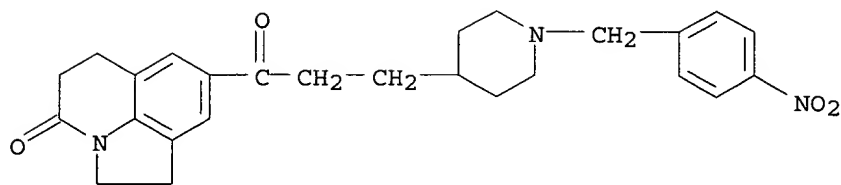
● HCl

RN 263248-31-3 CAPLUS  
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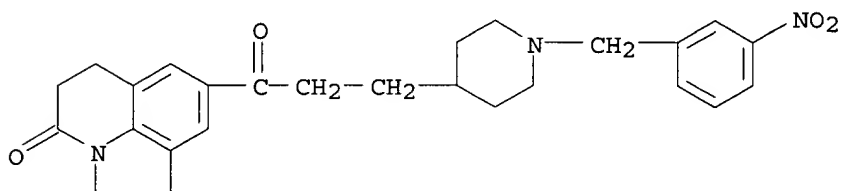
● HCl

RN 263248-32-4 CAPLUS  
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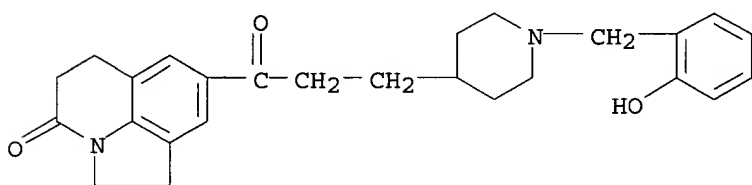
● HCl

RN 263248-33-5 CAPLUS  
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 (CA INDEX NAME)



● HCl

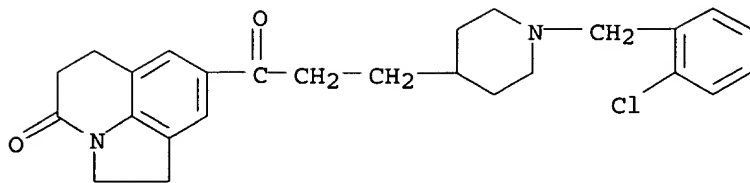
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 (CA INDEX NAME)



● HCl

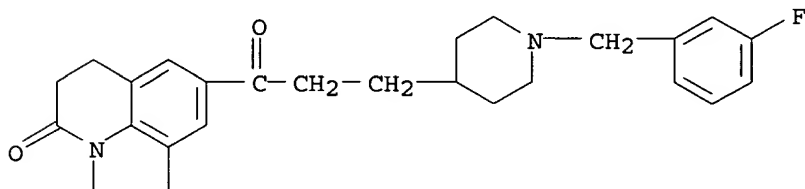
RN 263248-35-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)





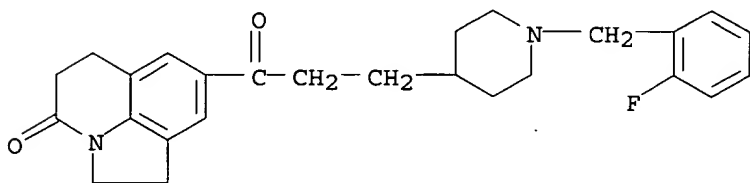
● HCl

RN 263248-36-8 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



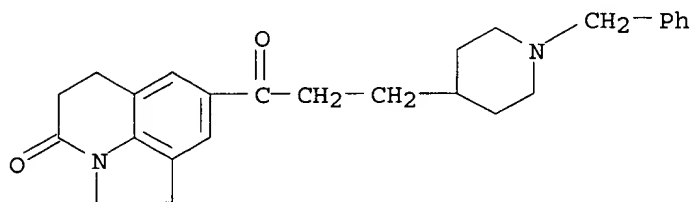
● HCl

RN 263248-37-9 CAPLUS  
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(CA INDEX NAME)



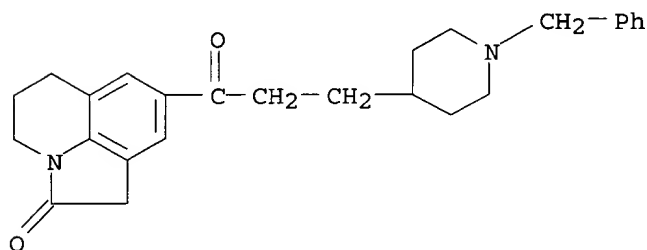
● HCl

RN 263248-38-0 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-39-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:708810 CAPLUS  
 DOCUMENT NUMBER: 129:330744  
 TITLE: Preparation of benzazepine thermogenics  
 INVENTOR(S): Ishihara, Yuji; Fujisawa, Yukio; Furuyama, Naoki  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 399 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846590	A1	19981022	WO 1998-JP1753	19980416
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, ML, MR, NE, SN, TD, TG

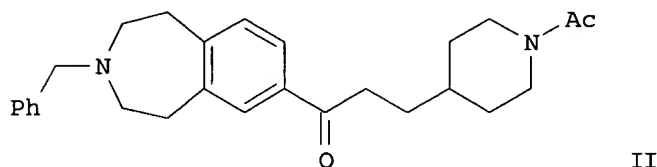
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AU 9868528	A1	19981111	AU 1998-68528	19980416
EP 975624	A1	20000202	EP 1998-914055	19980416

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

JP 11310532	A2	19991109	JP 1998-107257	19980417
US 6534496	B1	20030318	US 1999-402806	19991007

PRIORITY APPLN. INFO.: JP 1997-100675 A 19970417  
JP 1998-41495 A 19980224  
WO 1998-JP1753 W 19980416

OTHER SOURCE(S): MARPAT 129:330744  
GI



AB The title compds. ArC(O)(CHR)<sub>n</sub>Y [I; Ar = Ph which may be substituted and/or condensed; n = 1-10; R = H, hydrocarbon group which may be substituted, which may not be the same in n occurrences; R may be bound to either Ar or a substituent on Ar; Y = (un)substituted NH<sub>2</sub>, (un)substituted nitrogen-containing saturated heterocyclic group] and their salts, useful as thermogenic, antiobesity, and lipolytic agents, or as prophylactic and/or treating drugs for obesity-associated diseases or diabetes with a reduced risk for central side effects and high universality in usage, were prepared and formulated. Thus, reaction of 3-(1-acetyl-4-piperidiny)propionyl chloride with 3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepine in the presence of AlCl<sub>3</sub> in CH<sub>2</sub>Cl<sub>2</sub> followed by treatment of the resulting 3-(1-acetyl-4-piperidiny)-1-(3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-propanone in MeOH with concentrate HCl, and reaction of 3-(1-acetyl-4-piperidiny)-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-propanone with benzyl bromide afforded the title compound II.HCl which showed cAMP concentration of 1369.1 pmol/mL at 10<sup>-5</sup> M in **murine** preadipocyte line (3T3-L1).

IC ICM C07D401-06

ICS A61K031-55; C07D413-06; C07D413-14; C07D401-14; A61K031-44;  
A61K031-40; C07D417-14; C07D405-14; C07D409-14

CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 131416-89-2P 142851-90-9P 142851-95-4P 142852-00-4P 142852-05-9P  
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RL: **BAC (Biological activity or effector, except adverse)**; BSU  
(Biological study, unclassified); SPN (Synthetic preparation); **THU**  
(**Therapeutic use**); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of benzazepine thermogenics)

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215047-99-7P 215048-00-3P 215048-01-4P

215048-02-5P 215048-03-6P 215048-04-7P 215048-05-8P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of benzazepine thermogenics)

IT 157647-28-4P 157647-30-8P 157647-34-2P

157647-43-3P 157647-45-5P 157647-49-9P

157647-51-3P 157647-53-5P 157647-57-9P

157647-76-2P 215040-79-2P 215040-80-5P

215047-99-7P 215048-00-3P 215048-01-4P

215048-02-5P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU

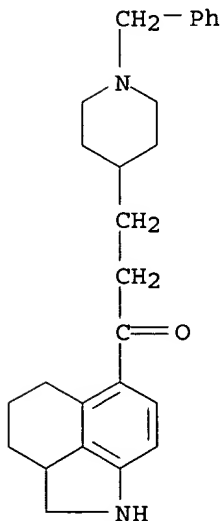
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of benzazepine thermogenics)

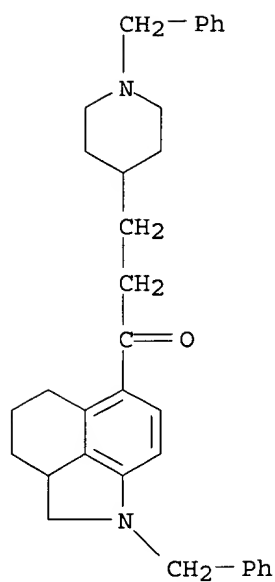
RN 157647-28-4 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]- (9CI) (CA INDEX NAME)



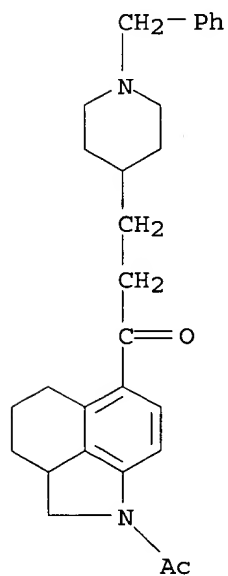
RN 157647-30-8 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidiny]- (9CI) (CA INDEX NAME)



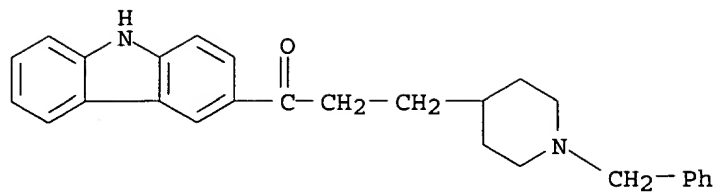
RN 157647-34-2 CAPLUS

CN Benz[cd]indole, 1-acetyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



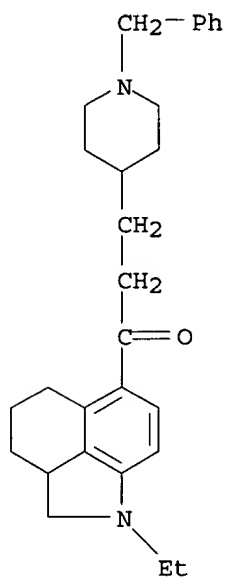
RN 157647-43-3 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



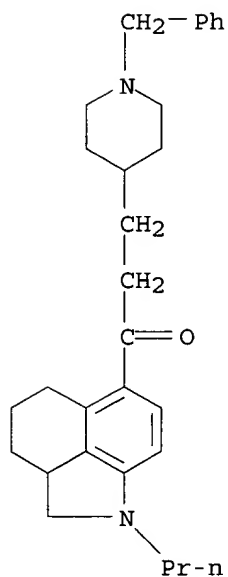
RN 157647-45-5 CAPLUS

CN 1-Propanone, 1-(1-ethyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]- (9CI) (CA INDEX NAME)



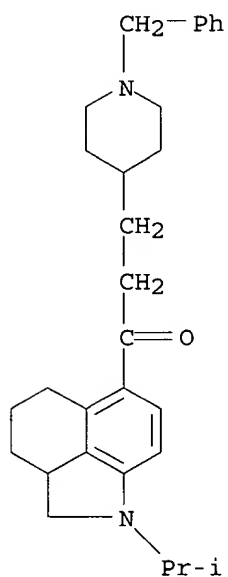
RN 157647-49-9 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-propylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]- (9CI) (CA INDEX NAME)



RN 157647-51-3 CAPLUS

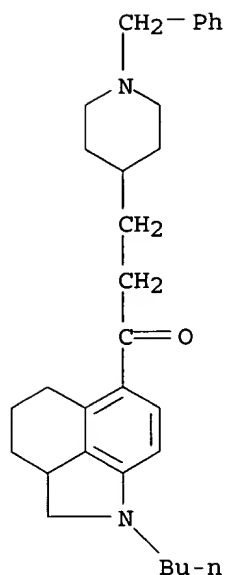
CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(1-methylethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 157647-53-5 CAPLUS

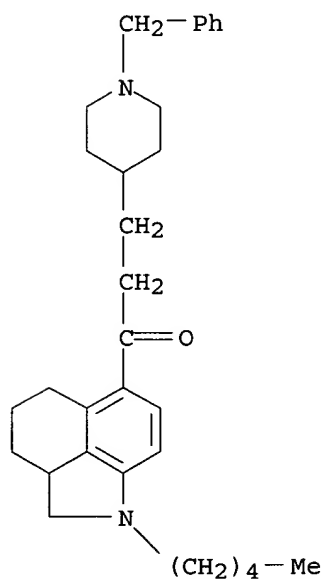
CN 1-Propanone, 1-(1-butyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)





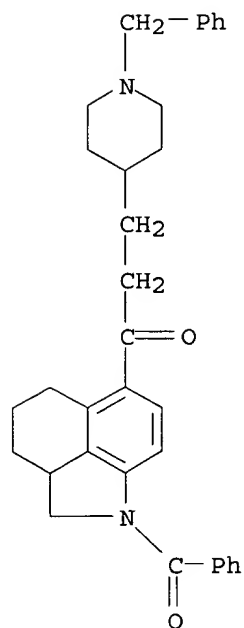
RN 157647-57-9 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-pentylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

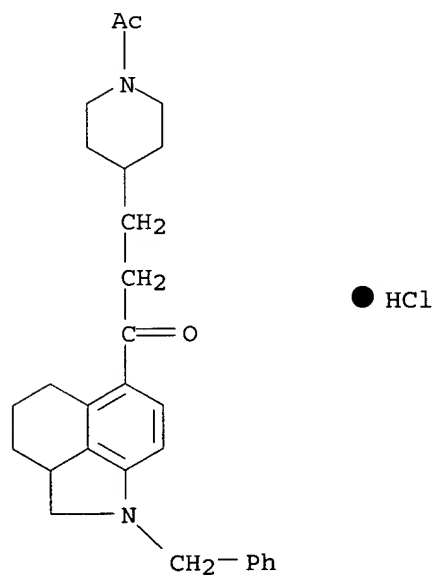


RN 157647-76-2 CAPLUS

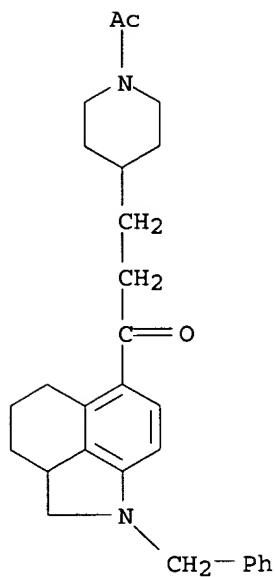
CN Benz[cd]indole, 1-benzoyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 215040-79-2 CAPLUS  
 CN Piperidine, 1-acetyl-4-[3-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)

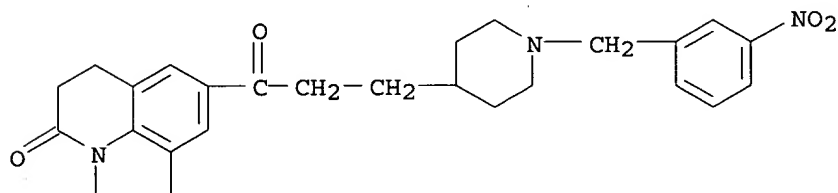


RN 215040-80-5 CAPLUS  
 CN Piperidine, 1-acetyl-4-[3-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-oxopropyl]- (9CI) (CA INDEX NAME)



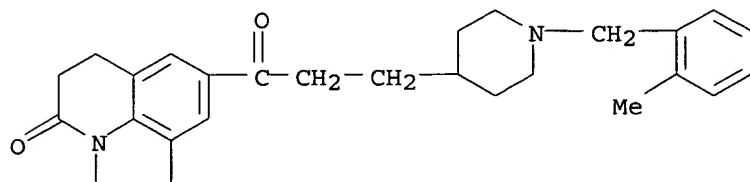
RN 215047-99-7 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidiny]]-1-oxopropyl]- (9CI) (CA INDEX NAME)



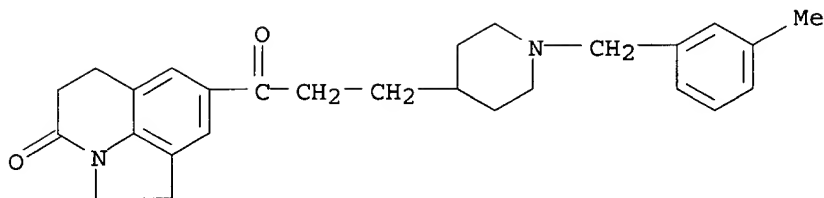
RN 215048-00-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidiny]]-1-oxopropyl]- (9CI) (CA INDEX NAME)



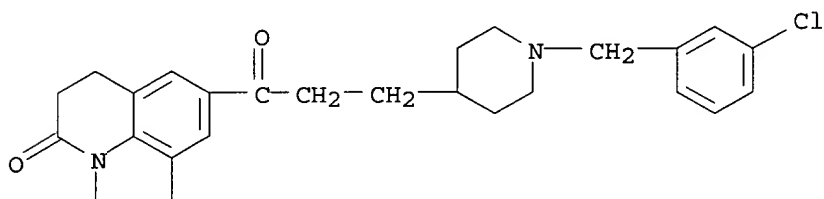
RN 215048-01-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methylphenyl)methyl]-4-piperidiny]]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 215048-02-5 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:787188 CAPLUS

DOCUMENT NUMBER: 123:198832

TITLE: Tetracyclic condensed heterocyclic compounds for the treatment of senile dementia.

INVENTOR(S): Goto, Giichi; Ishihara, Yuji; Miyamoto, Masaomi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 53 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

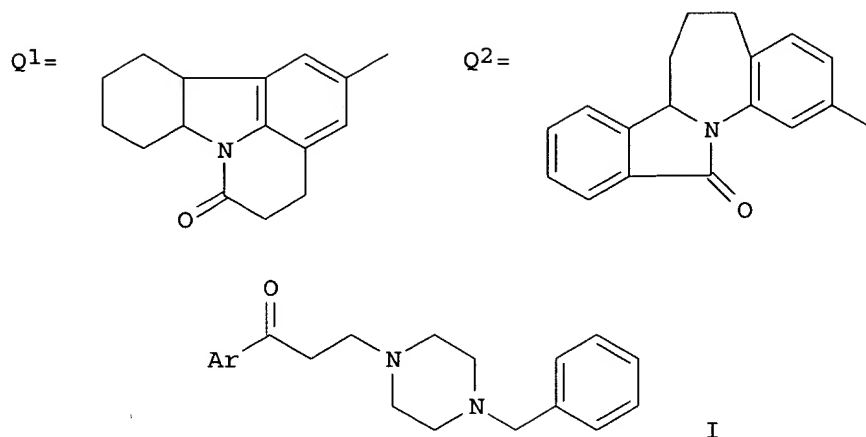
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 655451	A1	19950531	EP 1994-118734	19941129
EP 655451	B1	20010620		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5620973	A	19970415	US 1994-330133	19941025
CA 2136913	AA	19950531	CA 1994-2136913	19941129
JP 07309835	A2	19951128	JP 1994-294754	19941129
AT 202354	E	20010715	AT 1994-118734	19941129
US 5814642	A	19980929	US 1996-681911	19960730
PRIORITY APPLN. INFO.:			JP 1993-299799	A 19931130
			JP 1994-55984	A 19940325
			US 1994-330133	A3 19941025

OTHER SOURCE(S): CASREACT 123:198832; MARPAT 123:198832

GI



AB Title compds. ArCO(CHR1)nY [Ar = (un)substituted tetracyclic fused heterocyclic group; R1 = H or (un)substituted hydrocarbyl; n = 1-10; Y = amino or N-containing saturated (un)substituted heterocyclic group] and their salts are claimed. The compds. show excellent cholinesterase inhibitory activity and monoamine uptake inhibitory activity, thus being useful as therapeutic and/or prophylactic medicaments for senile dementia and Alzheimer's disease, and also as antidepressants. For example, 1,2,3,4,4a,9a-hexahydrocarbazole underwent N-acylation by ClCH2CH2COCl, Friedel-Crafts cyclization by AlCl3, and Friedel-Crafts acylation by treatment with both ClCH2CH2COCl and AlCl3, to give pyridocarbazolone derivative ArCOCH2CH2Cl [Ar = Q1]. Reaction of the latter with 1-benzylpiperazine gave title compound I [Ar = Q1] as the di-HCl salt. The similarly prepared compound I [Ar = Q2] had IC50 of 0.0164  $\mu$ M for inhibition of rat cerebral cholinesterase in vitro, vs. 0.220 for physostigmine and 0.300 for THA. The same compound was also as potent as imipramine in a monoamine uptake inhibitor assay.

IC ICM C07D487-04  
ICS C07D471-06; A61K031-55; A61K031-435

ICI C07D487-04, C07D223-00, C07D209-00; C07D471-06, C07D221-00, C07D209-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

IT 9000-81-1, **Acetylcholinesterase** 9001-08-5, Cholinesterase  
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)  
(inhibitors of; preparation of tetracyclic heterocyclics for treatment of senile dementia)

IT **167633-48-9P 167633-49-0P 167633-50-3P 167633-51-4P**  
**167633-52-5P 167633-54-7P 167633-55-8P 167633-57-0P**  
**167633-58-1P 167633-59-2P 167633-60-5P 167633-61-6P**  
**167633-62-7P 167633-63-8P 167633-64-9P 167633-75-2P**  
**167633-76-3P 167633-77-4P 167633-78-5P**  
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of tetracyclic heterocyclics for treatment of senile dementia)

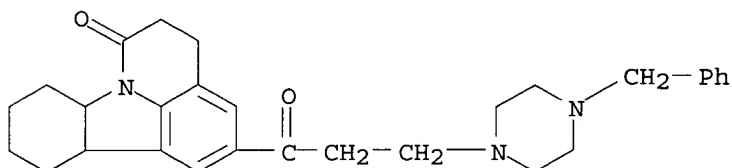
IT **167633-48-9P 167633-49-0P 167633-52-5P**  
**167633-61-6P 167633-62-7P**  
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(preparation of tetracyclic heterocyclics for treatment of senile dementia)

RN 167633-48-9 CAPLUS

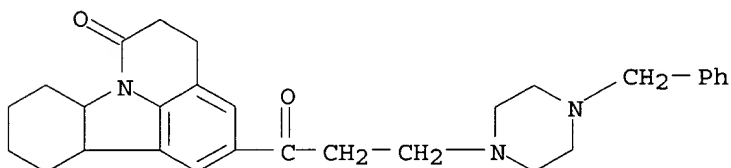
CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

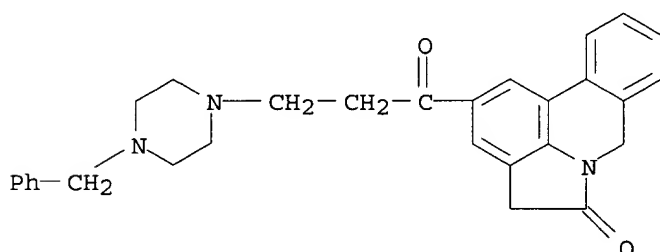
RN 167633-49-0 CAPLUS

CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



RN 167633-52-5 CAPLUS

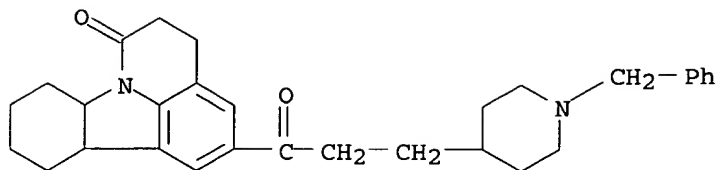
CN 7H-Pyrrolo[3,2,1-de]phenanthridin-5(4H)-one, 2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 167633-61-6 CAPLUS

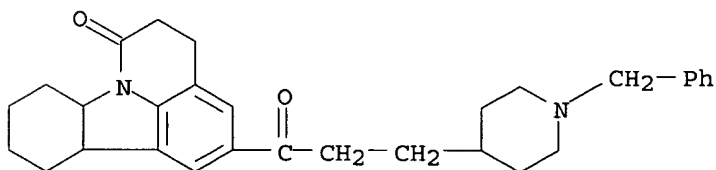
CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 167633-62-7 CAPLUS  
 CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]-, (2E)-2-butenedioate (1:1)  
 (9CI) (CA INDEX NAME)

CM 1

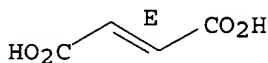
CRN 167633-61-6  
 CMF C30 H36 N2 O2



CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



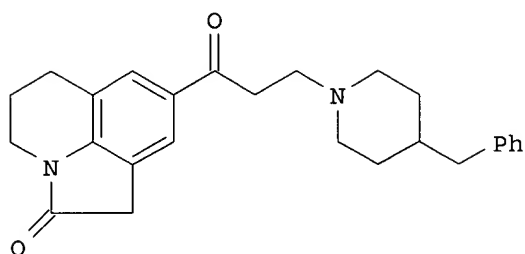
L54 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1994:579506 CAPLUS  
 DOCUMENT NUMBER: 121:179506  
 TITLE: Preparation of heterocyclylalkanoyl-tricyclic condensed heterocyclic compounds as psychoanaleptics  
 INVENTOR(S): Goto, Giichi; Ishihara, Yuji; Hirai, Keisuke  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 126 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 607864	A2	19940727	EP 1994-100403	19940113
EP 607864	A3	19941012		
EP 607864	B1	20030917		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

ZA 9400203	A	19950712	ZA 1994-203	19940112
AT 250031	E	20031015	AT 1994-100403	19940113
CA 2113603	AA	19940719	CA 1994-2113603	19940117
NO 9400163	A	19940719	NO 1994-163	19940117
HU 66182	A2	19940928	HU 1994-132	19940117
FI 9400229	A	19941021	FI 1994-229	19940117
CN 1104211	A	19950628	CN 1994-100503	19940117
AU 9453861	A1	19940721	AU 1994-53861	19940118
AU 670981	B2	19960808		
JP 07206854	A2	19950808	JP 1994-3319	19940118
JP 3286056	B2	20020527		
US 5527800	A	19960618	US 1994-182239	19940118
JP 2002201177	A2	20020716	JP 2001-336391	19940118
US 5686466	A	19971111	US 1996-618796	19960320
PRIORITY APPLN. INFO.:			JP 1993-5535	A 19930118
			JP 1993-173287	A 19930713
			JP 1993-239672	A 19930927
			JP 1993-299827	A 19931130
			JP 1994-3319	A3 19940118
			US 1994-182239	A3 19940118

OTHER SOURCE(S): MARPAT 121:179506  
GI



AB RCO(CHR1)nY [R = (un)substituted tricyclic heteroaryl; R1 = H, hydrocarbyl; Y = (un)substituted 4-piperidinyl, 1-piperazinyl, 4-benzyl-1-piperidinyl; n = 2-10] were prepared as monoamine reuptake and cholinesterase inhibitors. Thus, title compound I had IC<sub>50</sub> of 0.0783 and 0.00879  $\mu$ M against reuptake of norepinephrine and serotonin by rat synaptosomal membrane preparation in vitro.

IC ICM C07D209-56  
ICS A61K031-445; A61K031-495; C07D209-86; C07D471-06; C07D487-06; C07D223-18; C07D455-04; C07D401-06; C07D273-06; C07D307-91

ICI C07D471-06, C07D221-00, C07D209-00; C07D487-06, C07D223-00, C07D209-00; C07D471-06, C07D223-00, C07D221-00

CC 27-21 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 9000-81-1, **Acetylcholinesterase**  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(inhibitors of, heterocyclylalkanoyl-tricyclic condensed heterocyclic compds. as)

IT 157647-24-0P 157647-25-1P 157647-26-2P  
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 157648-67-4P 157648-68-5P 157648-69-6P  
 157648-70-9P 157648-71-0P 157648-72-1P  
 157648-73-2P 157648-74-3P 157648-75-4P 157648-76-5P  
 157648-77-6P 157648-78-7P 157648-79-8P 157648-80-1P 157648-81-2P  
 157648-82-3P 157648-83-4P 157648-84-5P 157648-85-6P 157648-86-7P  
 157648-87-8P 157648-88-9P 157648-89-0P 157648-91-4P 157648-92-5P  
 157648-93-6P 157648-94-7P 157648-96-9P 157648-97-0P  
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 157649-01-9P 157649-02-0P 157649-03-1P  
 157649-04-2P 157649-05-3P 157649-06-4P  
 157649-07-5P 157649-08-6P 157649-09-7P  
 157649-10-0P 157649-11-1P 157649-12-2P 157649-13-3P  
 157649-14-4P 157649-15-5P

RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of, as psychoanaleptic agent)

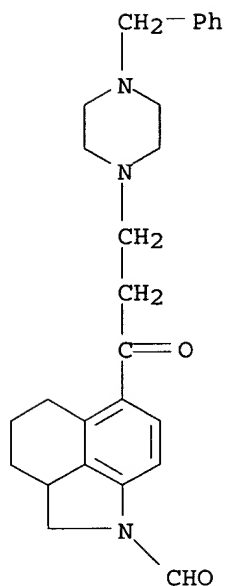
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157647-35-3P 157647-36-4P 157647-37-5P  
157647-38-6P 157647-42-2P 157647-43-3P  
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157647-48-8P 157647-50-2P 157647-52-4P  
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157648-42-5P 157648-43-6P 157648-44-7P  
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157648-96-9P 157648-98-1P 157648-99-2P  
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157649-03-1P 157649-04-2P 157649-05-3P  
157649-06-4P 157649-07-5P 157649-08-6P  
157649-09-7P 157649-10-0P

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of, as psychoanaleptic agent)

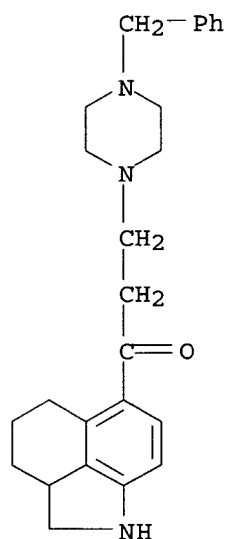
RN 157647-24-0 CAPLUS

CN Benz[cd]indole-1(2H)-carboxaldehyde, 2a,3,4,5-tetrahydro-6-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



RN 157647-25-1 CAPLUS

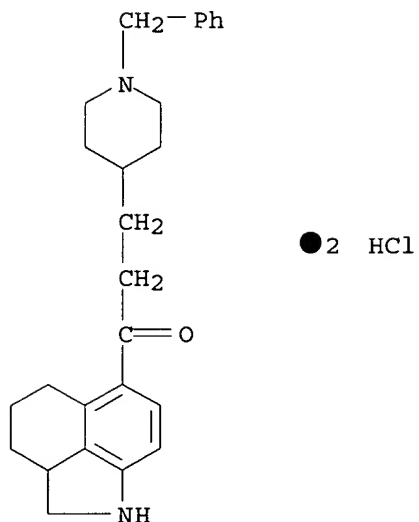
CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[4-(phenylmethyl)-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

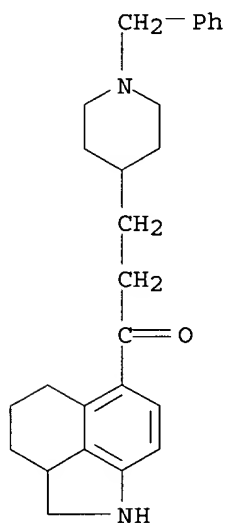
RN 157647-27-3 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



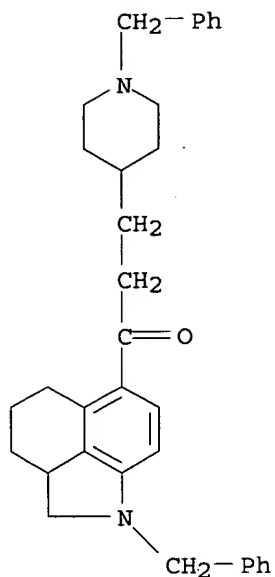
RN 157647-28-4 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 157647-30-8 CAPLUS

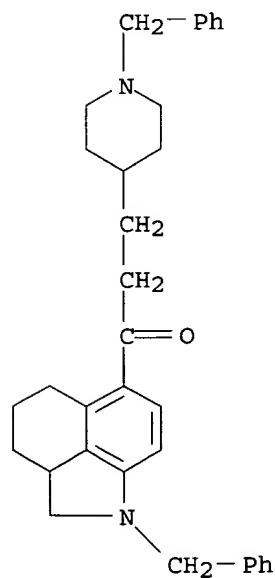
CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 157647-31-9 CAPLUS  
 CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-  
 3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA  
 INDEX NAME)

CM 1

CRN 157647-30-8  
 CMF C33 H38 N2 O

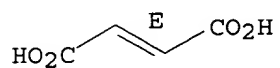


CM 2

CRN 110-17-8

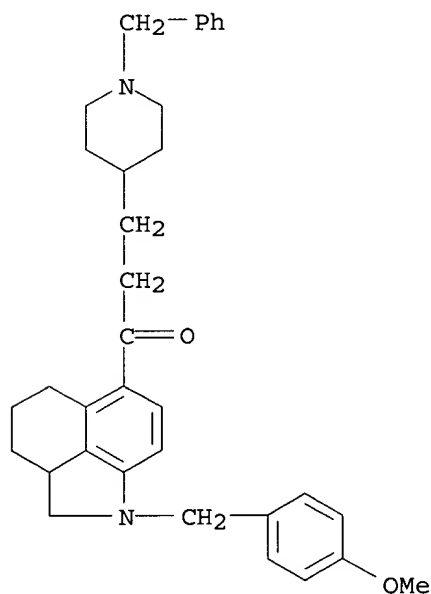
CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-32-0 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-[(4-methoxyphenyl)methyl]benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



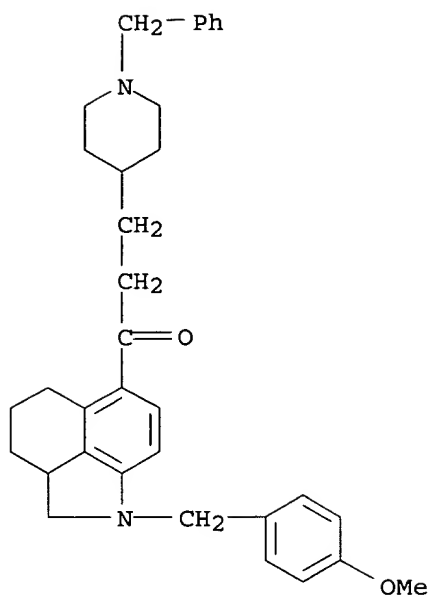
RN 157647-33-1 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-[(4-methoxyphenyl)methyl]benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-32-0

CMF C34 H40 N2 O2

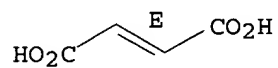


CM 2

CRN 110-17-8

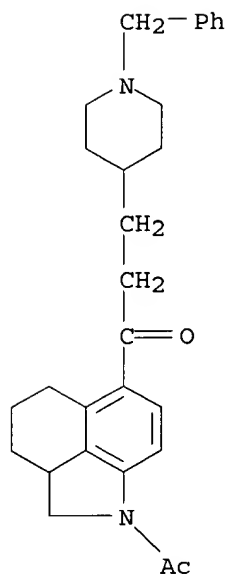
CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-34-2 CAPLUS

CN Benz[cd]indole, 1-acetyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



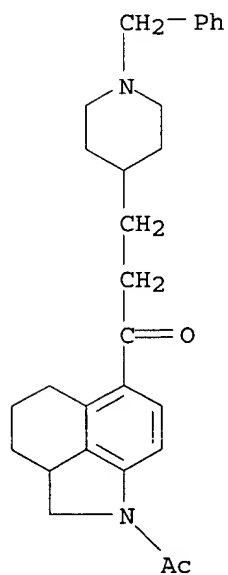
RN 157647-35-3 CAPLUS

CN Benz[cd]indole, 1-acetyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-34-2

CMF C28 H34 N2 O2



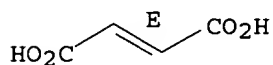
CM 2

CRN 110-17-8



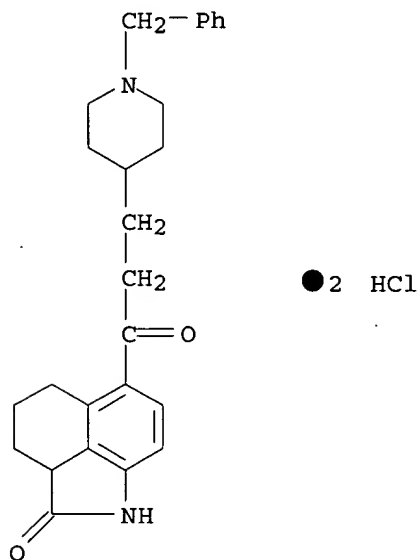
CMF C4 H4 O4

Double bond geometry as shown.



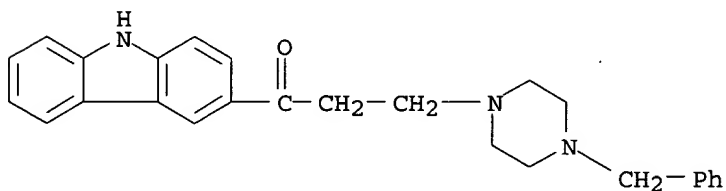
RN 157647-36-4 CAPLUS

CN Benz[cd]indol-2(1H)-one, 2a,3,4,5-tetrahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



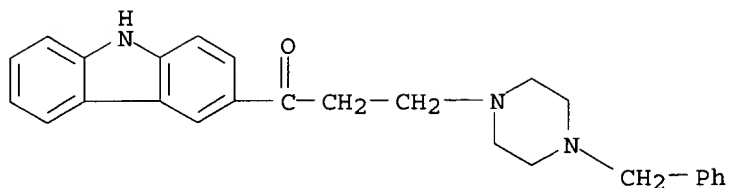
RN 157647-37-5 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[4-(phenylmethyl)-1-piperaziny]-, dihydrochloride (9CI) (CA INDEX NAME)



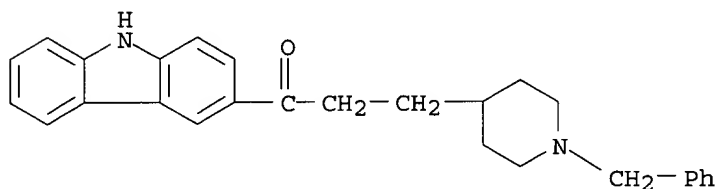
RN 157647-38-6 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[4-(phenylmethyl)-1-piperaziny]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-42-2 CAPLUS

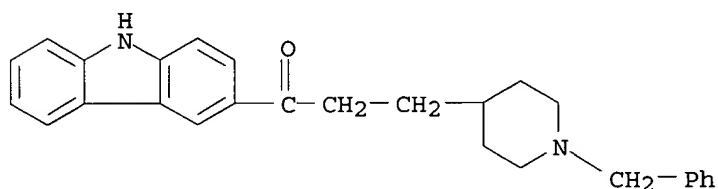
CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

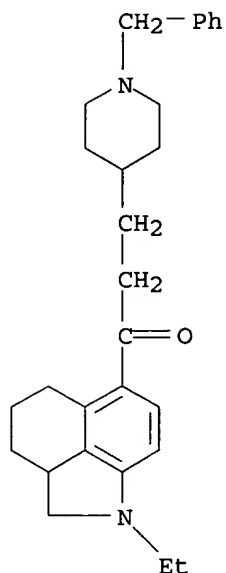
RN 157647-43-3 CAPLUS

CN 1-Propanone, 1-(1-ethyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (9CI) (CA INDEX NAME)



RN 157647-45-5 CAPLUS

CN 1-Propanone, 1-(1-ethyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (9CI) (CA INDEX NAME)



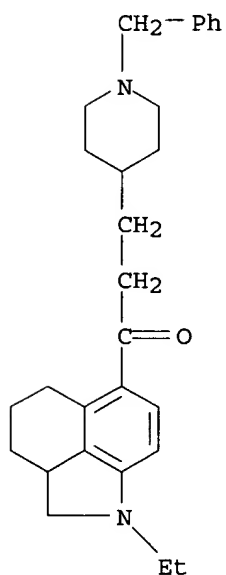
RN 157647-46-6 CAPLUS

CN 1-Propanone, 1-(1-ethyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-45-5

CMF C28 H36 N2 O

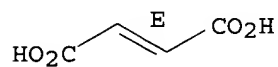


CM 2

CRN 110-17-8

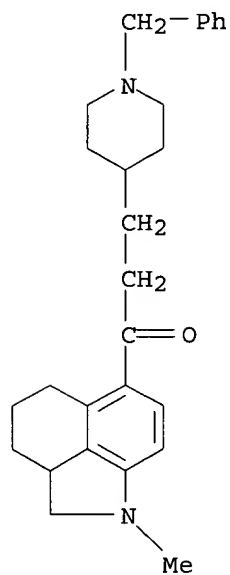
CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-47-7 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-methylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



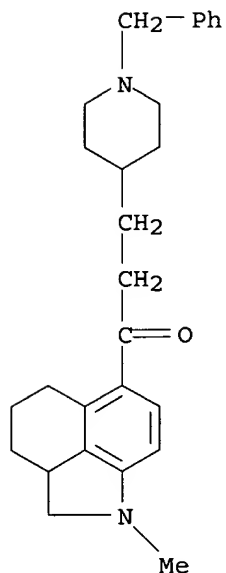
RN 157647-48-8 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-methylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-47-7

CMF C27 H34 N2 O

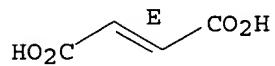


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



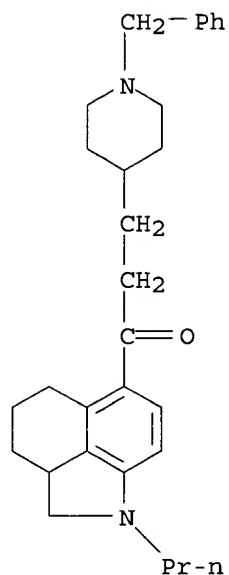
RN 157647-50-2 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-propylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-49-9

CMF C29 H38 N2 O

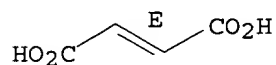


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



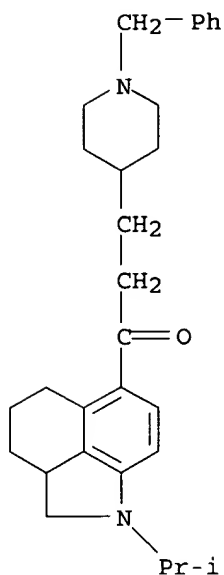
RN 157647-52-4 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(1-methylethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-51-3

CMF C29 H38 N2 O

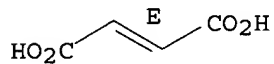


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



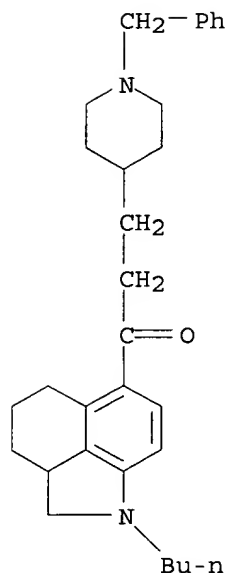
RN 157647-54-6 CAPLUS

CN 1-Propanone, 1-(1-butyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-53-5

CMF C30 H40 N2 O

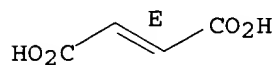


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-56-8 CAPLUS

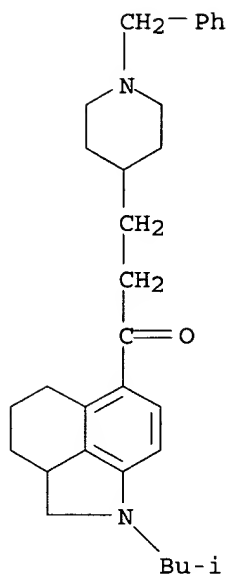
CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(2-methylpropyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-55-7

CMF C30 H40 N2 O



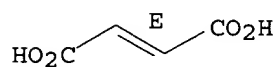


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



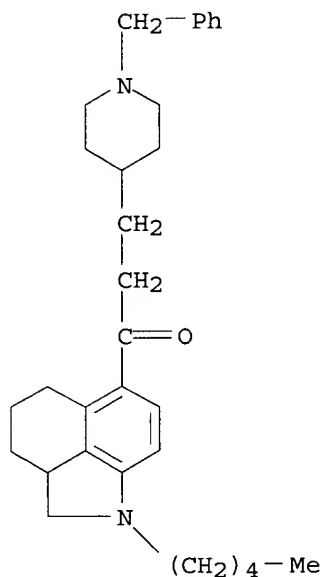
RN 157647-58-0 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-pentylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-57-9

CMF C31 H42 N2 O

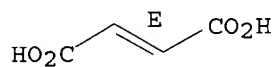


CM 2

CRN 110-17-8

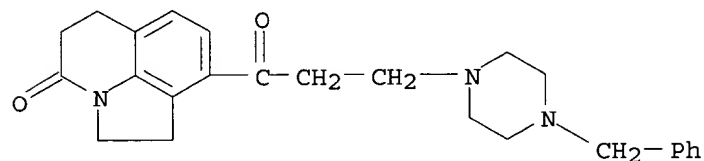
CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-59-1 CAPLUS

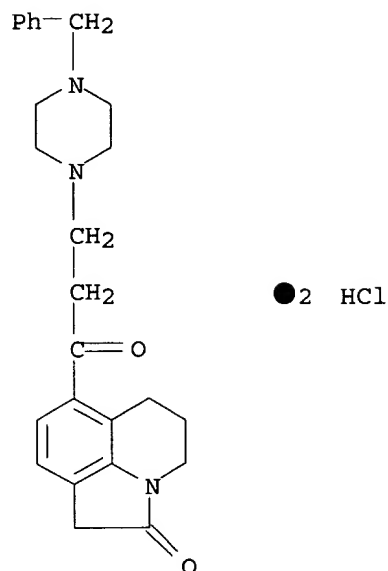
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



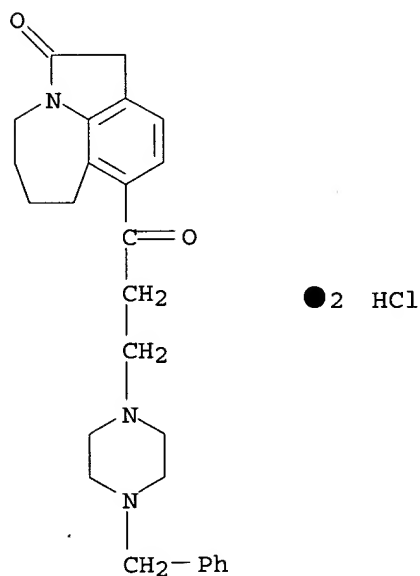
●2 HCl

RN 157647-60-4 CAPLUS

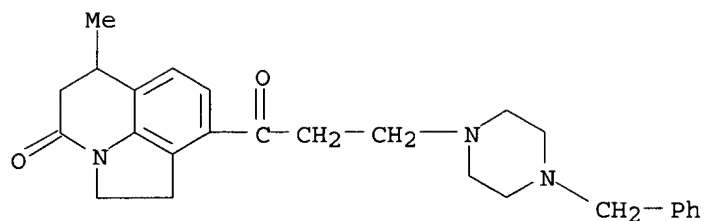
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-61-5 CAPLUS  
 CN Azepino[3,2,1-hi]indol-2(1H)-one, 4,5,6,7-tetrahydro-8-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



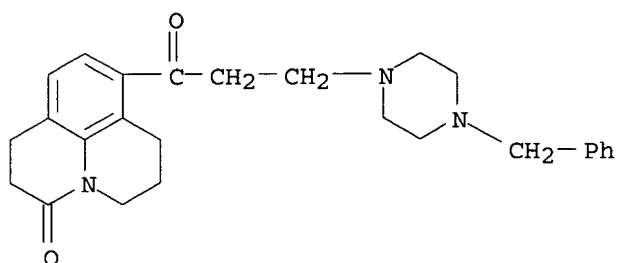
RN 157647-62-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-6-methyl-9-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157647-64-8 CAPLUS

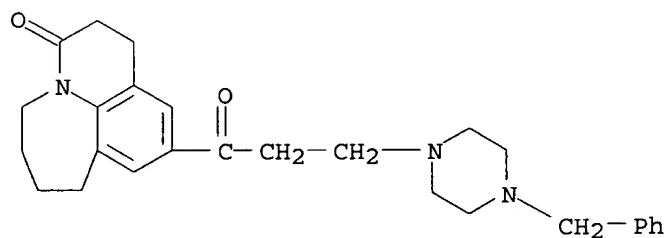
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157647-67-1 CAPLUS

CN 3H-Pyrido[3,2,1-*jk*][1]benzazepin-3-one, 1,2,5,6,7,8-hexahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

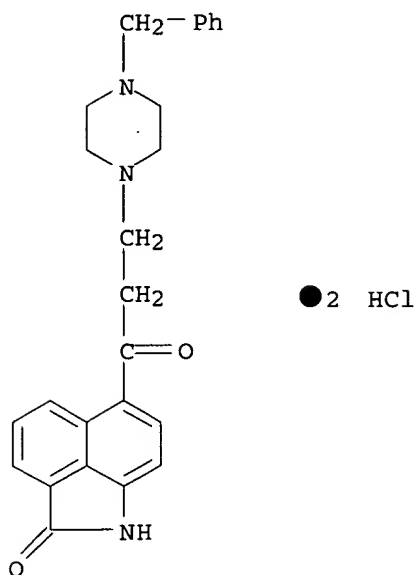


● 2 HCl

RN 157647-69-3 CAPLUS

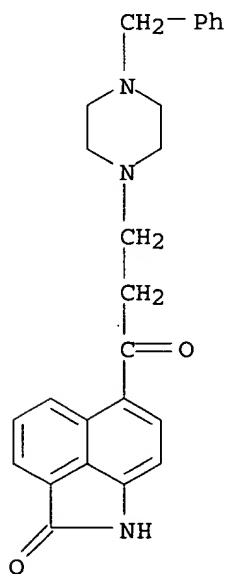
CN Benz[*cd*]indol-2(1H)-one, 6-[1-oxo-3-[4-(phenylmethyl)-1-

piperazinyllpropyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-70-6 CAPLUS

CN Benz[cd]indol-2(1H)-one, 6-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



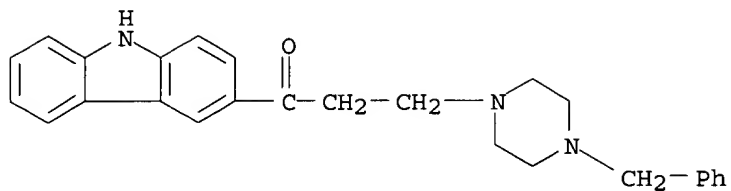
RN 157647-71-7 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[4-(phenylmethyl)-1-piperazinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-38-6

CMF C26 H27 N3 O

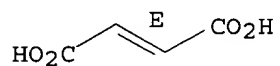


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



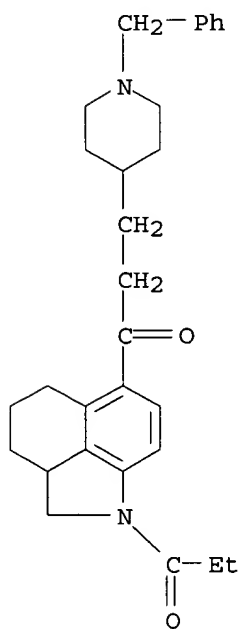
RN 157647-75-1 CAPLUS

CN Benz[cd]indole, 1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-1-(1-oxopropyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-74-0

CMF C29 H36 N2 O2

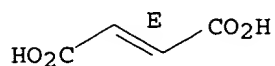


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



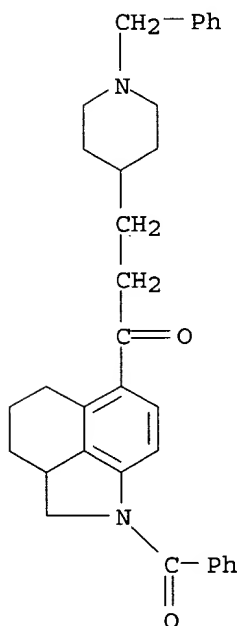
RN 157647-77-3 CAPLUS

CN Benz[cd]indole, 1-benzoyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-76-2

CMF C33 H36 N2 O2

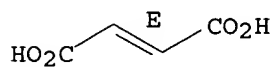


CM 2

CRN 110-17-8

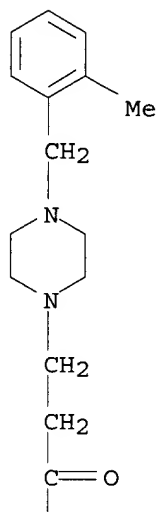
CMF C4 H4 O4

Double bond geometry as shown.

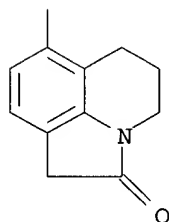


RN 157647-80-8 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)

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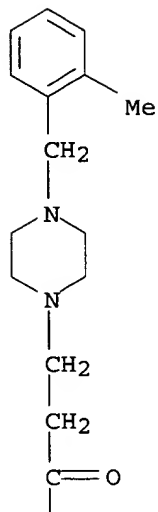


●2 HCl

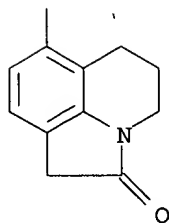
RN 157647-81-9 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



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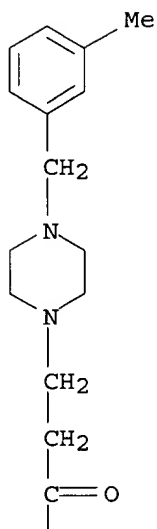


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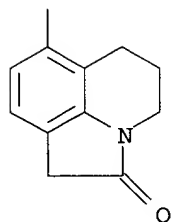


RN 157647-88-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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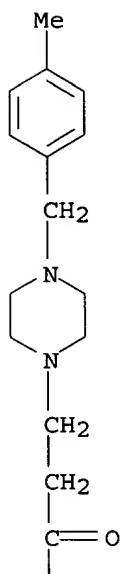
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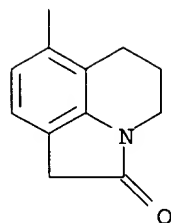
● 2 HCl

RN 157647-89-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(4-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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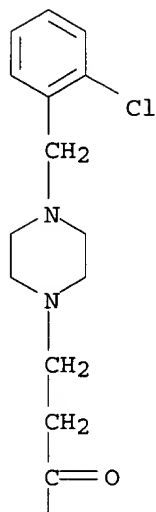
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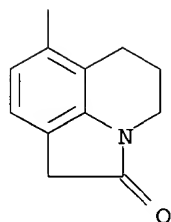
● 2 HCl

RN 157647-90-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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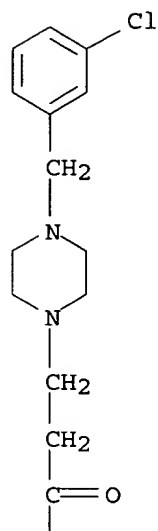
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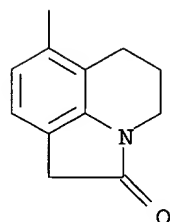
● 2 HCl

RN 157647-91-1 CAPLUS  
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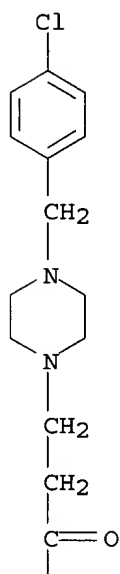
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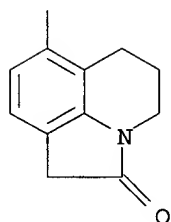
● 2 HCl

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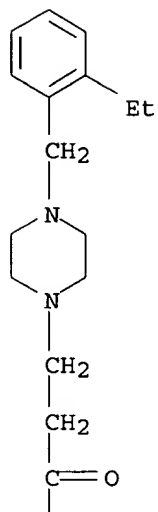
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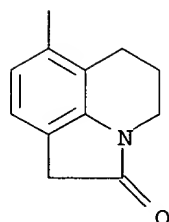
● 2 HCl

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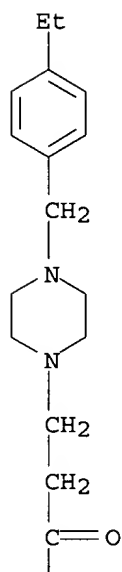
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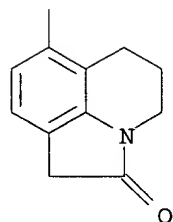
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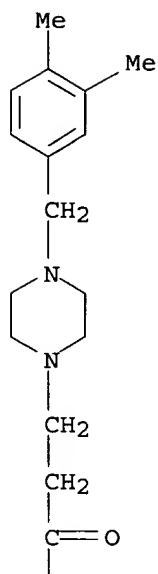


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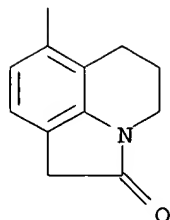
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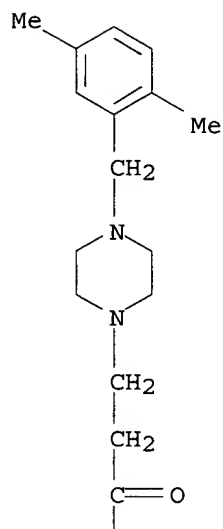
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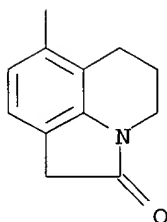
● 2 HCl

RN 157647-96-6 CAPLUS  
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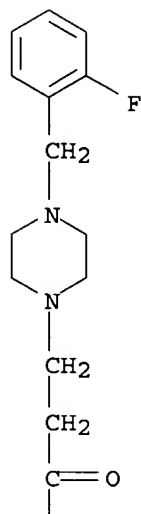
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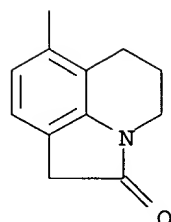
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RN 157647-97-7 CAPLUS  
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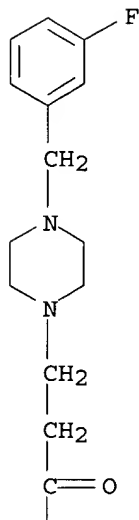
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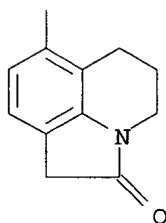
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RN 157647-98-8 CAPLUS  
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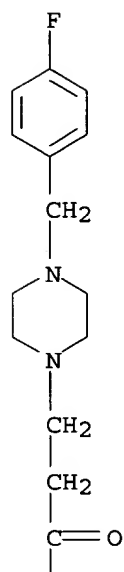
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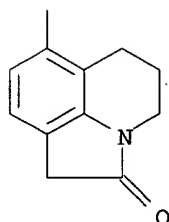
● 2 HCl

RN 157647-99-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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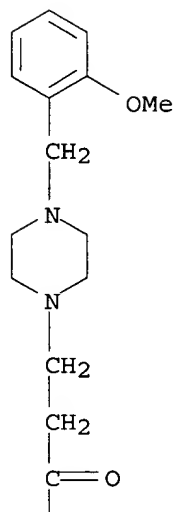
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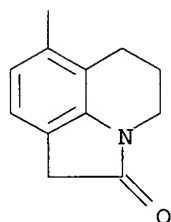
● 2 HCl

RN 157648-00-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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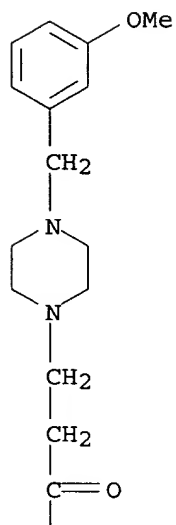
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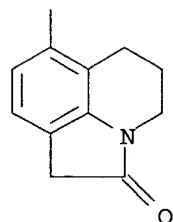
● 2 HCl

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 (CA INDEX NAME)

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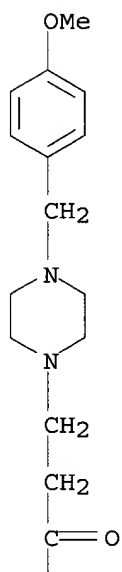
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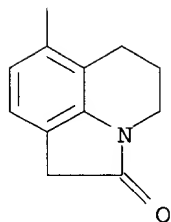
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RN 157648-02-7 CAPLUS  
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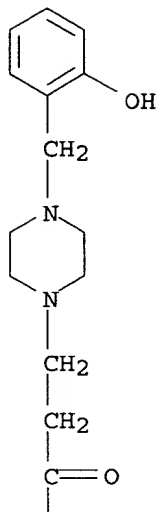


● 2 HCl

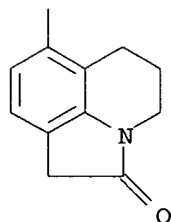
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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-hydroxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)



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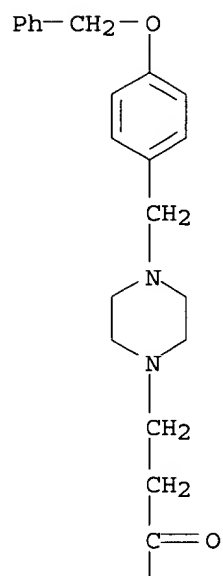
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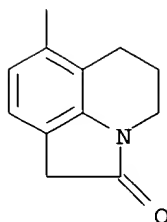
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RN 157648-04-9 CAPLUS  
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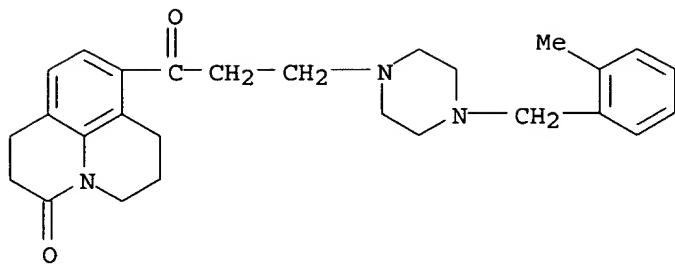
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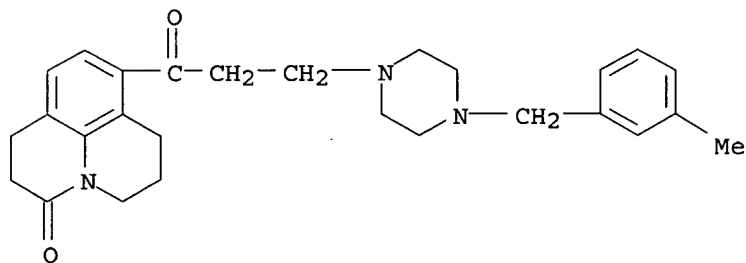
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 (CA INDEX NAME)



● 2 HCl

RN 157648-06-1 CAPLUS

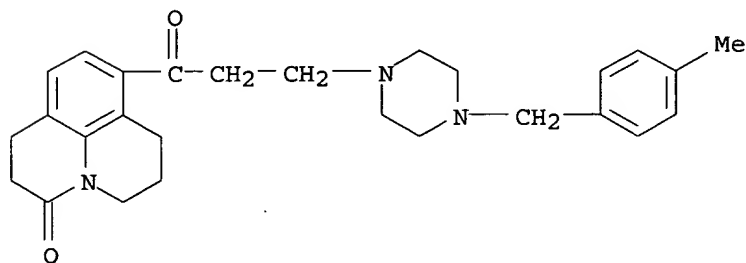
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

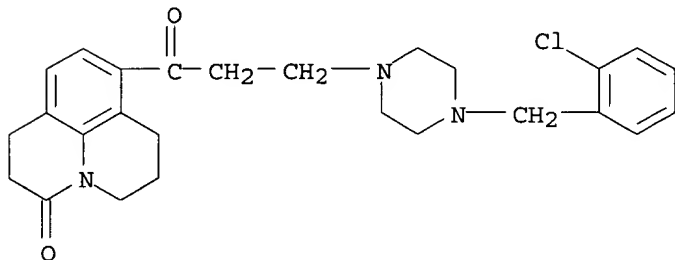
RN 157648-07-2 CAPLUS

CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



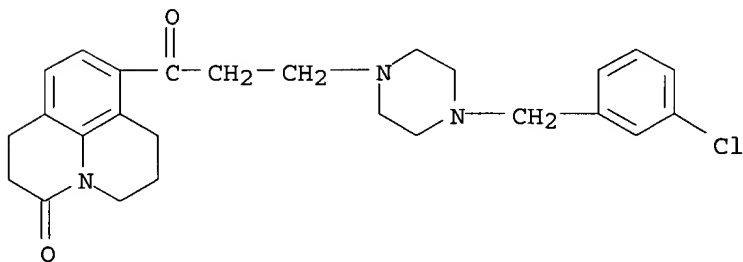
● 2 HCl

RN 157648-08-3 CAPLUS  
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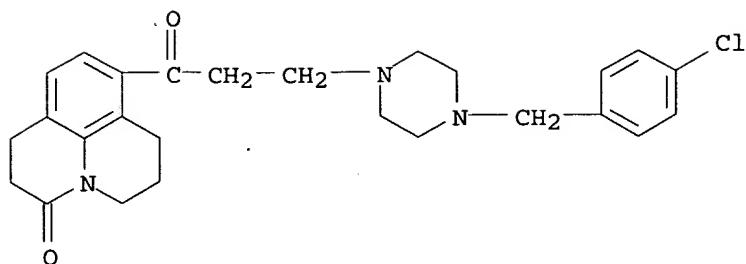
●2 HCl

RN 157648-09-4 CAPLUS  
CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



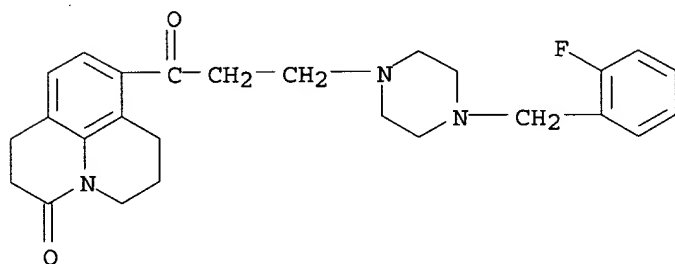
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RN 157648-10-7 CAPLUS  
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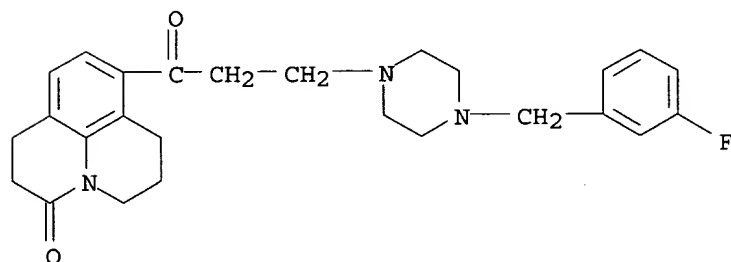
●2 HCl

RN 157648-11-8 CAPLUS  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



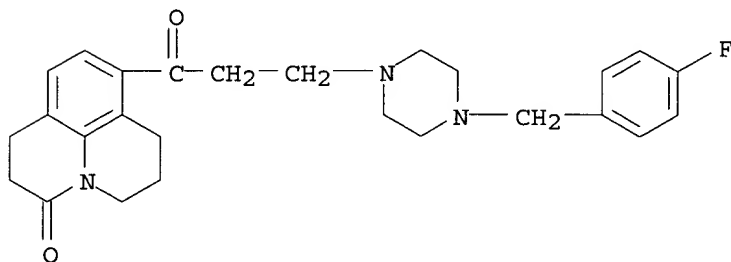
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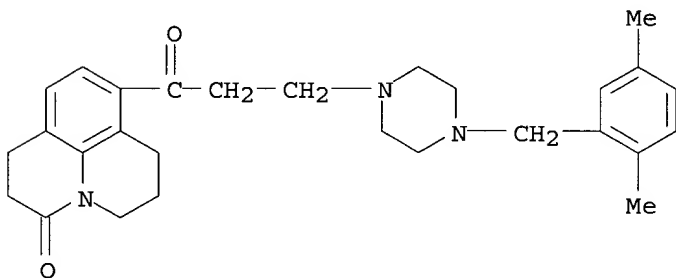
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RN 157648-13-0 CAPLUS  
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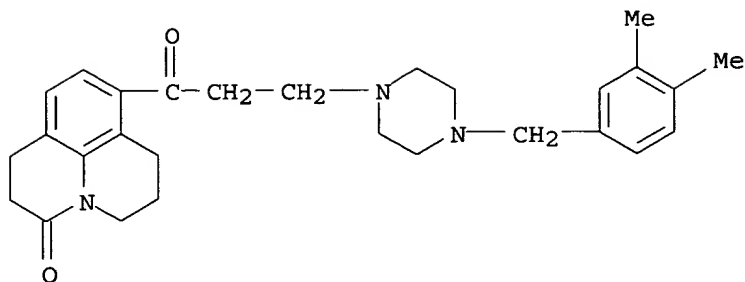
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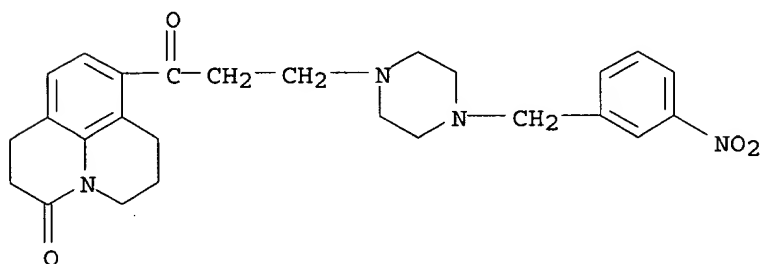
●2 HCl

RN 157648-15-2 CAPLUS  
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



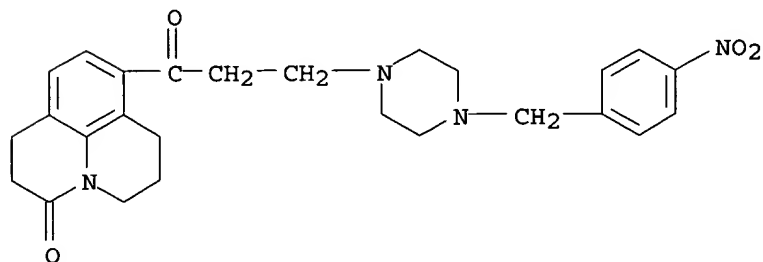
● 2 HCl

RN 157648-16-3 CAPLUS  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)



● 2 HCl

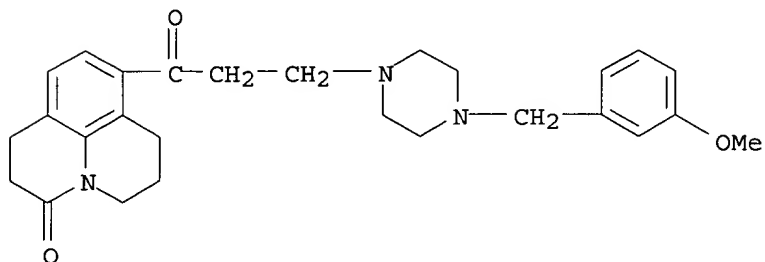
RN 157648-17-4 CAPLUS  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)



● 2 HCl

RN 157648-18-5 CAPLUS

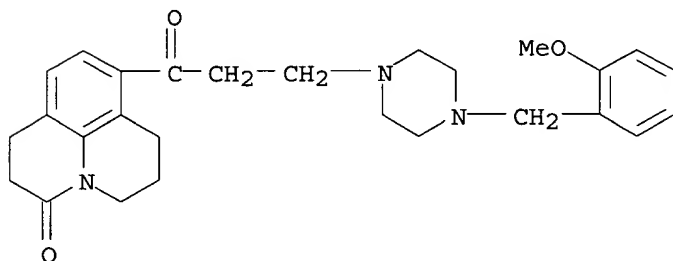
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



●2 HCl

RN 157648-19-6 CAPLUS

CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)

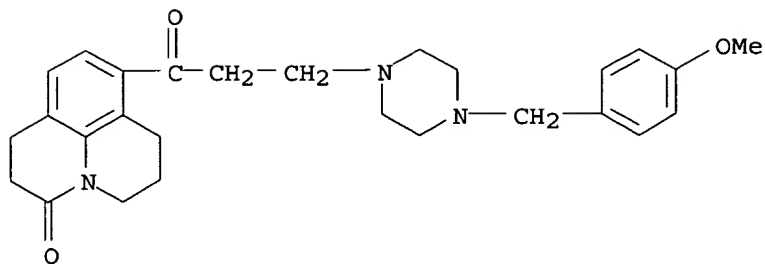


●2 HCl

RN 157648-20-9 CAPLUS

CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)

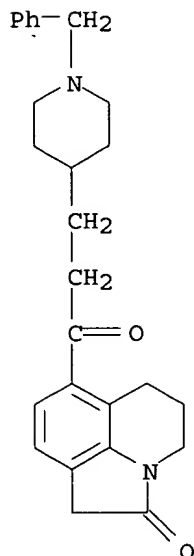




● 2 HCl

RN 157648-21-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

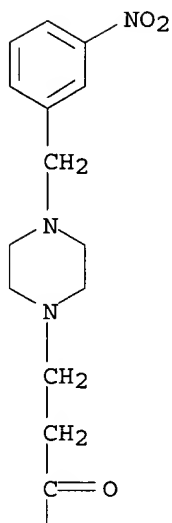


● HCl

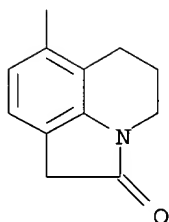
RN 157648-22-1 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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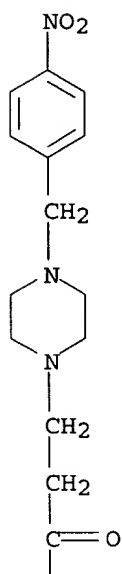
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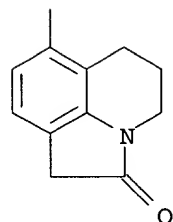
●2 HCl

RN 157648-23-2 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(4-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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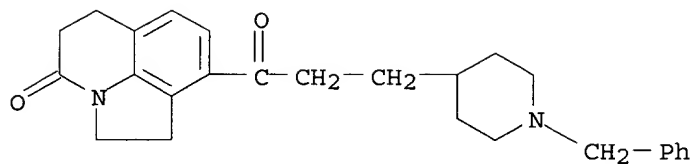


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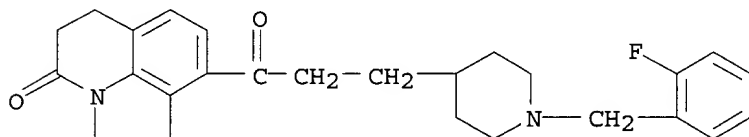
● 2 HCl

RN 157648-25-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



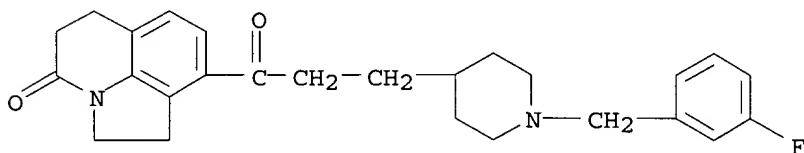
● HCl

RN 157648-26-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



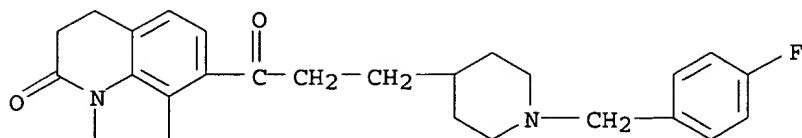
● HCl

RN 157648-27-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

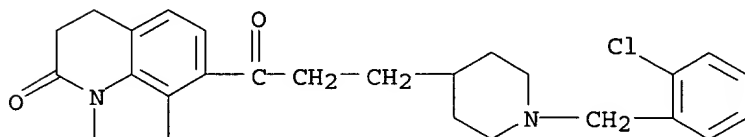
RN 157648-28-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

RN 157648-29-8 CAPLUS

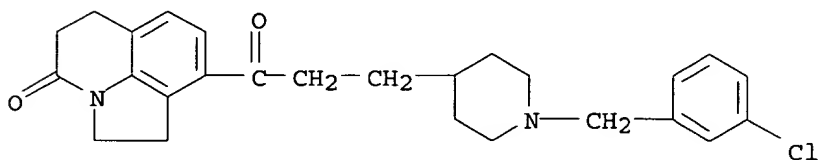
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 157648-30-1 CAPLUS

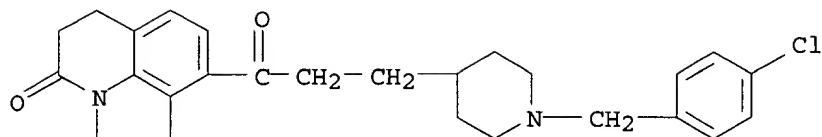
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

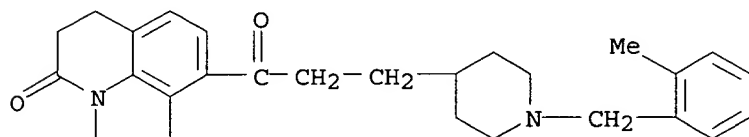
RN 157648-31-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



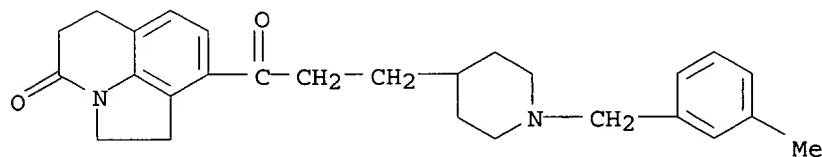
● HCl

RN 157648-32-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



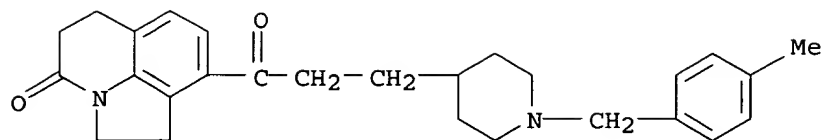
● HCl

RN 157648-33-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



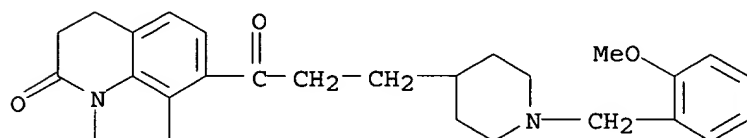
● HCl

RN 157648-34-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



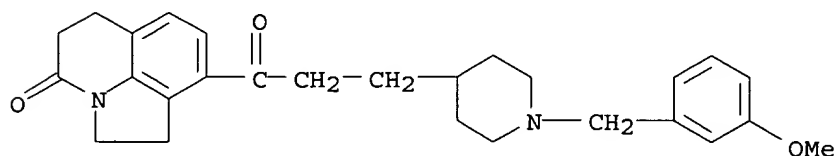
● HCl

RN 157648-35-6 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



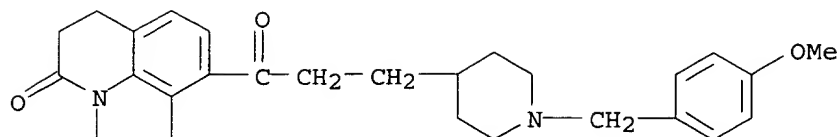
● HCl

RN 157648-36-7 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



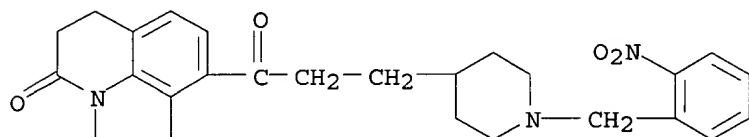
● HCl

RN 157648-37-8 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



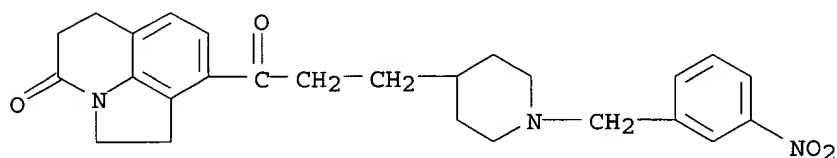
● HCl

RN	157648-38-9	CAPLUS
CN	4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-nitrophenyl)methyl]-4-piperidiny]]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)	



● HCl

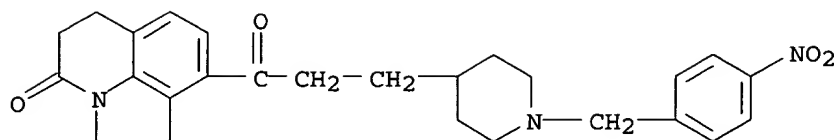
RN	157648-39-0	CAPLUS
CN	4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-nitrophenyl)methyl]-4-piperidiny]]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)	



● HCl

RN	157648-40-3	CAPLUS
CN	4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-nitrophenyl)methyl]-4-piperidiny]]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)	

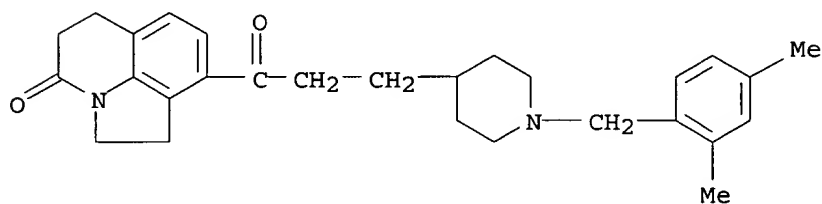




● HCl

RN 157648-41-4 CAPLUS

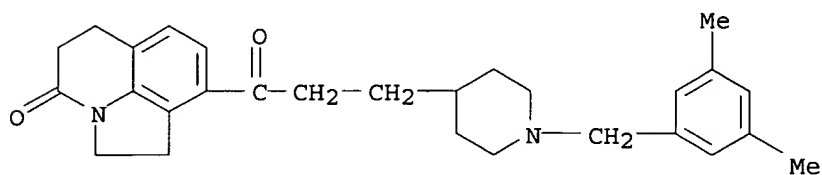
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,4-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 157648-42-5 CAPLUS

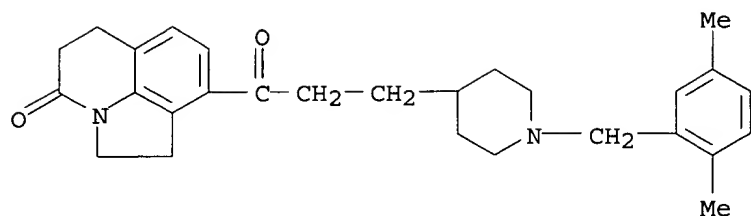
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

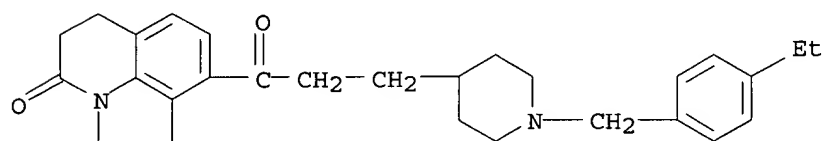
RN 157648-43-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



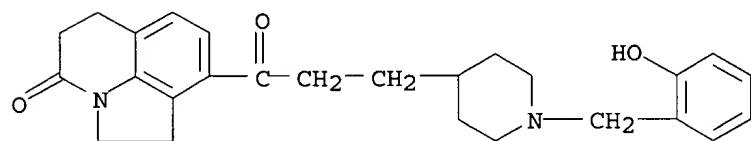
● HCl

RN 157648-44-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



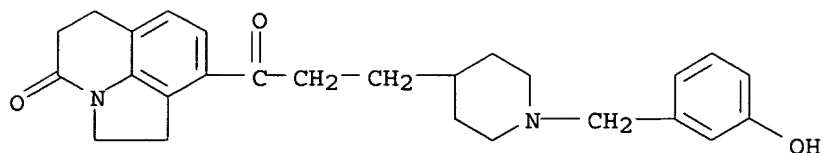
● HCl

RN 157648-45-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



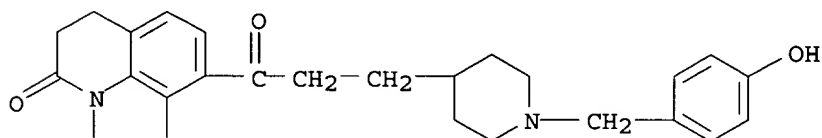
● HCl

RN 157648-46-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



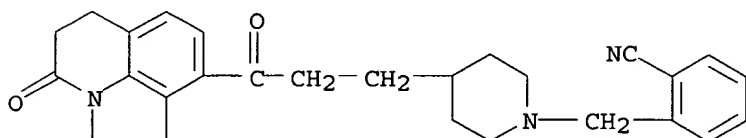
● HCl

RN 157648-47-0 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



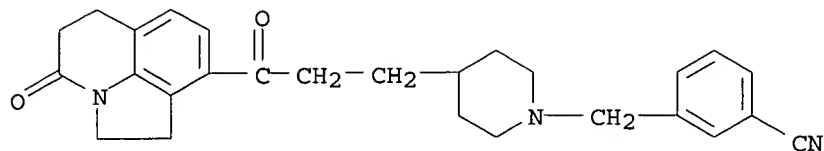
● HCl

RN 157648-48-1 CAPLUS  
CN Benzonitrile, 2-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



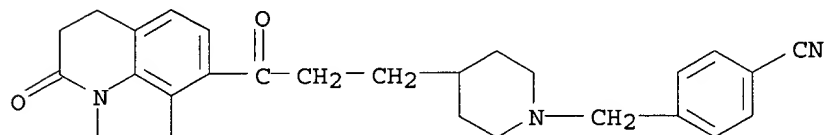
● HCl

RN 157648-49-2 CAPLUS  
CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



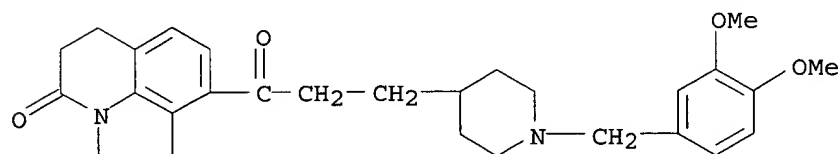
● HCl

RN 157648-50-5 CAPLUS  
 CN Benzonitrile, 4-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



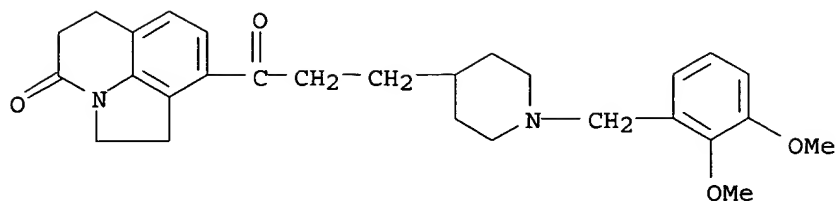
● HCl

RN 157648-51-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



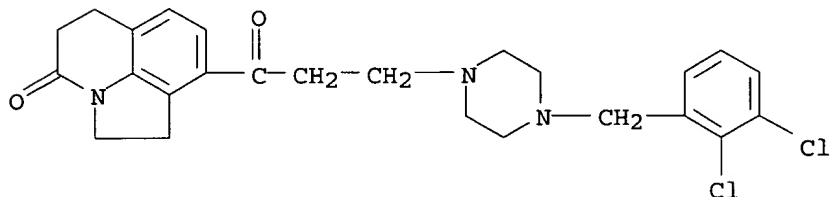
● HCl

RN 157648-52-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,3-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



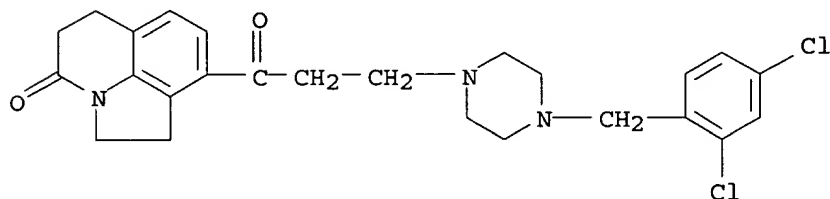
● HCl

RN 157648-53-8 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,3-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



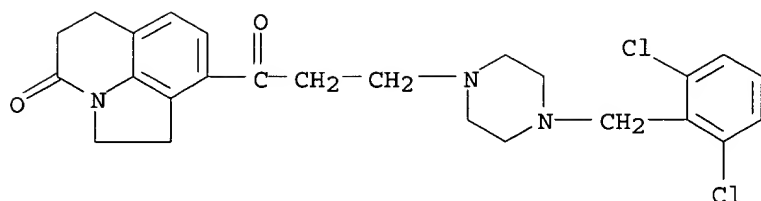
●2 HCl

RN 157648-54-9 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



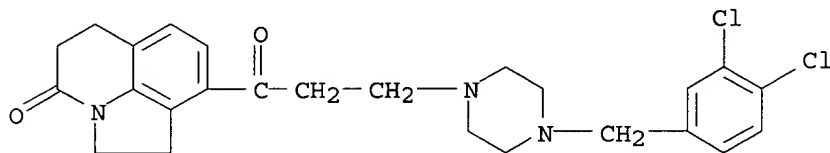
●2 HCl

RN 157648-55-0 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,6-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



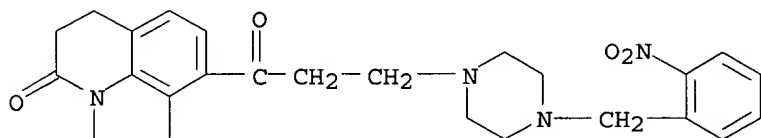
● 2 HCl

RN 157648-56-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



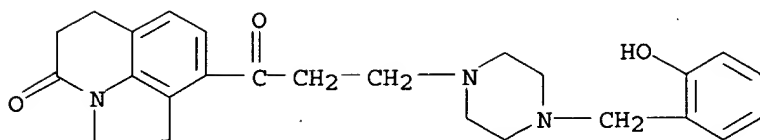
● 2 HCl

RN 157648-57-2 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

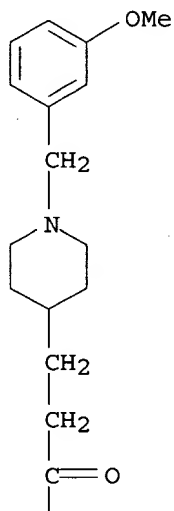
RN 157648-58-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-hydroxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)



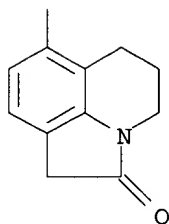
● 2 HCl

RN 157648-59-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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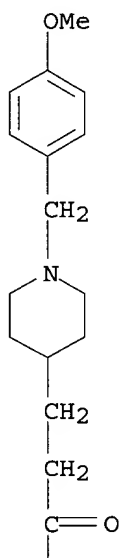
PAGE 2-A



● HCl

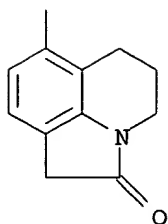
RN 157648-60-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)

PAGE 1-A





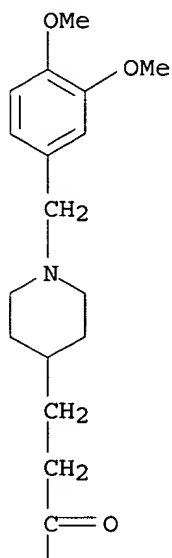
PAGE 2-A



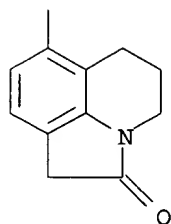
● HCl

RN 157648-61-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



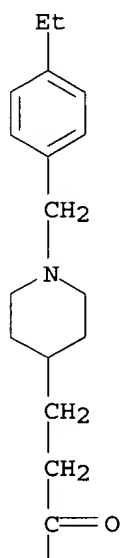
PAGE 2-A



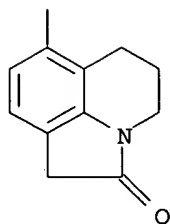
● HCl

RN 157648-62-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

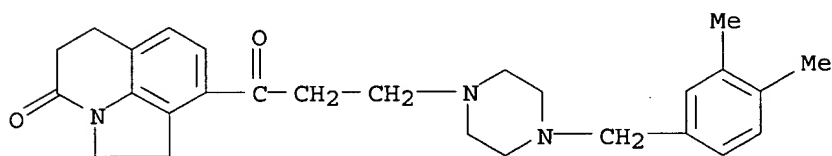


PAGE 2-A



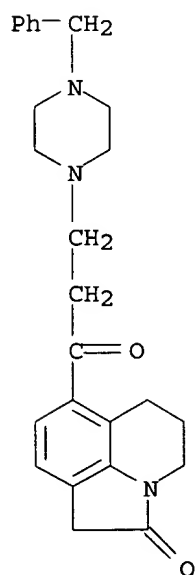
● HCl

RN 157648-63-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



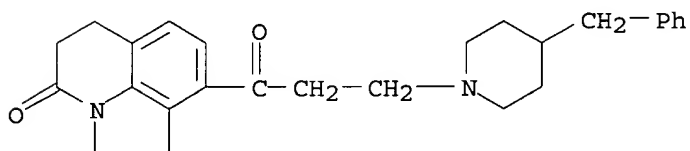
● HCl

RN 157648-65-2 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



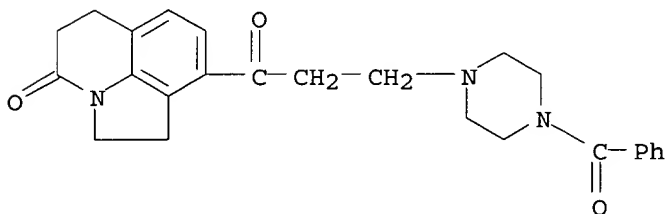
● HCl

RN 157648-67-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperidiny]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



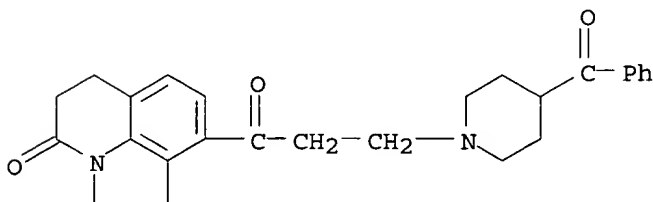
● HCl

RN 157648-68-5 CAPLUS  
 CN Piperazine, 1-benzoyl-4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

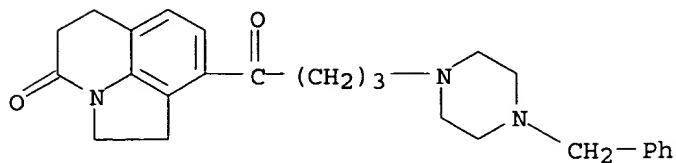
RN 157648-69-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-(4-benzoyl-1-piperidiny)-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-70-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-[4-

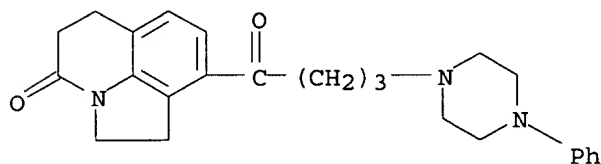
(phenylmethyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-71-0 CAPLUS

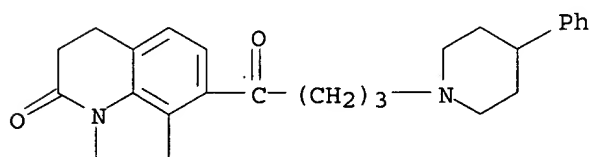
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperazinyl)butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-73-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperidinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

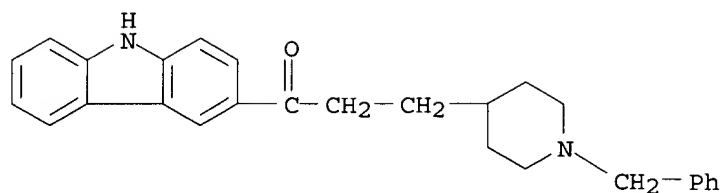
RN 157648-94-7 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-43-3

CMF C27 H28 N2 O

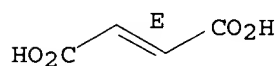


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



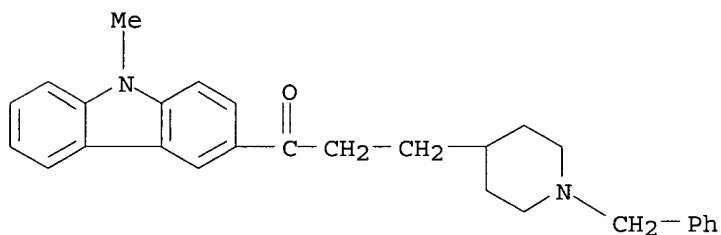
RN 157648-96-9 CAPLUS

CN 1-Propanone, 1-(9-methyl-9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 157648-95-8

CMF C28 H30 N2 O

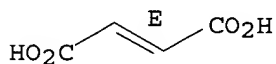


CM 2

CRN 110-17-8

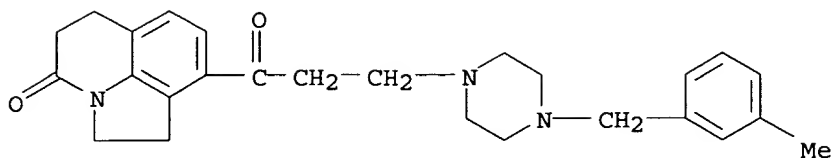
CMF C4 H4 O4

Double bond geometry as shown.



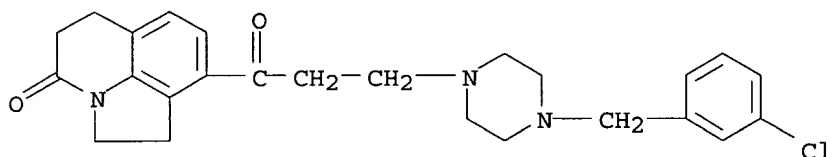
RN 157648-98-1 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



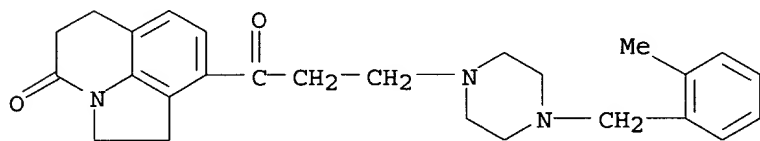
RN 157648-99-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



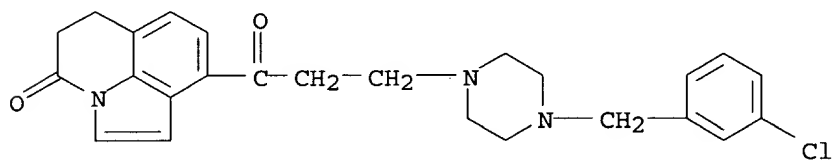
RN 157649-00-8 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



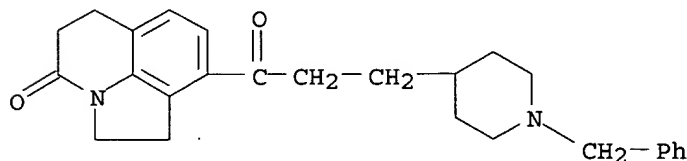
RN 157649-01-9 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro- (9CI) (CA INDEX NAME)



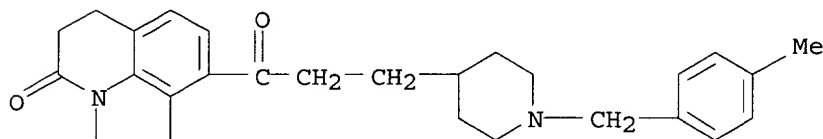
RN 157649-02-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]- (9CI) (CA INDEX NAME)



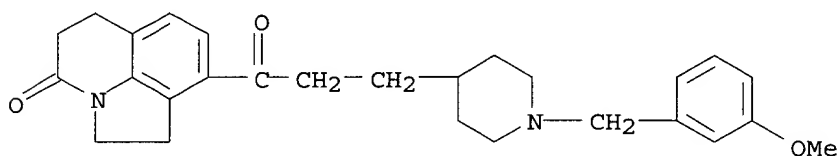
RN 157649-03-1 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



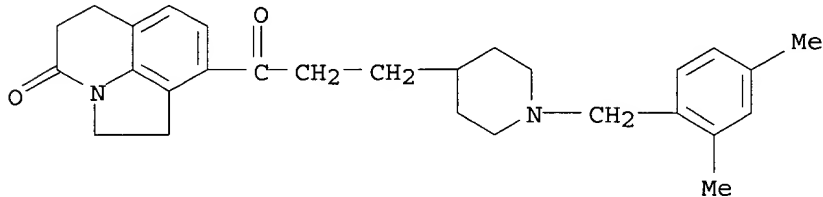
RN 157649-04-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



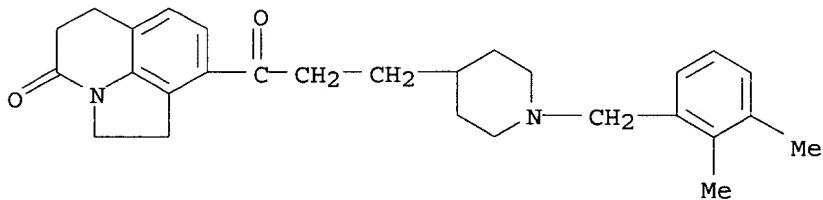
RN 157649-05-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,4-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 157649-06-4 CAPLUS

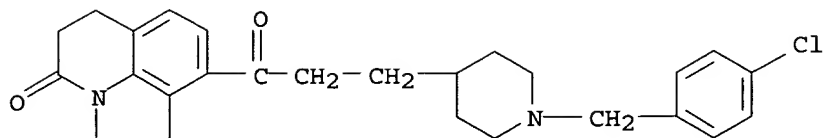
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,3-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 157649-07-5 CAPLUS

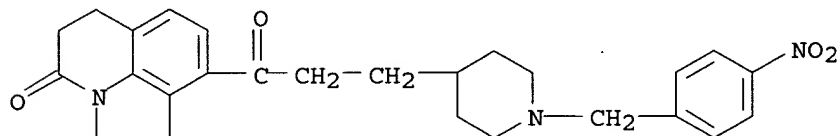
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)





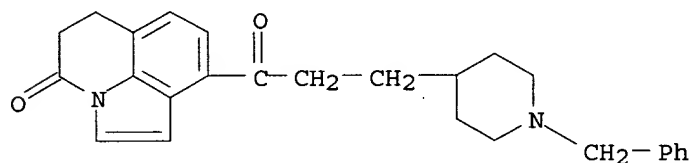
RN 157649-08-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-nitrophenyl)methyl]-4-piperidiny]-1-oxopropyl]- (9CI) (CA INDEX NAME)



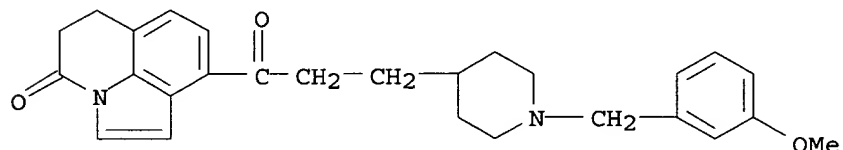
RN 157649-09-7 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 5,6-dihydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]- (9CI) (CA INDEX NAME)



RN 157649-10-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 5,6-dihydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidiny]propyl]- (9CI) (CA INDEX NAME)



L54 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1983:416101 CAPLUS

DOCUMENT NUMBER: 99:16101

TITLE: Comparison of the in vivo and in vitro antileukemic activity of monosubstituted derivatives of 4'-(9-acridinylamino)methanesulfon-m-anisidide

AUTHOR(S): Baguley, Bruce C.; Cain, Bruce F.

CORPORATE SOURCE: Sch. Med., Auckland Univ., Auckland, N. Z.

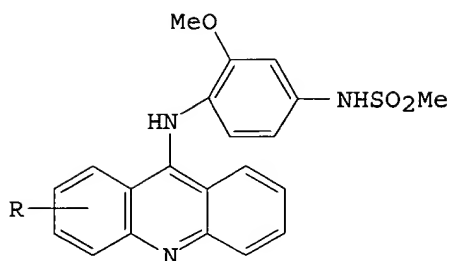
SOURCE: Molecular Pharmacology (1982), 22(2), 486-92

CODEN: MOPMA3; ISSN: 0026-895X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The growth-inhibitory activity of 4'-(9-acridinylamino)methanesulfon-m-anisidide [51264-14-3] and 47 acridine-monosubstituted derivs. I (R = H, 2-Me, 2-halo, 3-Me, 3-halo, 4-OMe, 4-OEt, 4-halo, etc.) was measured using cultures of L1210 **murine** leukemia cells grown for 3 days in the presence of each drug. The results were compared with previously published in vivo antitumor activity and physicochem. properties related to DNA binding, acridine base strength, stability to chemical attack by thiols. and lipophilicity. Multiple-parameter regression equations show that both dose potency and host toxicity in mice are related to a combination of in vitro activity and a nonlinear (quadratic) term in lipophilicity. The in vitro activity can in turn be modeled as a combination of terms representing DNA binding, ability to quench the fluorescence of DNA-bound ethidium, stability to thiolysis, and lipophilicity. It is hypothesized that the terms for thiolytic stability and lipophilic-hydrophilic balance describe the availability of the drug to the cell, and that the DNA binding constant detes. what proportion of the available drug is bound to DNA, the proposed target site. The remaining terms could reflect changes in the geometry of drug-DNA binding, which in turn affect the intrinsic activity of these drugs when bound at their site of action.

CC 1-3 (Pharmacology)

IT 51264-14-3 51264-14-3D, derivs. 51963-57-6 53478-40-3 57164-70-2  
 57164-79-1 58658-30-3 64895-35-8 64895-36-9 64895-37-0  
 66147-74-8 76708-33-3 76708-34-4 76708-36-6 76708-40-2  
 76708-42-4 76708-43-5 76708-48-0 76708-49-1 76708-50-4  
 76708-51-5 76708-52-6 76708-53-7 76708-54-8 76708-55-9  
 76708-56-0 76708-58-2 76708-62-8 76708-63-9 76708-65-1  
 76708-70-8 79453-36-4 79453-37-5 79453-38-6 79453-39-7  
 79453-40-0 79453-41-1 79453-42-2 79453-43-3 **79453-45-5**  
 79453-46-6 79453-47-7 79453-48-8 79453-49-9 79453-50-2  
 79453-51-3 79453-52-4 80265-64-1 85872-77-1

RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)

(antileukemic activity of, structure in relation to)

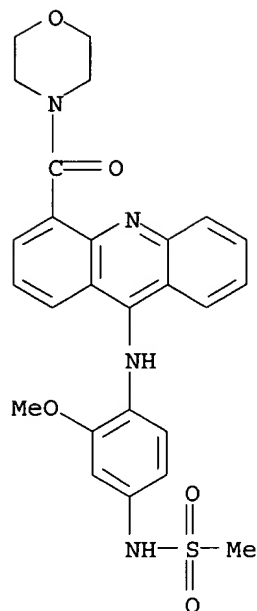
IT **79453-45-5**

RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)

(antileukemic activity of, structure in relation to)

RN 79453-45-5 CAPLUS

CN Morpholine, 4-[[9-[[2-methoxy-4-[(methylsulfonyl)amino]phenyl]amino]-4-acridinyl]carbonyl]- (9CI) (CA INDEX NAME)



L54 ANSWER 21 OF 29 PROUSDDR COPYRIGHT 2005 PROUS SCIENCE on STN  
ACCESSION NUMBER: 2004:5125 PROUSDDR  
DOCUMENT NUMBER: 347947  
CHEMICAL NAME: 8-(3-(1-(3-Fluorobenzyl)piperidin-4-yl)propionyl)-  
2,4,5,6-tetrahydro-1H-pyrrolo(3,2,1-ij)quinolin-4-one  
DRUG NAME: TAK-802  
CAS REGISTRY NUMBER: 263248-16-4  
263248-36-8 (monohydrochloride)  
MOLECULAR FORMULA: C26 H29 F N2 O2  
HIGHEST DEV. PHASE: DISCONTINUED  
ORIGINATOR: Takeda  
CLASSIFICATION CODE: Urinary Incontinence Therapy  
ACTION MECHANISM: Acetylcholinesterase Inhibitors  
ENTRY DATE: Entered STN: 1 Jul 2004  
Last Updated on STN: 2 Nov 2005

## STRUCTURE:

/ BINARY DATA / IMAGE001.TIF

## PROUS REFERENCES:

RefID: 800813 (Text Available)  
Drug Data Report, Vol. 26, No. 4, pp 345, 2004

## REFERENCE TEXT:

RefID: 800813  
ACTION - Non-carbamate acetylcholinesterase (AChE)  
inhibitor (IC50 = 1.49 nM) with high selectivity over  
butyrylcholinesterase (IC50 > 10 mM) and high

specificity for muscarinic over nicotinic actions compared to distigmine in the intestinal charcoal meal transit assay. In anesthetized rats, both compound and distigmine caused a dose-dependent increase in isovolumetric bladder contractions with a minimum effective dose (MED) of 0.01 and 0.03 mg/kg i.v., respectively. A study in cats showed that administration of the compound increased the maximum urinary flow rate without affecting bladder pressure. Potentially useful for the treatment of urinary incontinence.

## PATENT REFERENCES:

TITLE: Agents and crystals for improving excretory potency of urinary bladder  
INVENTOR(S): Ishihara, Y.; Doi, T.; Ishichi, Y.; Nagabukuro, H.  
PATENT ASSIGNEE(S): Takeda  
PATENT INFORMATION: CA 2344894 20000406  
EP 1118322 20010725  
JP 2000169373 20000620  
JP 2003192593 20030709  
JP 2003201237 20030718  
US 2002177593 20021128  
WO 2000018391 20000406  
PRIORITY INFORMATION: JP 1998-276677 19980930  
JP 1999-5367 19990930  
JP 2001-85190 20010323

TITLE: Process for producing tricyclic fused heterocyclic derivative  
INVENTOR(S): Tomimatsu, K.; Hashimoto, H.; Kawarasaki, T.  
PATENT ASSIGNEE(S): Takeda  
PATENT INFORMATION: CA 2423060 20030319  
EP 1319661 20030618  
JP 2002167386 20020611  
US 2003191150 20031009  
WO 2002024696 20020328  
PRIORITY INFORMATION: JP 2000-286574 20000921

TITLE: Preventive/remedy for urinary disturbance  
INVENTOR(S): Doi, T.; Nagabukuro, H.  
PATENT ASSIGNEE(S): Takeda  
PATENT INFORMATION: JP 2005035996 20050210  
WO 2005000354 20050106  
PRIORITY INFORMATION: JP 2003-188761 20030630

## REFERENCES:

- (1) RefID: 755119, Congress Literature  
"Effects of TAK-802, a novel acetylcholinesterase inhibitor, on isovolumic bladder contractions in rat and guinea pig"  
Osatai, H.; et al., Meet Neurogenic Bladder Soc (10th Edition), Sept 12 2003-Sept 14 2003, Chiba, (Abst 90)
- (2) RefID: 755120, Congress Literature  
"Effects of TAK-802, a novel acetylcholinesterase inhibitor, on lower urinary tract function"  
Doi, A., Meet Neurogenic Bladder Soc (10th Edition), Sept 12 2003-Sept 14 2003, Chiba, (Abst SY2-3)

- (3) RefID: 759734, Congress Literature  
"Effects of TAK-802, a novel acetylcholinesterase inhibitor, on urinary flow kinetics in Guinea pig"  
Nagabukuro, H.; Okanishi, S.; Doi, T., Meet Neurogenic Bladder Soc (10th Edition), Sept 12 2003-Sept 14 2003, Chiba, (Abst 91)
- (4) RefID: 794847, Periodic Publication  
"Effects of TAK-802, a novel acetylcholinesterase inhibitor, on distension-induced rhythmic bladder contractions in rats and guinea pigs"  
Nagabukuro, H.; Okanishi, S.; Imai, S.; Ishichi, Y.; Ishihara, Y.; Doi, T., Eur J Pharmacol, Vol. 485, No. 1-3, pp 299, 2004
- (5) RefID: 798409, Periodic Publication  
"Effect of TAK-802, an acetylcholinesterase inhibitor, on marmoset isolated bladder muscle"  
Nagabukuro, H.; Doi, T., Jpn J Urol, Vol. 95, No. 2, (Abst PP4-120), 2004
- (6) RefID: 798410, Periodic Publication  
"Effect of TAK-802 on urinary pressure flow in decerebrated cats"  
Taniguchi, N.; Numata, A.; Azumi, M.; Kaneko, S.; Nagabukuro, H.; Doi, T., Jpn J Urol, Vol. 95, No. 2, (Abst OP4-026), 2004
- (7) RefID: 798411, Periodic Publication  
"Effects of TAK-802, an acetylcholinesterase inhibitor, on bladder function"  
Doi, T.; Nagabukuro, H.; Imai, S.; Okanishi, S.; Ishihara, Y., Jpn J Urol, Vol. 95, No. 2, (Abst CP8-5), 2004
- (8) RefID: 804681, Company Communication  
"Takeda Chemical Industries reports Q3 R&D highlights"  
Takeda Chemical Industries Web Site, January 27, 2004
- (9) RefID: 814912, Periodic Publication  
"Effects of TAK-802, a novel acetylcholinesterase inhibitor, and various cholinomimetics on the urodynamic characteristics in anesthetized guinea pigs"  
Nagabukuro, H.; et al., Eur J Pharmacol, Vol. 494, No. 2-3, pp 225, 2004
- (10) RefID: 826400, Congress Literature  
"Effects of tamsulosin, an alpha1-adrenergic antagonist, and TAK-802, a novel acetylcholinesterase inhibitor, and their synergistic effects on the urodynamic characteristics in a guinea pig model of functional bladder outlet obstruction"  
Nagabukuro, H.; Hashimoto, T.; Iwata, M.; Ishihara, Y.; Doi, T., Annu Meet Int Continence Soc (34th Edition), Aug 23 2004-Aug 27 2004, Paris, (Abst 43)
- (11) RefID: 836359, Congress Literature  
"Effect of TAK-802, a novel acetylcholinesterase inhibitor, and various cholinomimetics on the urodynamic characteristics in anaesthetized guinea pigs"  
Nagabukuro, H.; Okanishi, S.; Ishihara, Y.; Doi, T., Annu Meet Int Continence Soc (34th Edition), Aug 23 2004-Aug 27 2004, Paris, (Abst 257)

- (12) RefID: 868941, Congress Literature  
 "Novel acetylcholinesterase inhibitor as increasing agent on rhythmic bladder contractions: SAR of 1-aryl-3-(1-benzylpiperidin-4-yl)propanones (TAK-802 and related compounds)"  
 Ishichi, Y.; Sasaki, M.; Setoh, M.; Tsukamoto, T.; Miwatashi, S.; Nagabukuro, H.; Okanishi, S.; Imai, S.; Saikawa, R.; Doi, T.; Ishihara, Y., Med Chem Symp (23rd Edition), Nov 24 2004-Nov 26 2004, Tsukuba, (Abst 2P-15)
- (13) RefID: 889478, Periodic Publication  
 "Novel acetylcholinesterase inhibitor as increasing agent on rhythmic bladder contractions: SAR of 8-{3-(1-(3-fluorobenzyl)piperidin-4-yl)propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo(3,2,1-ij)quinolin-4-one (TAK-802) and related compounds"  
 Ishichi, Y.; Sasaki, M.; Setoh, M.; et al., Bioorg Med Chem, Vol. 13, No. 6, pp 1901, 2005
- (14) RefID: 897328, Periodic Publication  
 "Effects of TAK-802, a novel acetylcholinesterase inhibitor, and tamsulosin, an alpha1-adrenoceptor antagonist, and their synergistic effects on the urodynamic characteristics in a guinea-pig model of functional bladder outlet obstruction"  
 Nagabukuro, H.; et al., BJU Int, Vol. 95, No. 7, pp 1071, 2005
- (15) RefID: 923153, Congress Literature  
 "Effects of TAK-802 and distigmine on the pressure flow studies in decerebrated cats"  
 Taniguchi, N.; et al., Annu Meet Int Continence Soc (35th Edition), Aug 26 2005-Sept 1 2005, Montreal, (Abst 26)
- (16) RefID: 935660, Periodic Publication  
 "Differential effects of TAK-802, a selective acetylcholinesterase inhibitor, and carbamate acetylcholinesterase inhibitors on contraction of the detrusor smooth muscle of the guinea pig"  
 Nagabukuro, H.; Doi, T., Life Sci, Vol. 77, No. 26, pp 3276, 2005

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L54 ANSWER 22 OF 29 USPATFULL on STN DUPLICATE 3  
 ACCESSION NUMBER: 2003:271542 USPATFULL  
 TITLE: Process for producing tricyclic fused heterocyclic derivative  
 INVENTOR(S): Kawarasaki, Tadao, Ibaraki-shi, JAPAN  
 Hashimoto, Hideo, Takarazuka-shi, JAPAN  
 Tomimatsu, Kiminori, Minoo-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003191150	A1	20031009
	US 6881842	B2	20050419
APPLICATION INFO.:	US 2003-381002	A1	20030320 (10)
	WO 2001-JP8165		20010920

NUMBER	DATE
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 PRIORITY INFORMATION: JP 2000-286574 20000921  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W.,  
 SUITE 800, WASHINGTON, DC, 20006-1021  
 NUMBER OF CLAIMS: 12  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 714

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for easily and industrially advantageously producing both a tricyclic fused heterocyclic derivative having acetylcholinesterase inhibitory activity and an intermediate for the derivative. The process for producing the target compounds comprises the following reaction.  
 ##STR1##

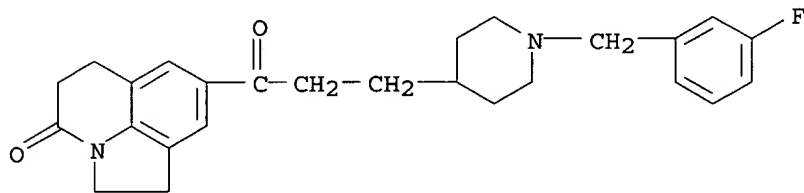
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 263248-16-4P

(process for producing tricyclic fused heterocyclic derivs.)

RN 263248-16-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



L54 ANSWER 23 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:227510 USPATFULL  
 TITLE: Preventives/remedies for urinary disturbance  
 INVENTOR(S): Ishihara, Yuji, Itami-shi, JAPAN  
 Ishichi, Yuji, Sakai-shi, JAPAN  
 Doi, Takayuki, Osaka-shi, JAPAN  
 Nagabukuro, Hiroshi, Osaka-shi, JAPAN  
 Kanzaki, Naoyuki, Ibaraki-shi, JAPAN  
 Ikeuchi, Motoki, Nishinomiya-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005197362	A1	20050908
APPLICATION INFO.:	US 2004-935646	A1	20040908 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 500217, PENDING A 371 of International Ser. No. WO 2002-JP13653, filed on 26 Dec 2002		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2001-402064	20011228
	JP 2002-72027	20020315
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W.,	

SUITE 800, WASHINGTON, DC, 20006-1021, US

NUMBER OF CLAIMS: 43

EXEMPLARY CLAIM: 1

LINE COUNT: 13787

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Preventives/remedies for voiding disturbance containing a compound having both of an acetylcholinesterase inhibitory action and an  $\alpha 1$  antagonistic action which exhibits an excellent effect of improving the urinary function of the bladder (i.e., effects of improving urine flow rate and voiding efficiency) without affecting the urinary pressure or the blood pressure.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 562040-31-7P 562040-32-8P 562040-33-9P

562040-34-0P 562040-35-1P 562040-37-3P

562040-38-4P 562040-39-5P 562042-15-3P

562042-16-4P 562042-17-5P 562042-18-6P

562042-19-7P 562042-20-0P 562042-21-1P

562042-22-2P 562042-23-3P 562042-24-4P

562042-25-5P 562042-26-6P 562042-27-7P

562042-28-8P 562042-29-9P 562042-30-2P

562042-31-3P 562042-32-4P 562042-33-5P

562042-34-6P 562042-35-7P 562042-36-8P

562042-37-9P 562042-57-3P 562042-58-4P

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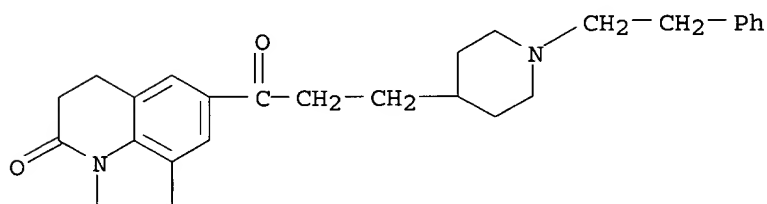
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562042-72-2P 562042-73-3P 562042-74-4P

(heterocyclic compds. having acetylcholine esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for urinary disturbance)

RN 562040-31-7 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(2-phenylethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

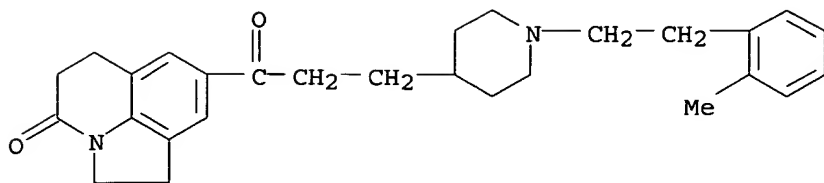


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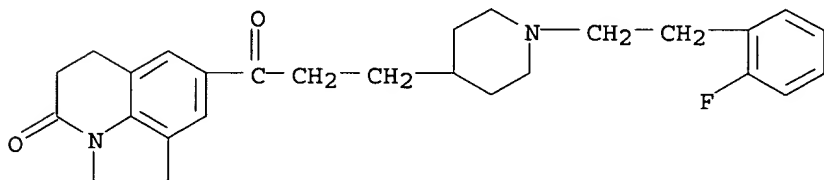
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(2-methylphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)





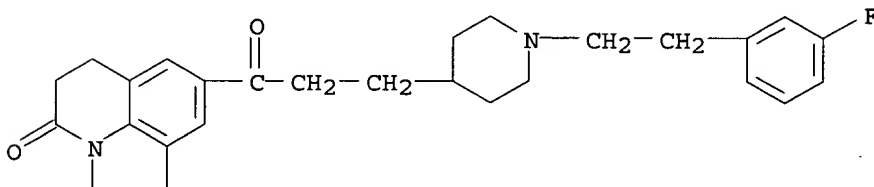
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(CA INDEX NAME)



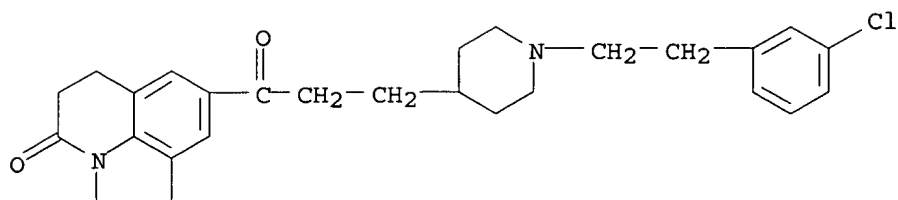
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RN 562040-34-0 USPATFULL  
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(CA INDEX NAME)



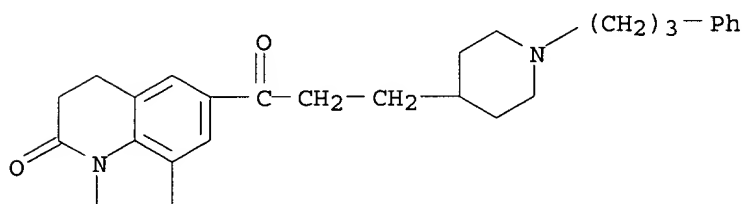
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(CA INDEX NAME)



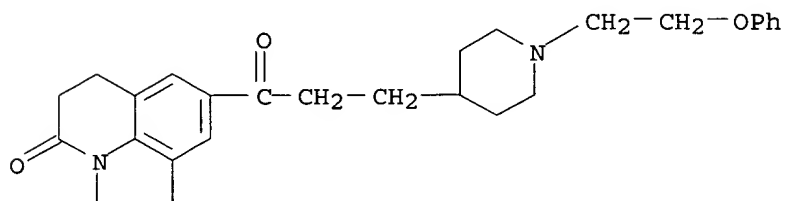
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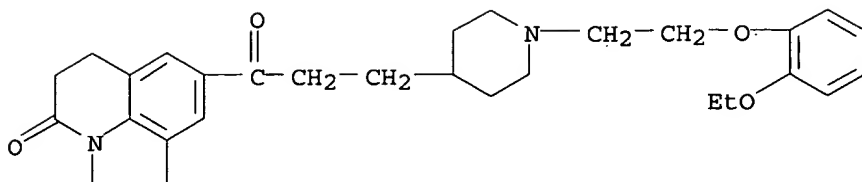
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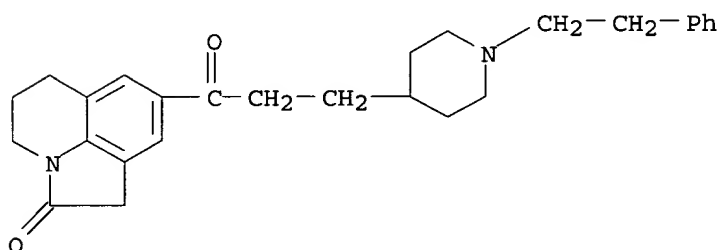
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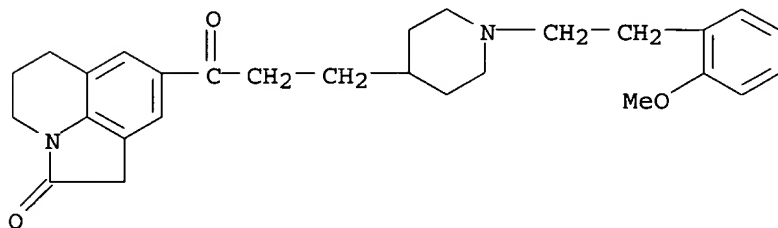
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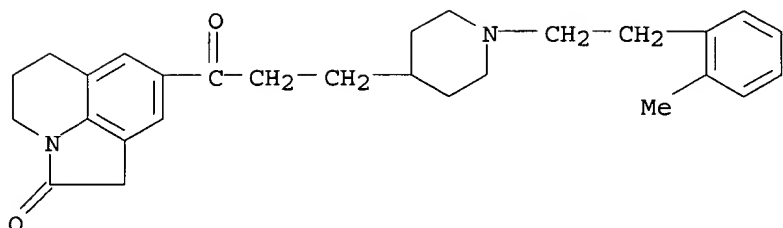
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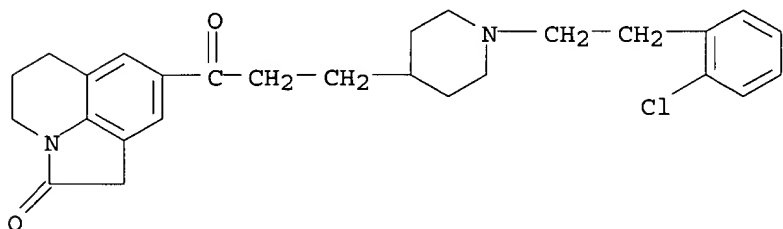
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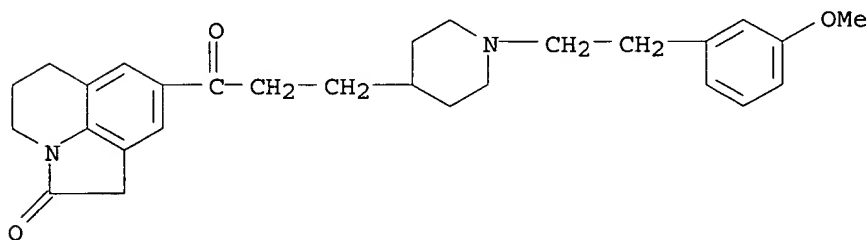
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● HCl

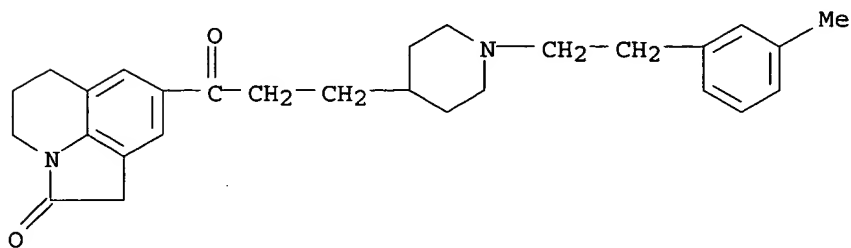
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● HCl

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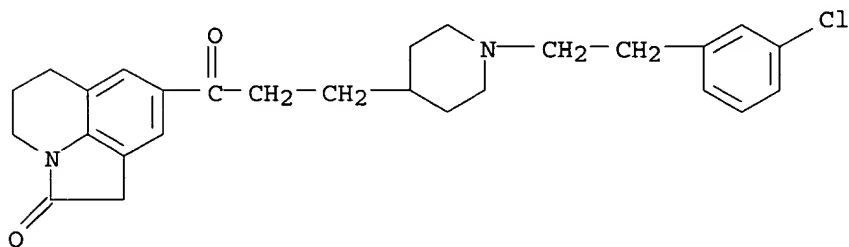
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(9CI) (CA INDEX NAME)



● HCl

RN 562042-21-1 USPATFULL

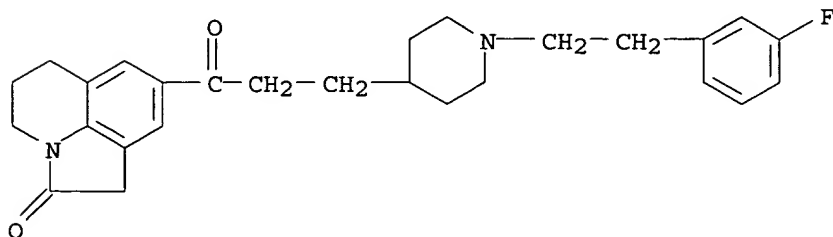
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 8-[3-[1-[2-(3-chlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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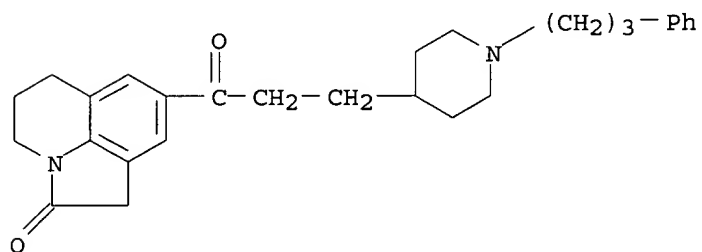
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● HCl

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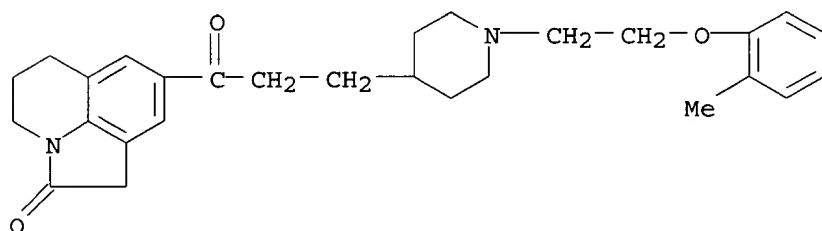
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(3-phenylpropyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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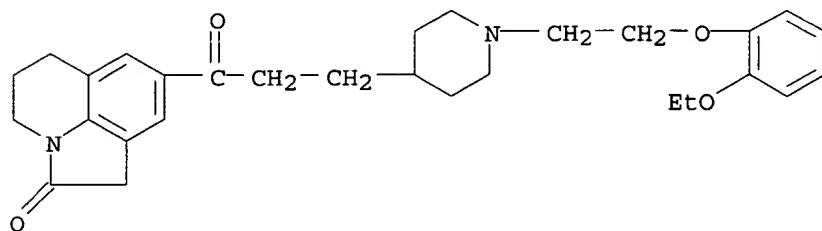
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● HCl

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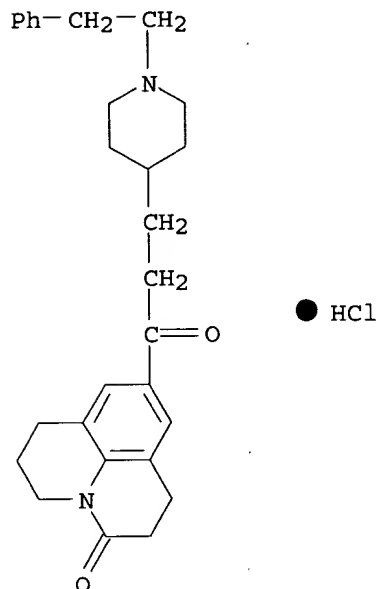
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 8-[3-[1-[2-(2-ethoxyphenoxy)ethyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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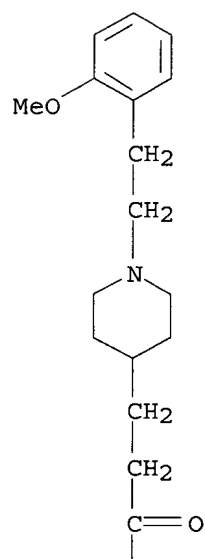
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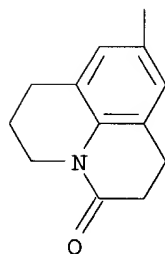
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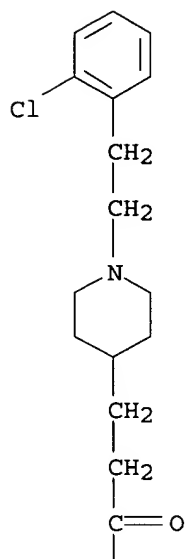


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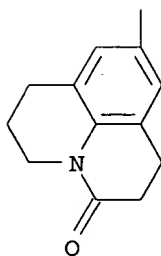
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 (CA INDEX NAME)



PAGE 1-A



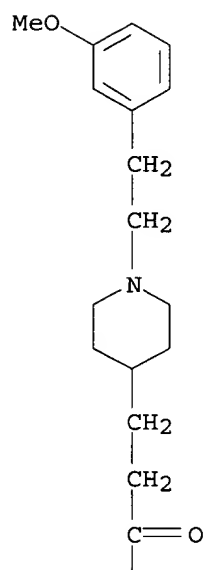
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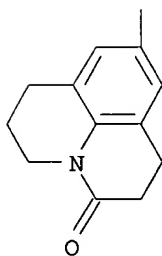
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 (CA INDEX NAME)

PAGE 1-A



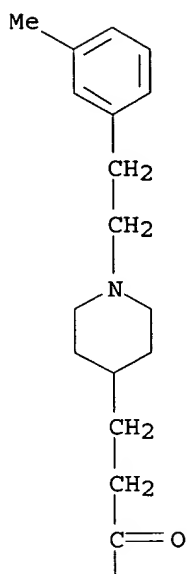
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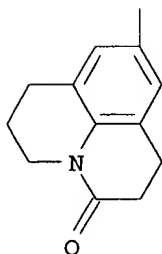
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(9CI) (CA INDEX NAME)

PAGE 1-A



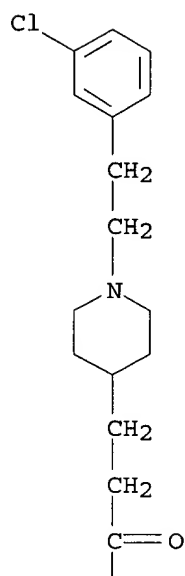
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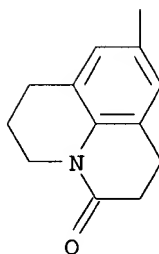
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 (CA INDEX NAME)

PAGE 1-A



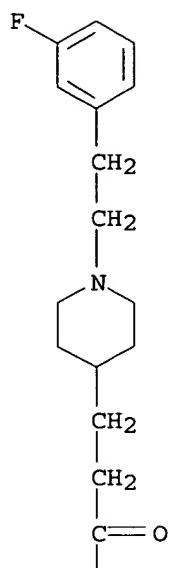
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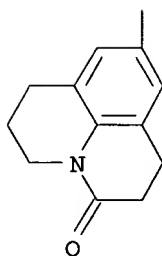
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 (CA INDEX NAME)

PAGE 1-A

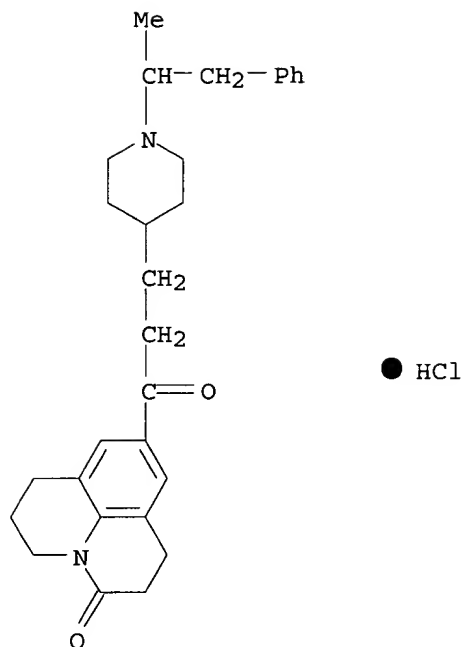


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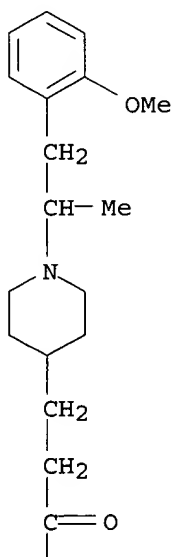
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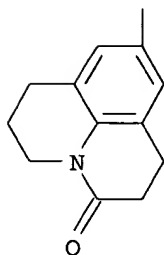


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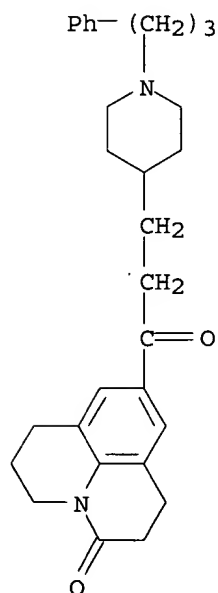


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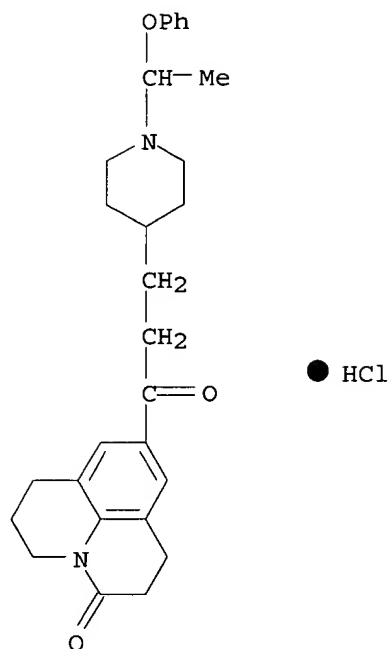
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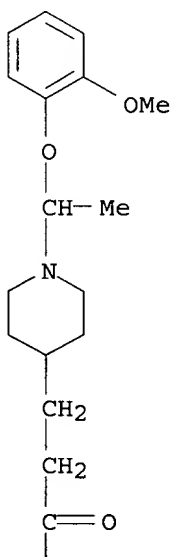
● HCl

RN 562042-36-8 USPATFULL  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(1-phenoxyethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



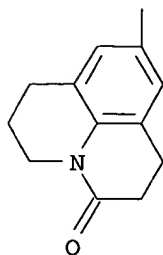
RN 562042-37-9 USPATFULL  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[3-[1-[1-(2-methoxyphenoxy)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)

PAGE 1-A



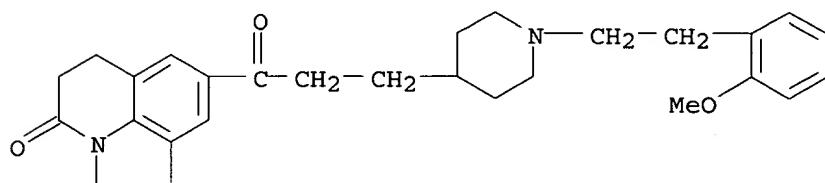


PAGE 2-A



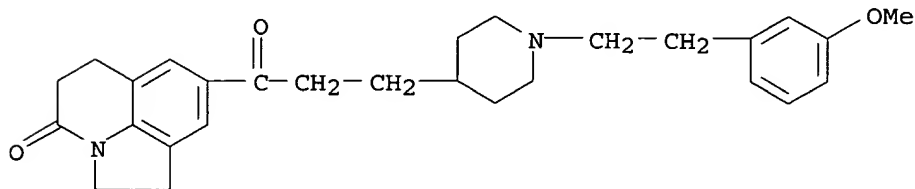
● HCl

RN 562042-57-3 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(2-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

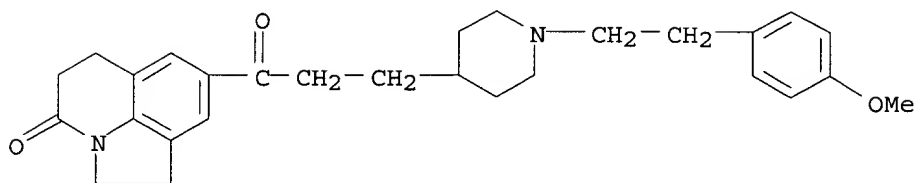
RN 562042-58-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(3-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-59-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride

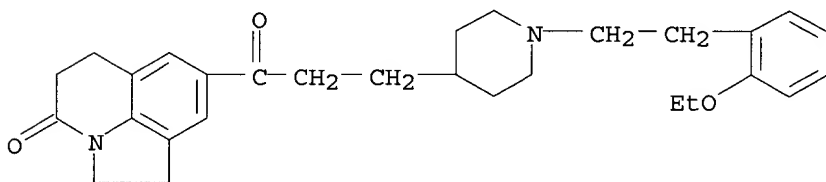
(9CI) (CA INDEX NAME)



● HCl

RN 562042-60-8 USPATFULL

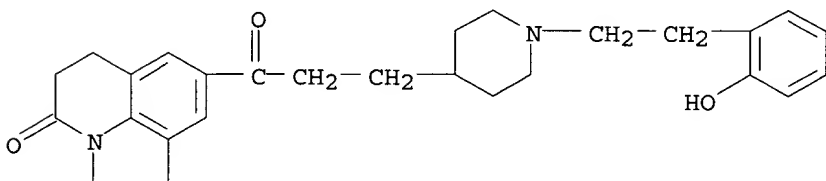
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2-ethoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 562042-61-9 USPATFULL

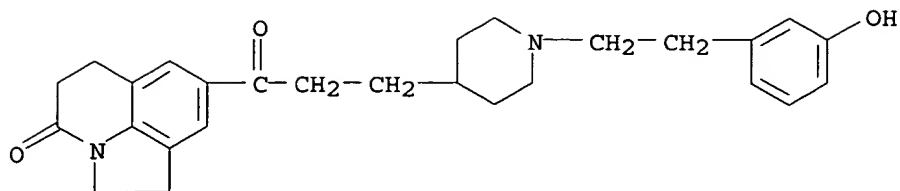
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(2-hydroxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

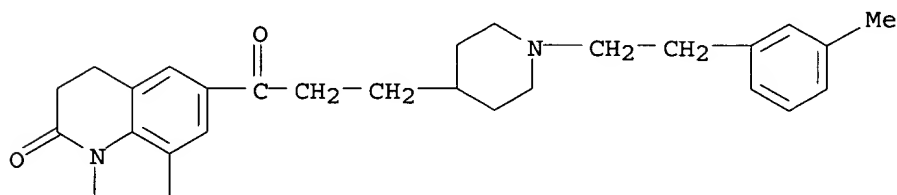
RN 562042-62-0 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(3-hydroxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



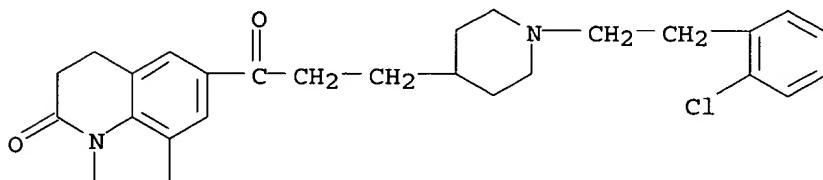
● HCl

RN 562042-63-1 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(3-methylphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



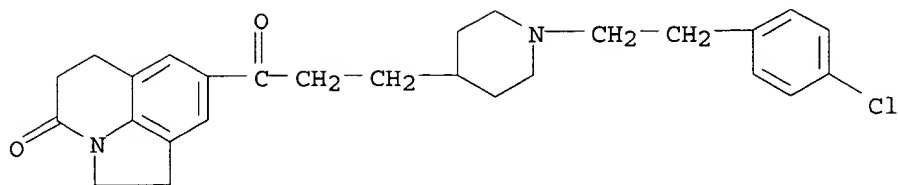
● HCl

RN 562042-64-2 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2-chlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



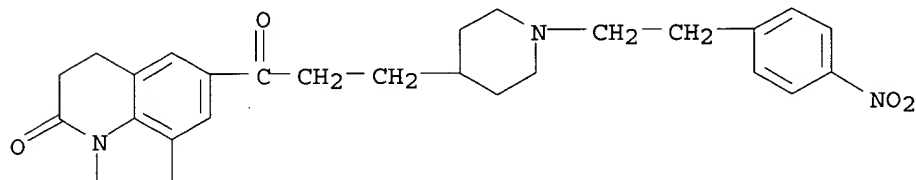
● HCl

RN 562042-65-3 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(4-chlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



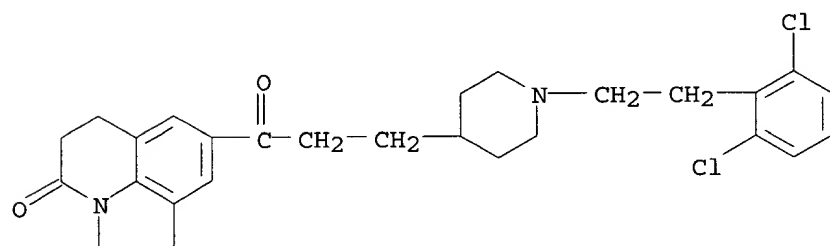
● HCl

RN 562042-66-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(4-nitrophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



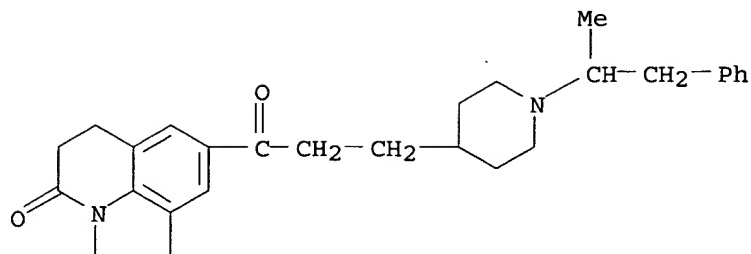
● HCl

RN 562042-67-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2,6-dichlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

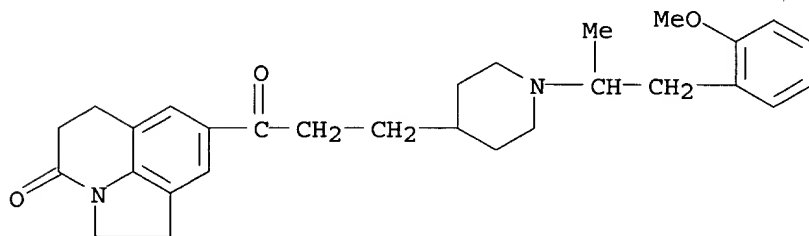
RN 562042-69-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-(1-methyl-2-phenylethyl)-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-70-0 USPATFULL

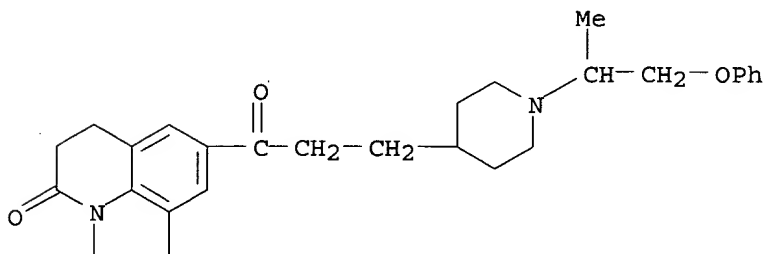
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-(2-methoxyphenyl)-1-methylethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-71-1 USPATFULL

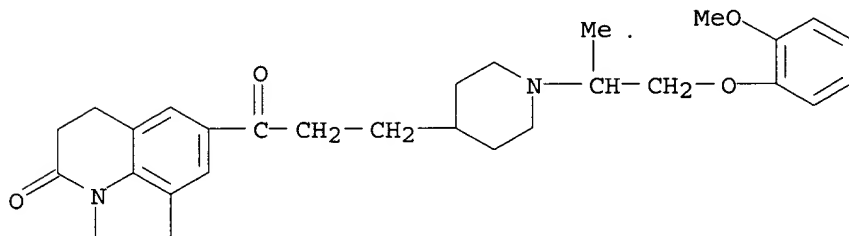
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-(1-methyl-2-phenoxyethyl)-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-72-2 USPATFULL

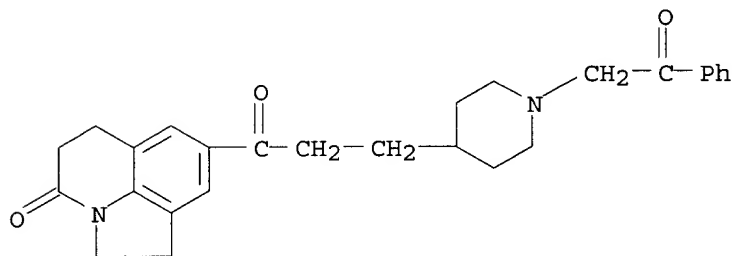
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(2-methoxyphenoxy)-1-methylethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-73-3 USPATFULL

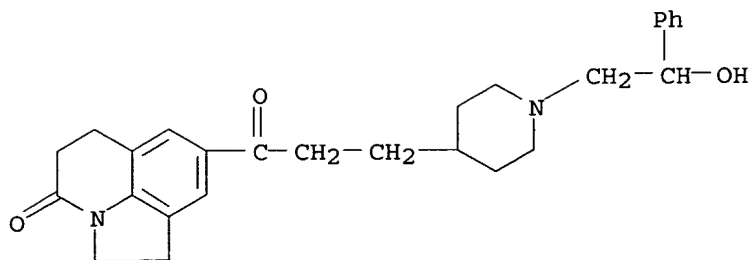
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(2-oxo-2-phenylethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-74-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L54 ANSWER 24 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2004:152235 USPATFULL

TITLE: Agents for improving excretory potency of urinary bladder

INVENTOR(S): Ishihara, Yuji, Itami-shi, JAPAN  
Doi, Takayuki, Izumi-shi, JAPAN  
Nagabukuro, Hiroshi, Osaka-shi, JAPAN  
Ishichi, Yuji, Ibaraki-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116457	A1	20040617
APPLICATION INFO.:	US 2003-726486	A1	20031204 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-787288, filed on 15 Mar 2001, ABANDONED A 371 of International Ser. No. WO 1999-JP5367, filed on 30 Sep 1999, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-276677	19980930
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3989	

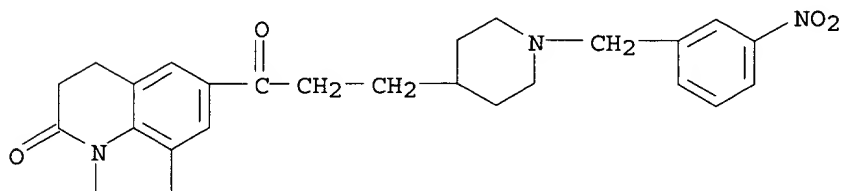
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Agents for improving excretory potency of the urinary bladder which comprises an amine compound of non-carbamate-type having an acetylcholinesterase-inhibiting action.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

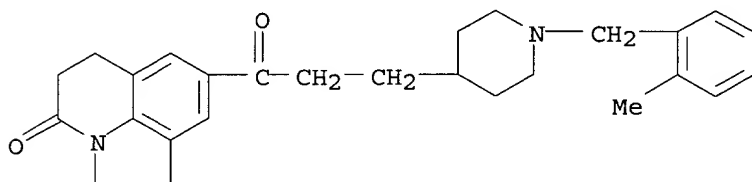
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215048-02-5P 263248-06-2P 263248-07-3P  
263248-08-4P 263248-09-5P 263248-10-8P  
263248-11-9P 263248-12-0P 263248-13-1P  
263248-14-2P 263248-15-3P 263248-16-4P  
263248-17-5P 263248-18-6P 263248-19-7P  
(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)  
RN 215047-99-7 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



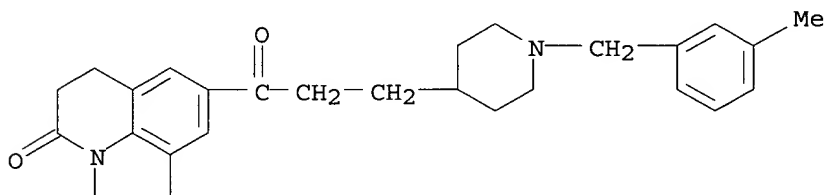
RN 215048-00-3 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



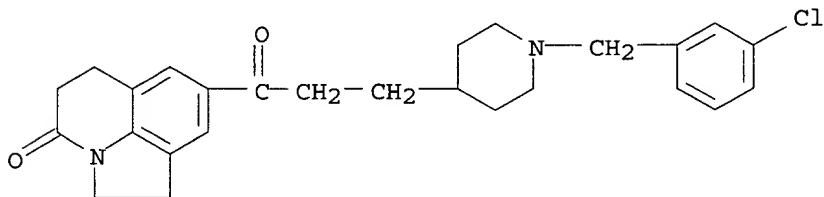
RN 215048-01-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 215048-02-5 USPATFULL

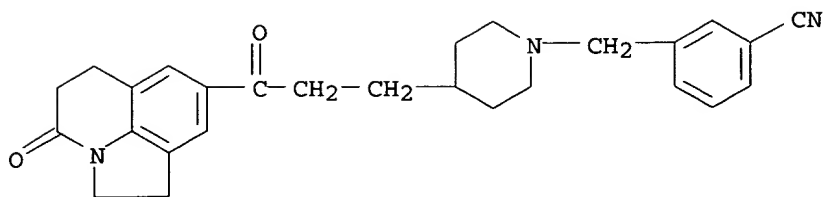
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 263248-06-2 USPATFULL

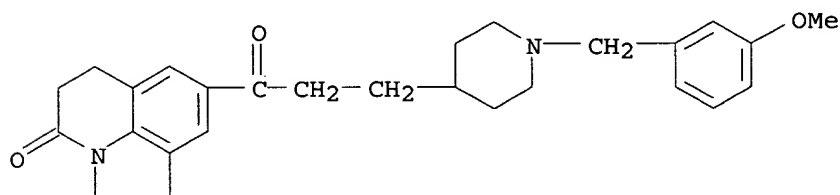
CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)





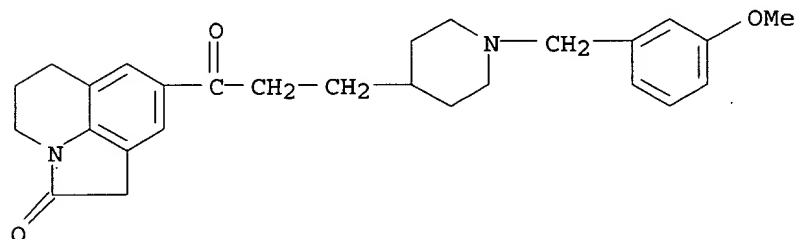
RN 263248-07-3 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



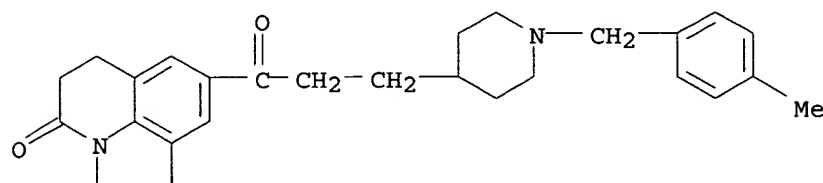
RN 263248-08-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 263248-09-5 USPATFULL

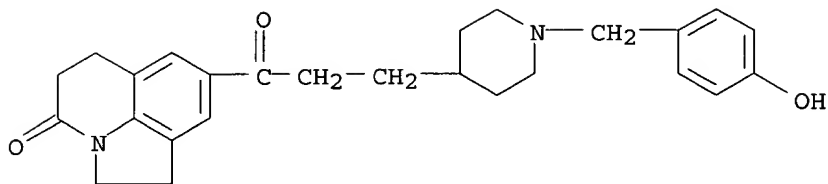
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



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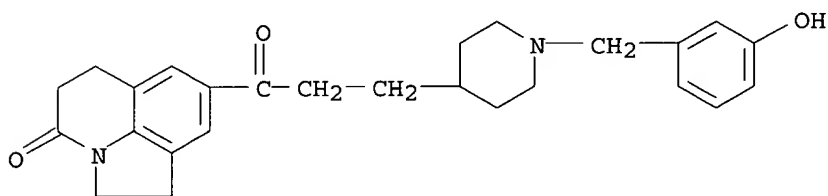
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

NAME)



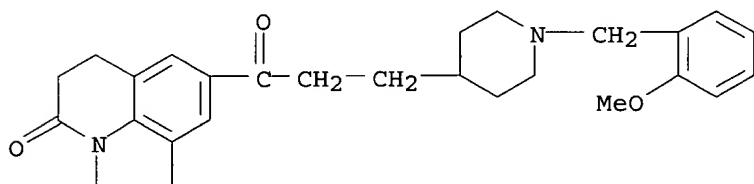
RN 263248-11-9 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



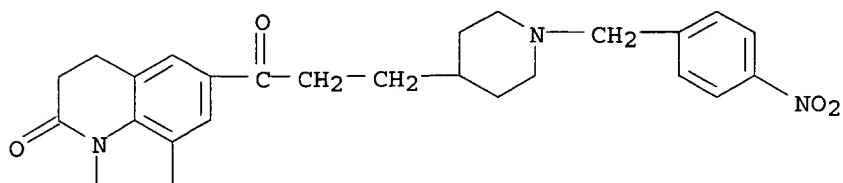
RN 263248-12-0 USPATFULL

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RN 263248-13-1 USPATFULL

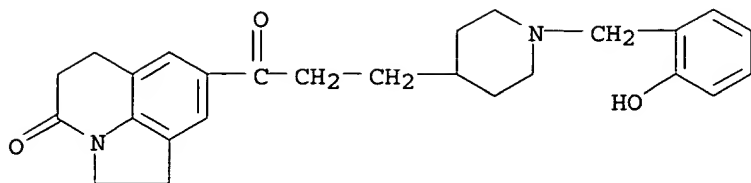
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 263248-14-2 USPATFULL

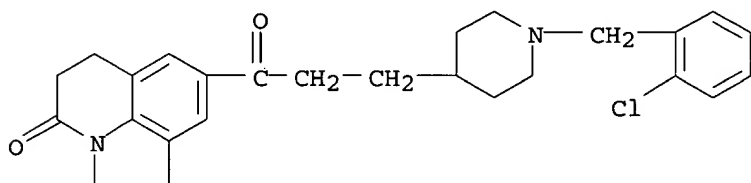
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

NAME)



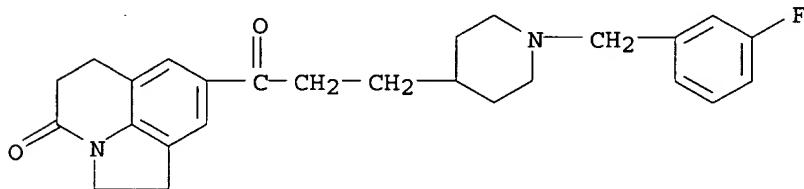
RN 263248-15-3 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



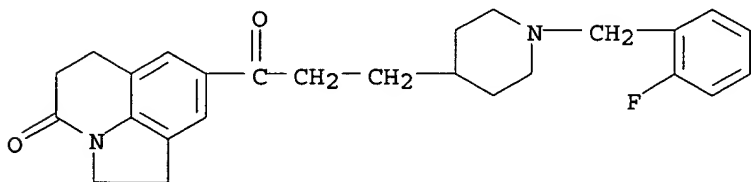
RN 263248-16-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



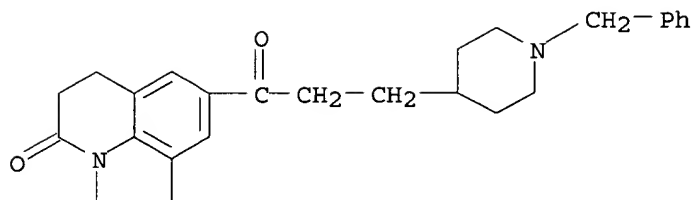
RN 263248-17-5 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



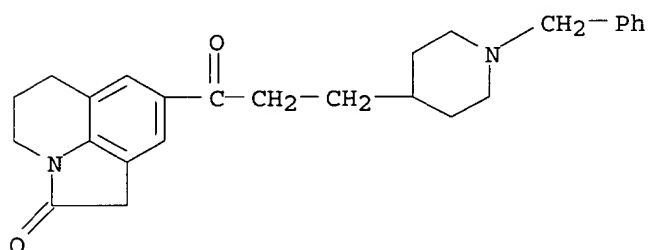
RN 263248-18-6 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 263248-19-7 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



IT 263248-22-2 263248-23-3 263248-24-4

263248-25-5 263248-26-6 263248-27-7

263248-28-8 263248-29-9 263248-30-2

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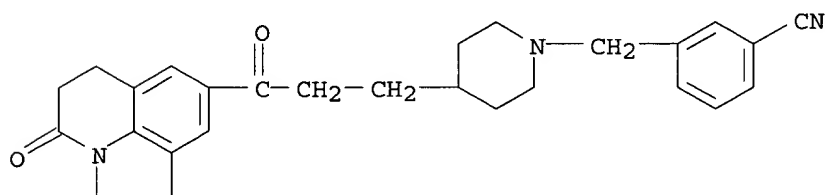
263248-34-6 263248-35-7 263248-36-8

263248-37-9 263248-38-0 263248-39-1

(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

RN 263248-22-2 USPATFULL

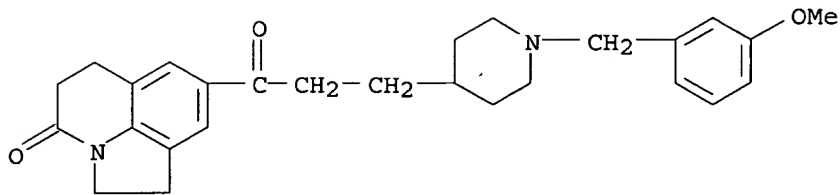
CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-23-3 USPATFULL

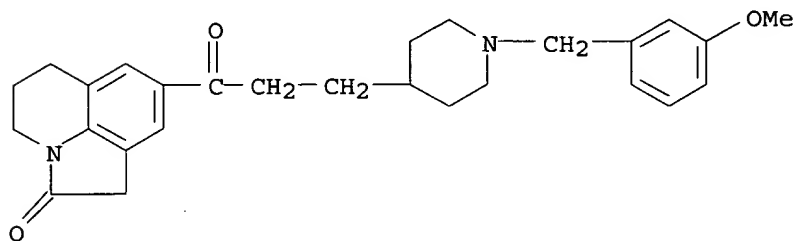
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-24-4 USPATFULL

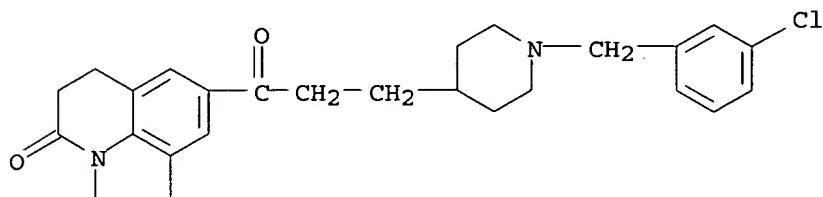
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-25-5 USPATFULL

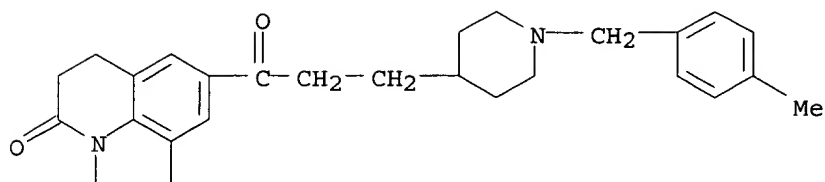
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

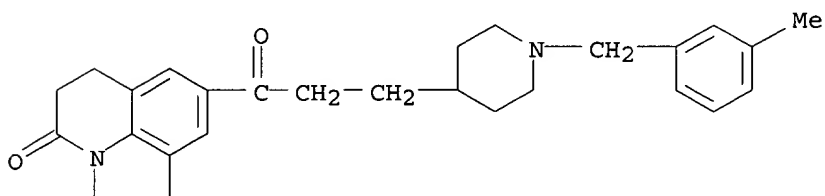
RN 263248-26-6 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-methylphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



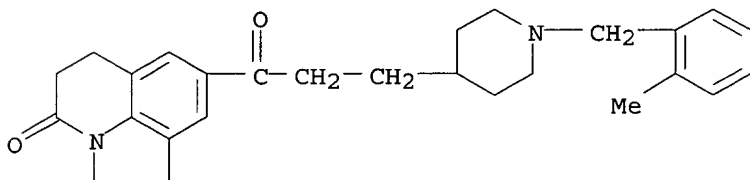
● HCl

RN 263248-27-7 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



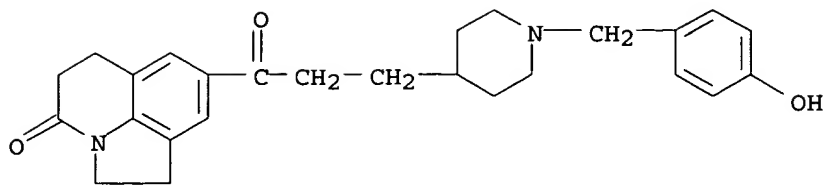
● HCl

RN 263248-28-8 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



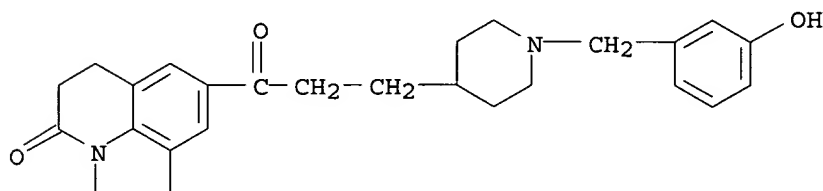
● HCl

RN 263248-29-9 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



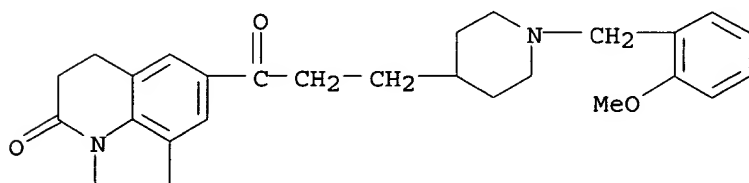
● HCl

RN 263248-30-2 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



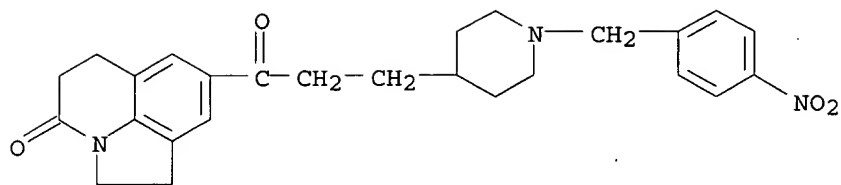
● HCl

RN 263248-31-3 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



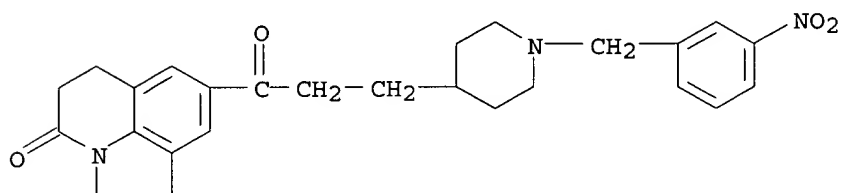
● HCl

RN 263248-32-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



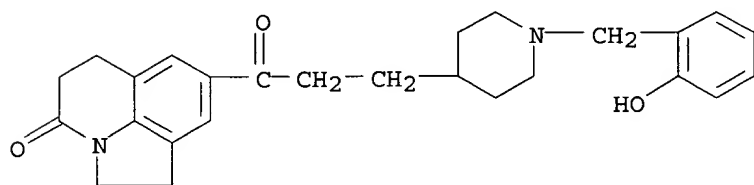
● HCl

RN 263248-33-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

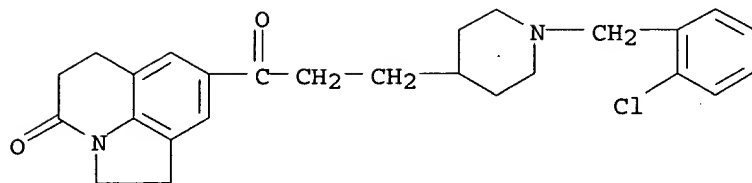
RN 263248-34-6 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-35-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

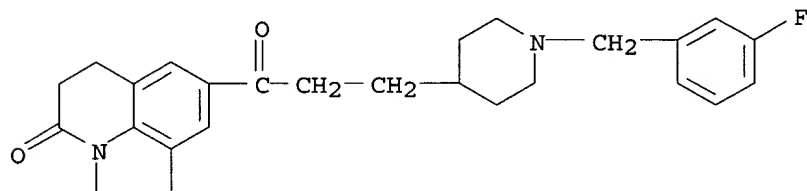




● HCl

RN 263248-36-8 USPATFULL

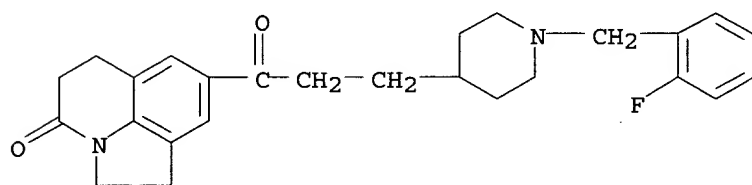
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 263248-37-9 USPATFULL

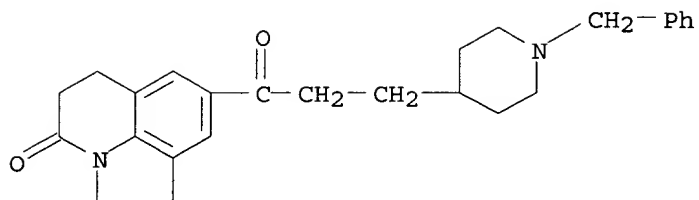
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

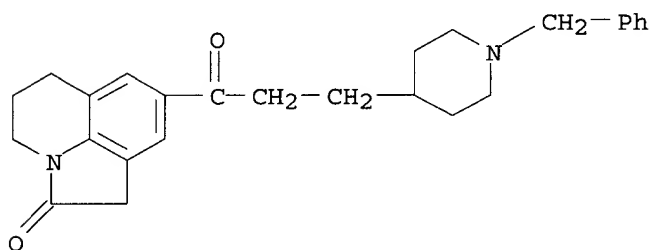
RN 263248-38-0 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-39-1 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L54 ANSWER 25 OF 29 USPATFULL on STN  
 ACCESSION NUMBER: 2003:74403 USPATFULL  
 TITLE: Thermogenic composition and benzazepine thermogenics  
 INVENTOR(S): Ishihara, Yuji, Itami, JAPAN  
 Fujisawa, Yukio, Tsukuba, JAPAN  
 Furuyama, Naoki, Kobe, JAPAN  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Inc., Osaka, JAPAN  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6534496	B1	20030318
	WO 9846590		19981022
APPLICATION INFO.:	US 1999-402806		19991007 (9)
	WO 1998-JP1753		19980416

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1997-100675	19970417
	JP 1998-41495	19980224
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Coleman, Brenda	

LEGAL REPRESENTATIVE: Chao, Mark, Ramesh, Elaine M.  
 NUMBER OF CLAIMS: 13  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 2 Drawing Figure(s); 1 Drawing Page(s)  
 LINE COUNT: 9166  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The object of the present invention is to provide a prophylactic and/or therapeutic drug for obesity and obesity-associated diseases or diabetes with a reduced risk for central side effects and high universality in usage. Another object of the present invention is to provide a pharmaceutical composition comprising a compound of the following formula: ##STR1##

wherein Ar represents phenyl which may be substituted and/or condensed; n represents an integer of 1 to 10; R represents hydrogen or a hydrocarbon group which may be substituted, which may not be the same in its n occurrences; R may be bound to either Ar or a substituent for Ar; Y represents an amino group which may be substituted or a nitrogen-containing saturated heterocyclic group which may be substituted, or a salt thereof, which can be used for a thermogenic agent, an antiobesity agent, a lipolytic agent, or a prophylactic and/or treating drug for obesity-associated diseases.

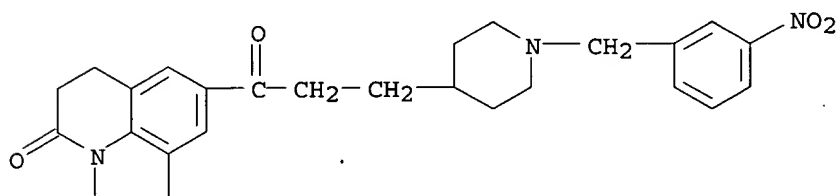
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 215047-99-7P 215048-00-3P 215048-01-4P  
 215048-02-5P

(preparation of benzazepine thermogenics)

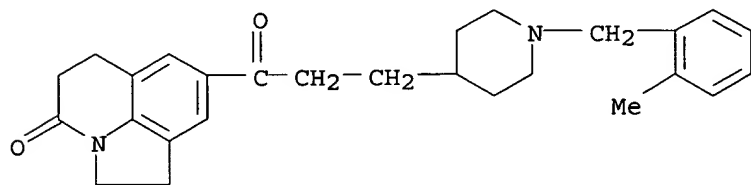
RN 215047-99-7 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



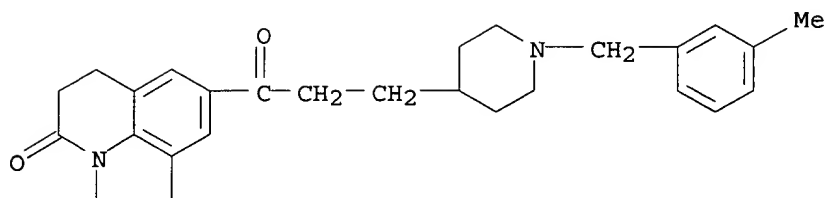
RN 215048-00-3 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



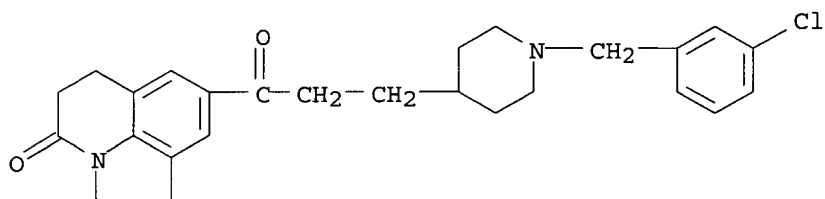
RN 215048-01-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 215048-02-5 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



L54 ANSWER 26 OF 29 USPATFULL on STN

ACCESSION NUMBER: 1998:119155 USPATFULL

TITLE: Tetracyclic condensed heterocyclic compounds their production, and use

INVENTOR(S): Goto, Giichi, Osaka, Japan  
Ishihara, Yuji, Hyogo, Japan  
Miyamoto, Masaomi, Hyogo, Japan

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5814642		19980929
APPLICATION INFO.:	US 6819117		19960730 (8)
RELATED APPLN. INFO.:	Division of Ser. No.	330133,	filed on 25 Oct 1994,
	now patented, Pat. No.	5620973	

	NUMBER	DATE
PRIORITY INFORMATION:	JP 5-299799	19931130
	JP 6-055984	19940325
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Coleman, Brenda	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2137	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel compound of the formula ##STR1## wherein Ar represents a tetracyclic fused heterocyclic group which may be substituted; R.sup.1 represents H or a hydrocarbon group which may be substituted; Y represents an amino acid or nitrogen-containing saturated heterocyclic

group which may be substituted, its salt, inhibiting excellent cholinesterase inhibitory activity and monoamine uptake inhibitory activity, thus being useful as therapeutic and/or prophylactic medicaments of senile dementia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

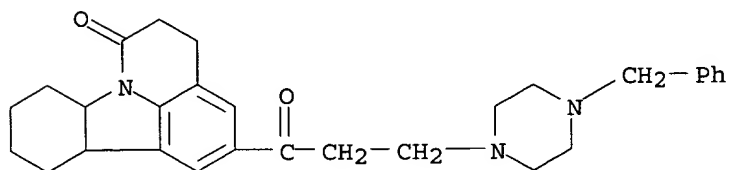
IT 167633-48-9P 167633-49-0P 167633-52-5P

167633-61-6P 167633-62-7P

(preparation of tetracyclic heterocyclics for treatment of senile dementia)

RN 167633-48-9 USPATFULL

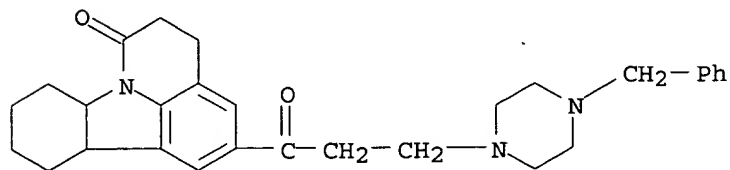
CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

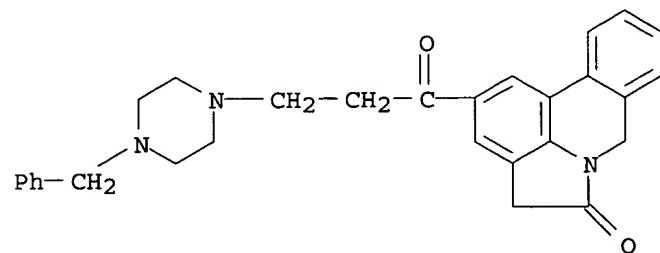
RN 167633-49-0 USPATFULL

CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



RN 167633-52-5 USPATFULL

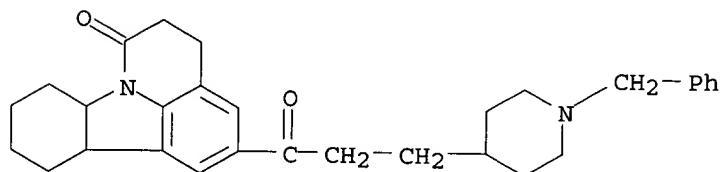
CN 7H-Pyrrolo[3,2,1-de]phenanthridin-5(4H)-one, 2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 167633-61-6 USPATFULL

CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



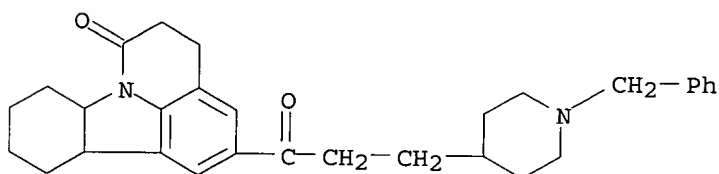
RN 167633-62-7 USPATFULL

CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 167633-61-6

CMF C30 H36 N2 O2



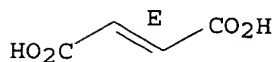
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L54 ANSWER 27 OF 29 USPATFULL on STN

ACCESSION NUMBER: 97:104492 USPATFULL

TITLE: Tricyclic condensed heterocyclic compounds their production and use

INVENTOR(S): Goto, Giichi, Toyono-cho, Japan  
Ishihara, Yuji, Itami, JapanPATENT ASSIGNEE(S): Hirai, Keisuke, Habikino, Japan  
Takeda Chemical Industries, Ltd., Osaka, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5686466		19971111

APPLICATION INFO.: US 1996-618796 19960320 (8)  
RELATED APPLN. INFO.: Division of Ser. No. US 1994-182239, filed on 18 Jan  
1994, now patented, Pat. No. US 5527800

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-5535	19930118
	JP 1993-173287	19930713
	JP 1993-239672	19930927
	JP 1993-299827	19931130
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Grumbling, Matthew V.	
ASSISTANT EXAMINER:	Ngo, Tamthom T.	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3715	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel compound of the formula: ##STR1## wherein Ar represents an optionally substituted tricyclic condensed benzene ring group which includes at least one heterocyclic ring as a component ring; n represents an integer from 2 to 10; R<sup>sup.1</sup> represents H or an optionally substituted hydrocarbon group, which may be different from one another in the repetition of n; and Y represents an optionally substituted 4-piperidinyl, 1-piperazinyl or 4-benzyl-1-piperidinyl group, or a salt thereof, inhibiting excellent cholinesterase inhibitory activity and monoamine reuptake inhibitory activity, thus being useful as therapeutic and/or prophylactic medicaments of senile dementia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

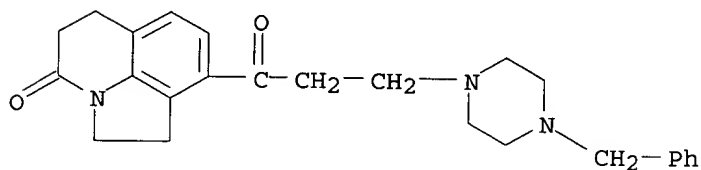
IT 157647-59-1P 157647-60-4P 157647-61-5P  
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157647-92-2P 157647-93-3P 157647-94-4P  
157647-95-5P 157647-96-6P 157647-97-7P  
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 157649-10-0P

(preparation of, as psychoanaleptic agent)

RN 157647-59-1 USPATFULL

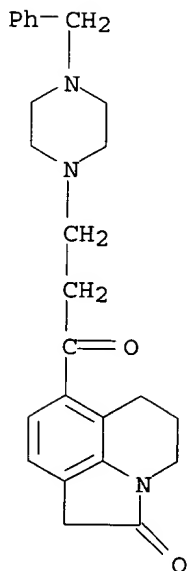
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 157647-60-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

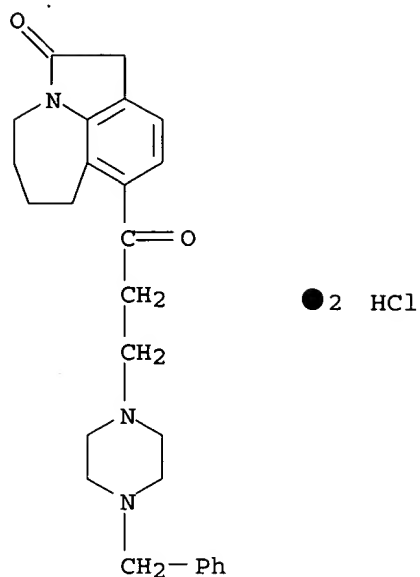


●2 HCl

RN 157647-61-5 USPATFULL

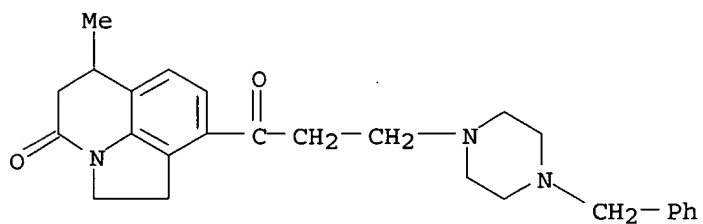
CN Azepino[3,2,1-hi]indol-2(1H)-one, 4,5,6,7-tetrahydro-8-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)





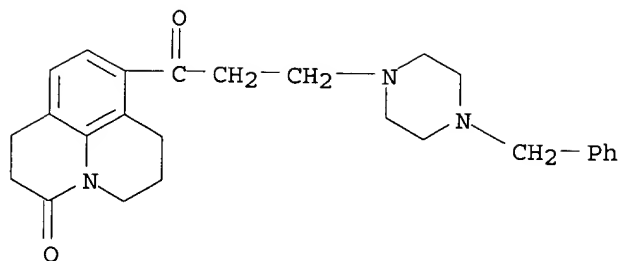
RN 157647-62-6 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-6-methyl-9-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-64-8 USPATFULL

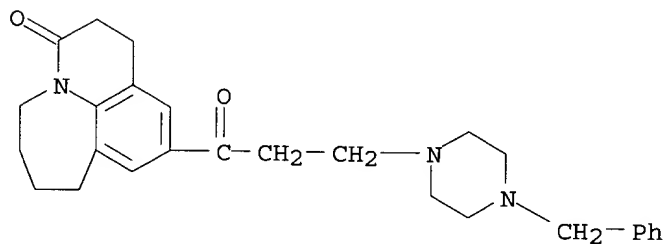
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157647-67-1 USPATFULL

CN 3H-Pyrido[3,2,1-jk][1]benzazepin-3-one, 1,2,5,6,7,8-hexahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

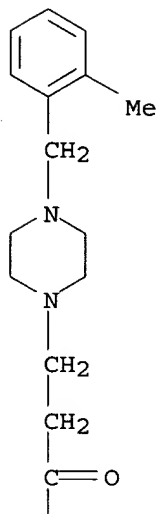


● 2 HCl

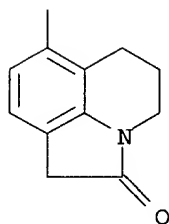
RN 157647-80-8 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



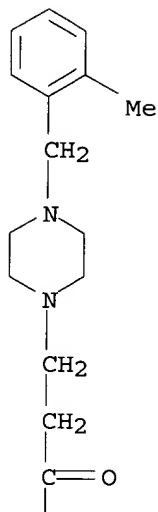
PAGE 2-A



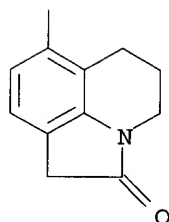
● 2 HCl

RN 157647-81-9 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

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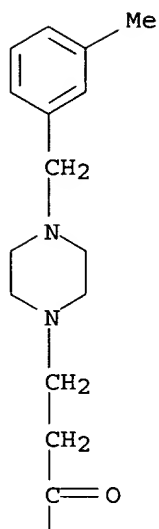


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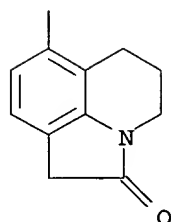


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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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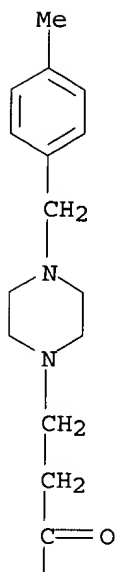
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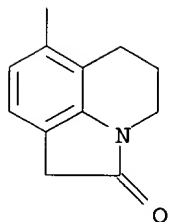
● 2 HCl

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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(4-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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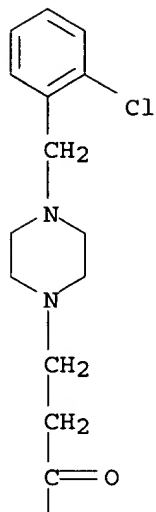
PAGE 2-A



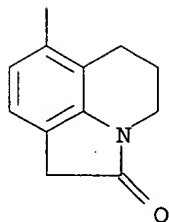
● 2 HCl

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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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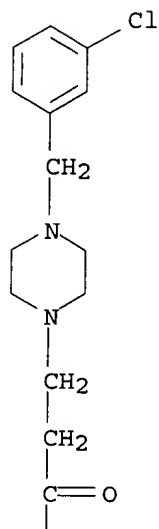
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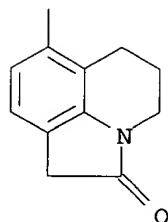
● 2 HCl

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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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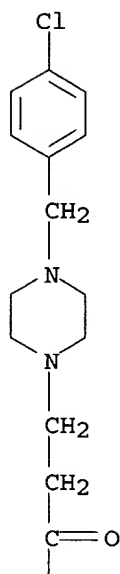


● 2 HCl

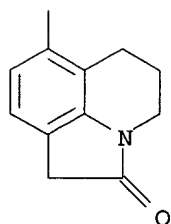
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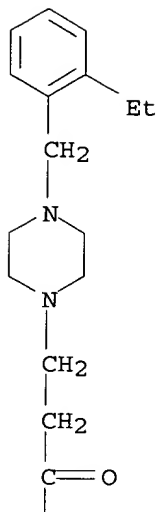
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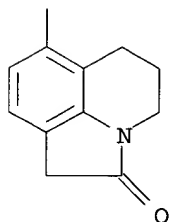
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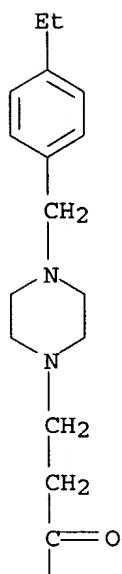
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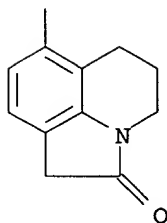
● 2 HCl

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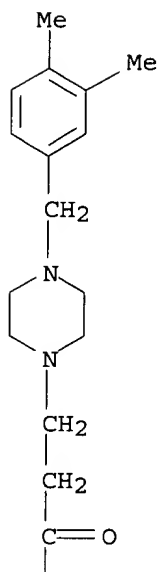
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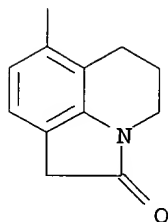
● 2 HCl

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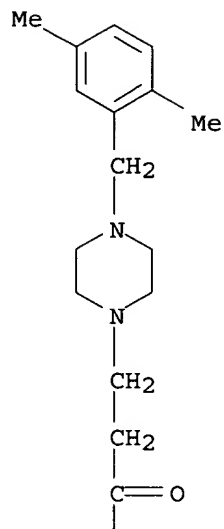
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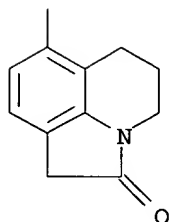
● 2 HCl

RN 157647-96-6 USPATFULL  
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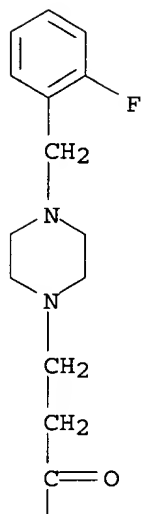
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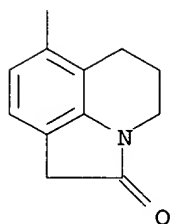
● 2 HCl

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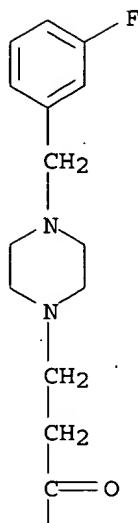
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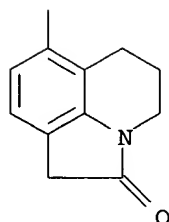
● 2 HCl

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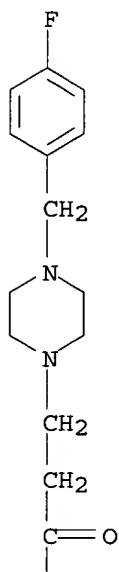
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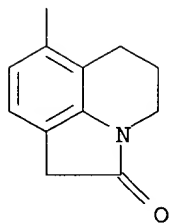
● 2 HCl

RN 157647-99-9 USPATFULL  
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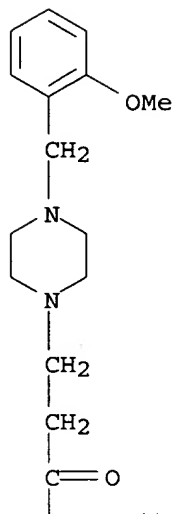


● 2 HCl

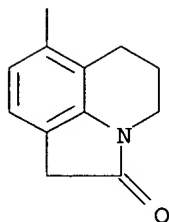
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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
 (9CI) (CA INDEX NAME)



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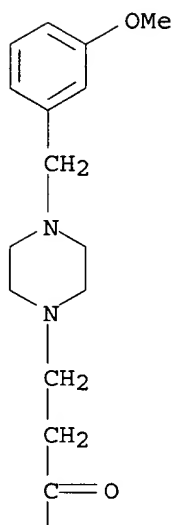
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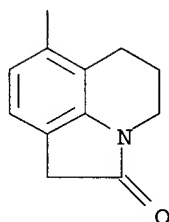
● 2 HCl

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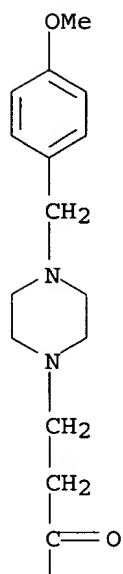
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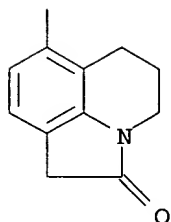
● 2 HCl

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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
 (9CI) (CA INDEX NAME)

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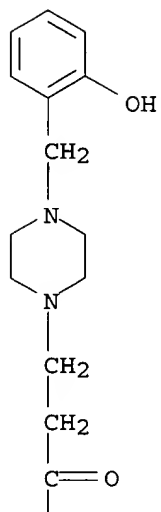
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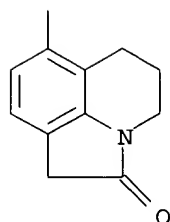
● 2 HCl

RN 157648-03-8 USPATFULL  
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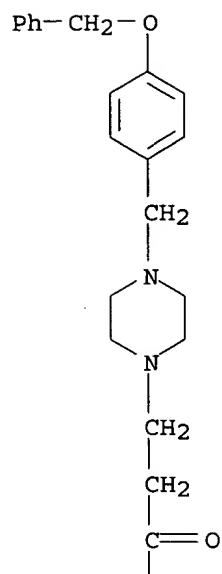
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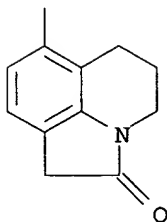
● 2 HCl

RN 157648-04-9 USPATFULL  
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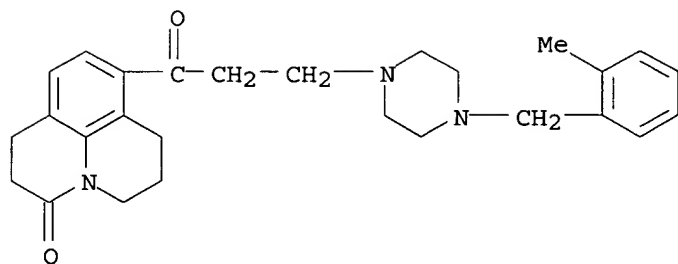
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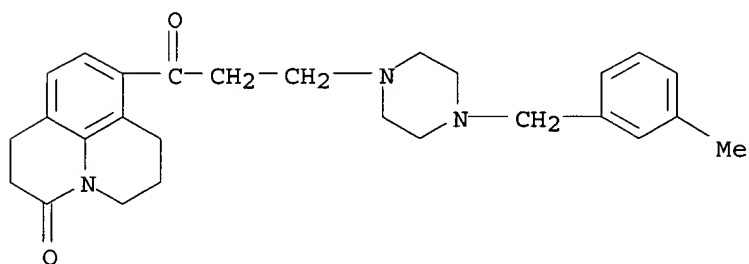
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 (CA INDEX NAME)



●2 HCl

RN 157648-06-1 USPATFULL

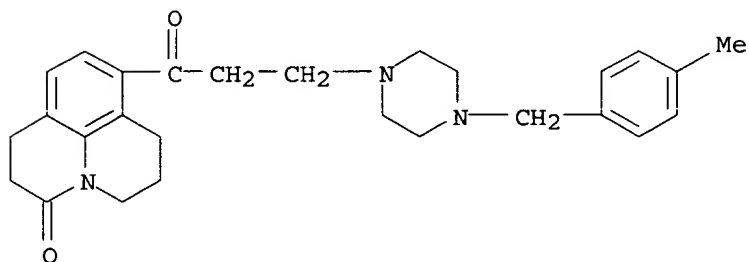
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



●2 HCl

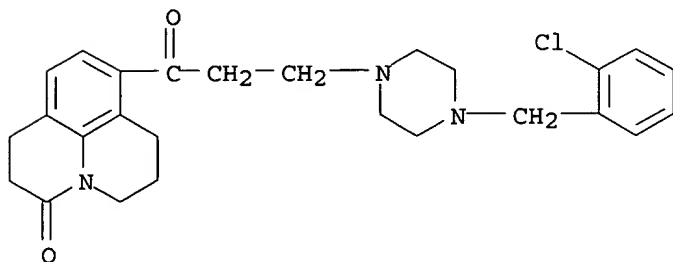
RN 157648-07-2 USPATFULL

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(CA INDEX NAME)

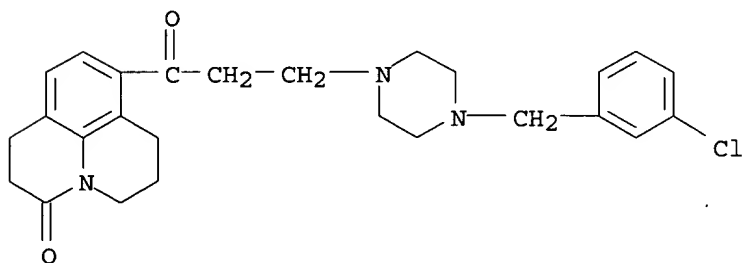


●2 HCl

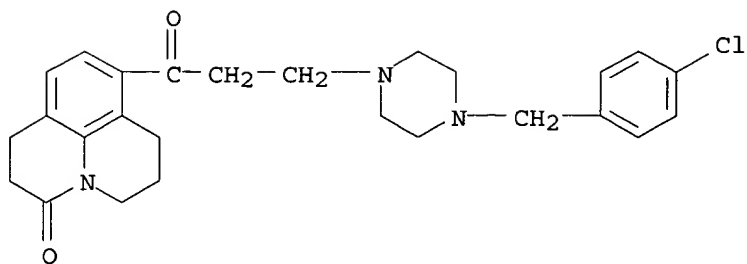
CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)

 $\bullet_2 \text{HCl}$ 

CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)

 $\bullet_2 \text{HCl}$ 

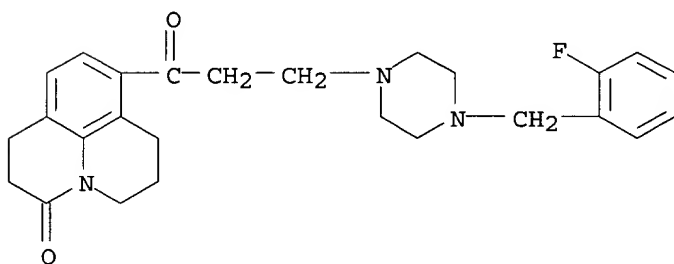
CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(4-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-11-8 USPATFULL

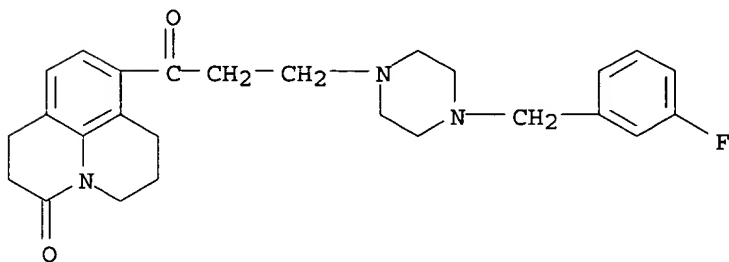
CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-12-9 USPATFULL

CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(3-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)

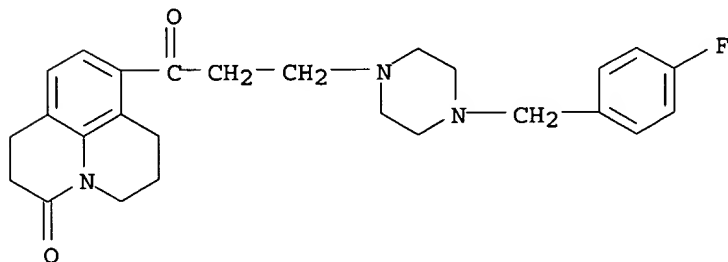


● 2 HCl



RN 157648-13-0 USPATFULL

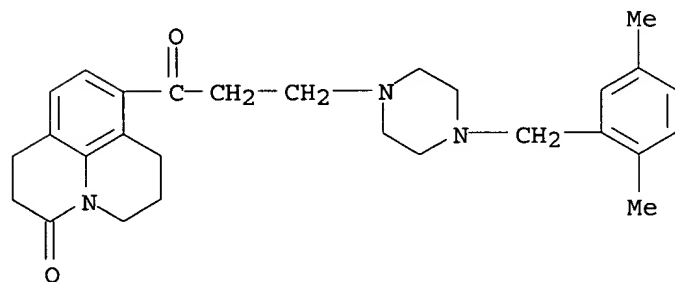
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-14-1 USPATFULL

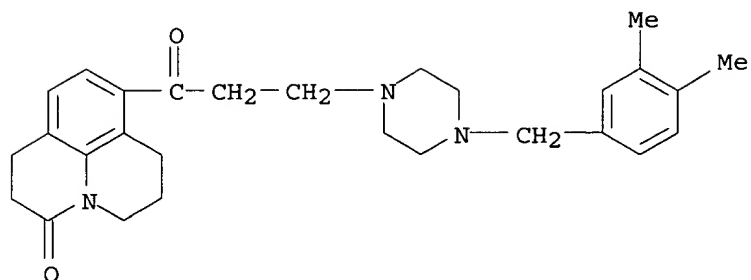
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(2,5-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-15-2 USPATFULL

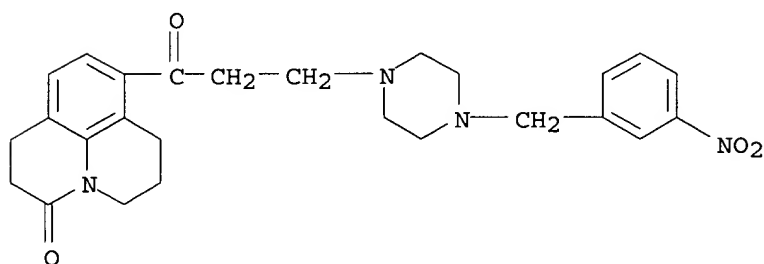
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-16-3 USPATFULL

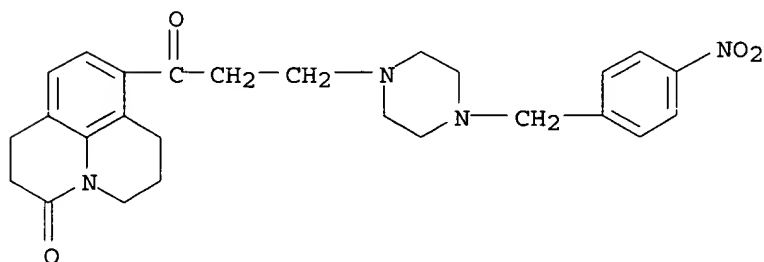
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-17-4 USPATFULL

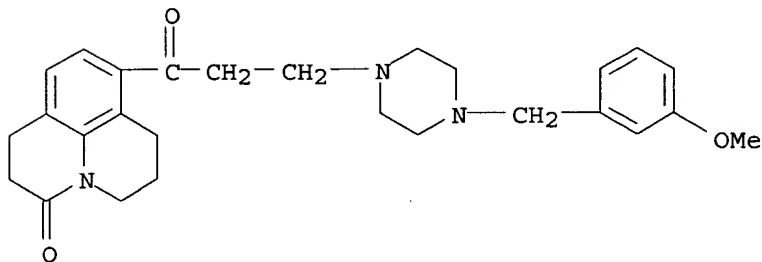
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-18-5 USPATFULL

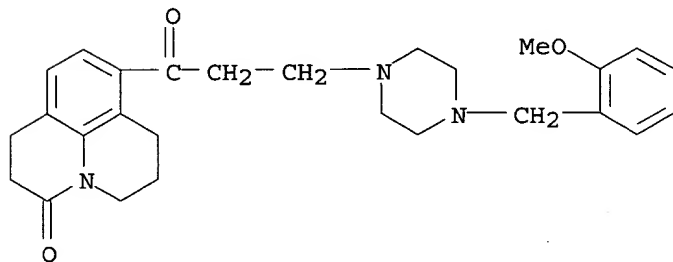
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
(9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-19-6 USPATFULL

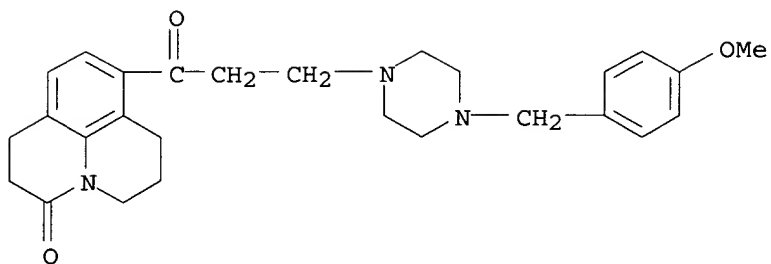
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
(9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-20-9 USPATFULL

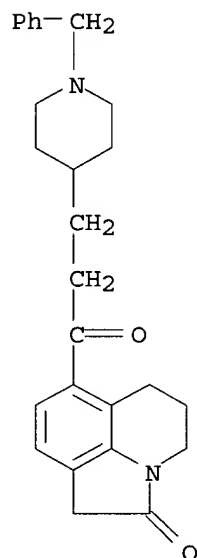
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
(9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-21-0 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

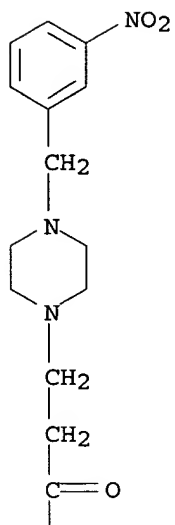


● HCl

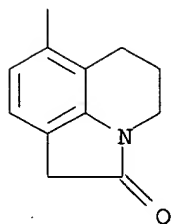
RN 157648-22-1 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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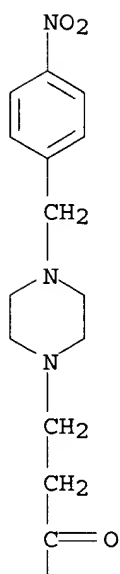
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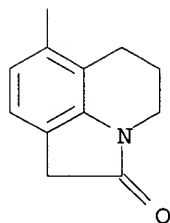
● 2 HCl

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(CA INDEX NAME)

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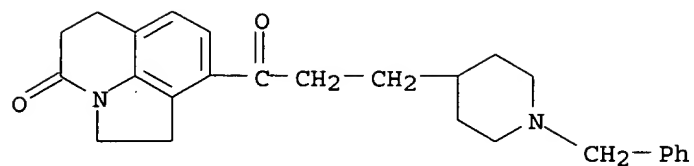


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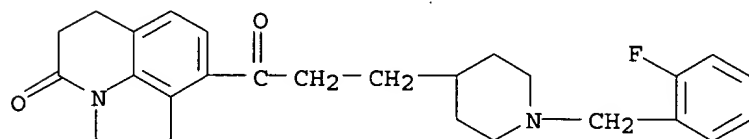
●2 HCl

RN 157648-25-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



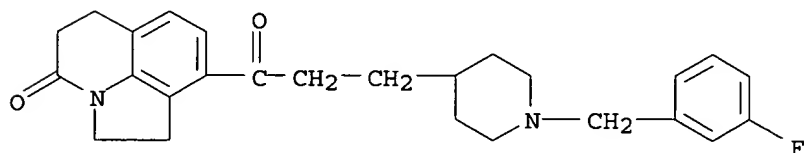
● HCl

RN 157648-26-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



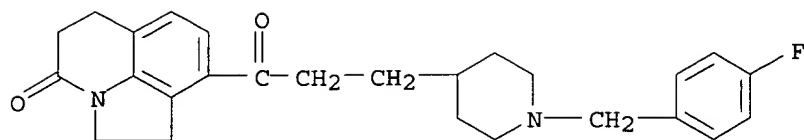
● HCl

RN 157648-27-6 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



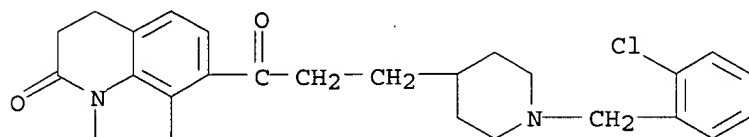
● HCl

RN 157648-28-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



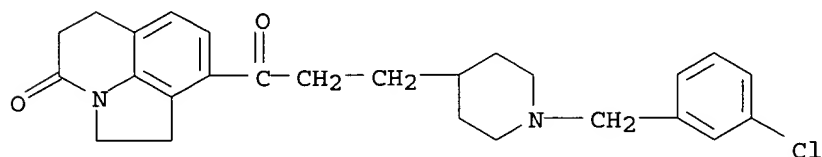
● HCl

RN 157648-29-8 USPATFULL  
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 (CA INDEX NAME)



● HCl

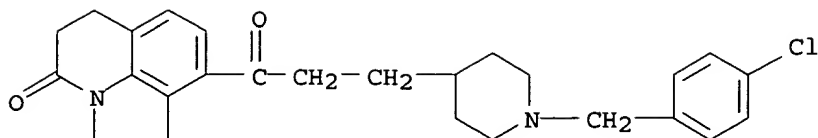
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 (CA INDEX NAME)



● HCl

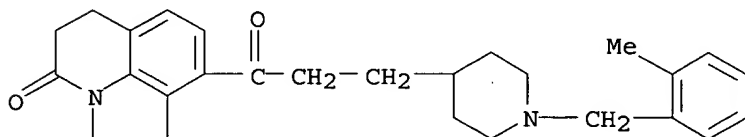
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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)





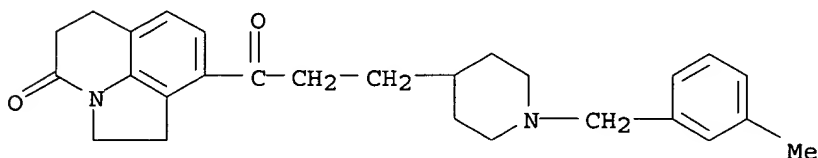
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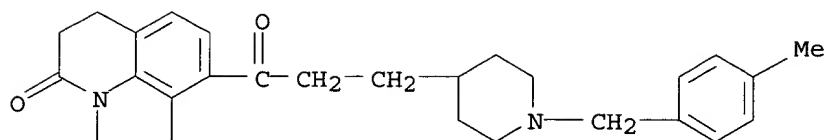
● HCl

RN 157648-33-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



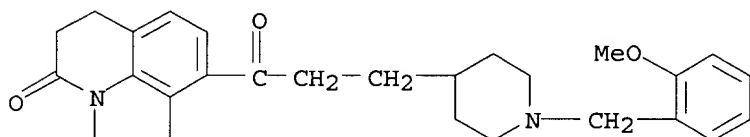
● HCl

RN 157648-34-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



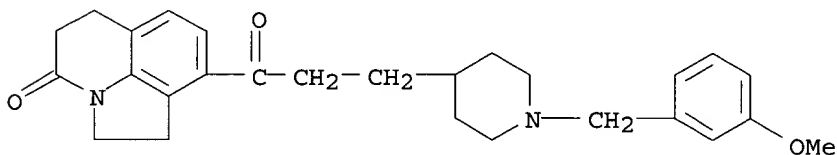
● HCl

RN 157648-35-6 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



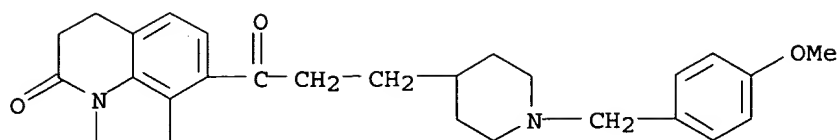
● HCl

RN 157648-36-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



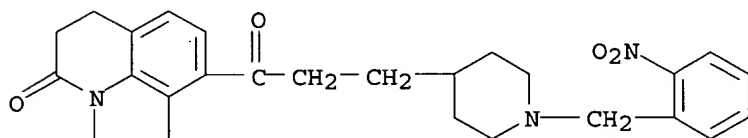
● HCl

RN 157648-37-8 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



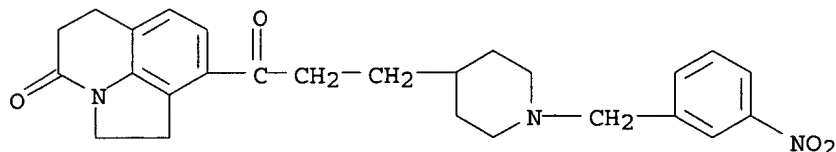
● HCl

RN 157648-38-9 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



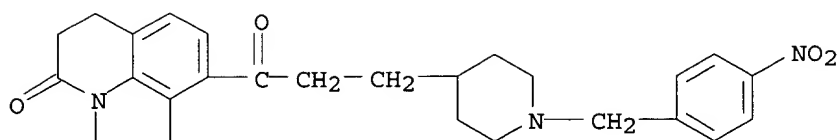
● HCl

RN 157648-39-0 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



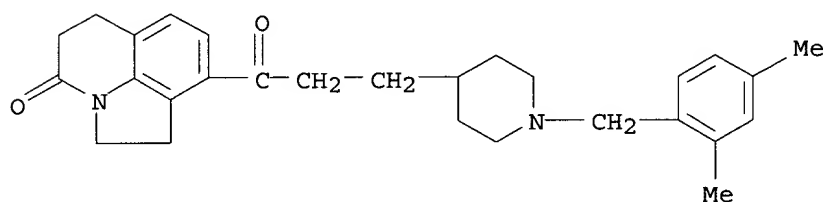
● HCl

RN 157648-40-3 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



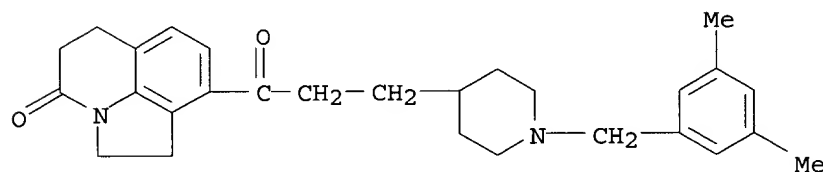
● HCl

RN 157648-41-4 USPATFULL  
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 (CA INDEX NAME)



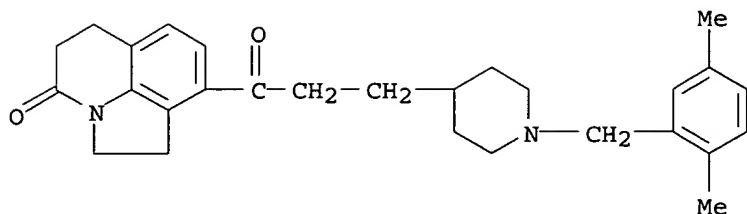
● HCl

RN 157648-42-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



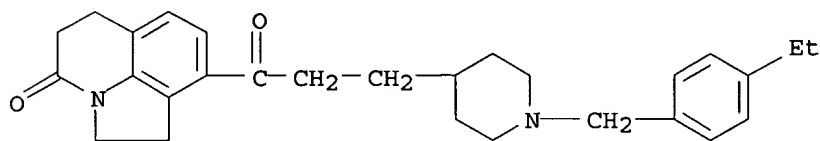
● HCl

RN 157648-43-6 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



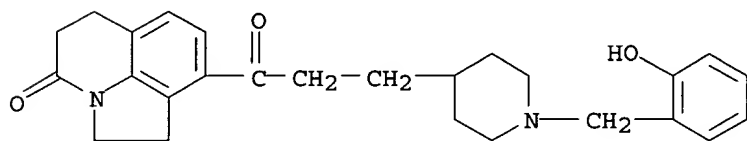
● HCl

RN 157648-44-7 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



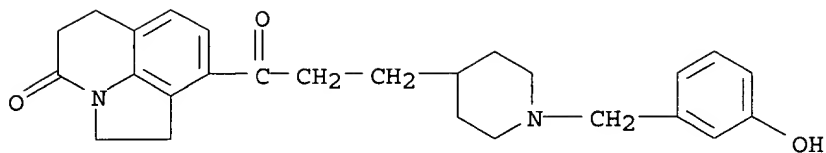
● HCl

RN 157648-45-8 USPATFULL  
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(CA INDEX NAME)



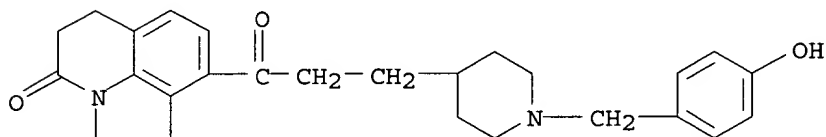
● HCl

RN 157648-46-9 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



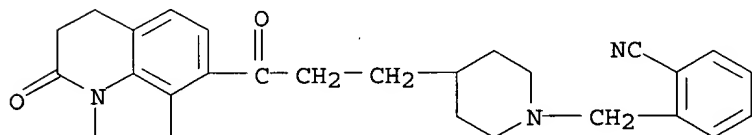
● HCl

RN 157648-47-0 USPATFULL  
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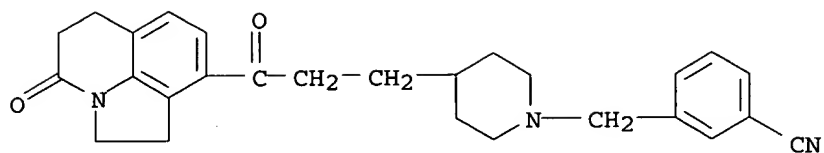
● HCl

RN 157648-48-1 USPATFULL  
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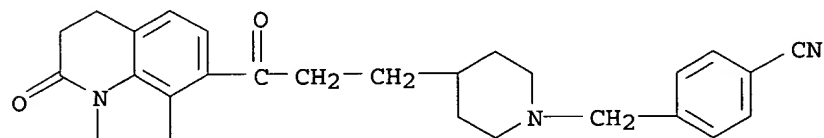
● HCl

RN 157648-49-2 USPATFULL  
 CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



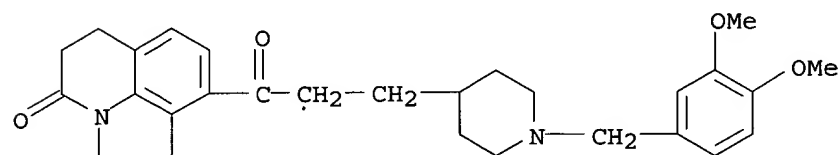
● HCl

RN 157648-50-5 USPATFULL  
CN Benzonitrile, 4-[[4-[[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



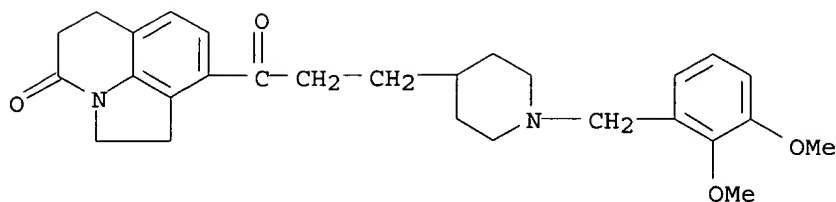
● HCl

RN 157648-51-6 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



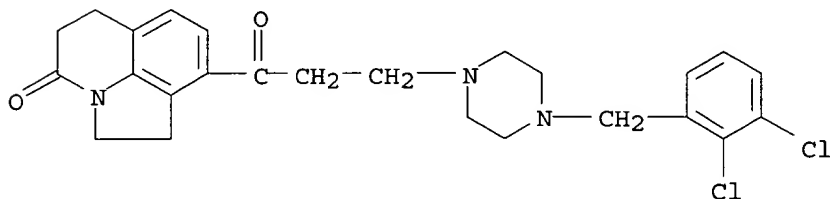
● HCl

RN 157648-52-7 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,3-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



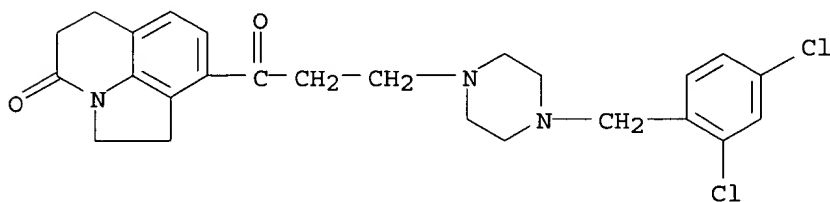
● HCl

RN 157648-53-8 USPTFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,3-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
 (CA INDEX NAME)



● 2 HCl

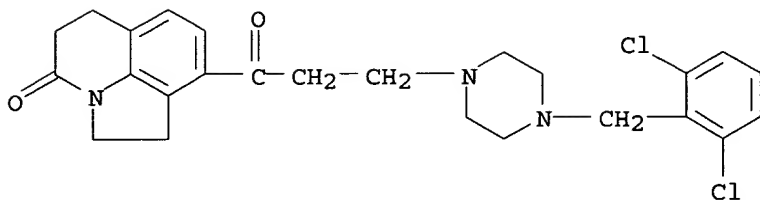
RN 157648-54-9 USPTFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
 (CA INDEX NAME)



● 2 HCl

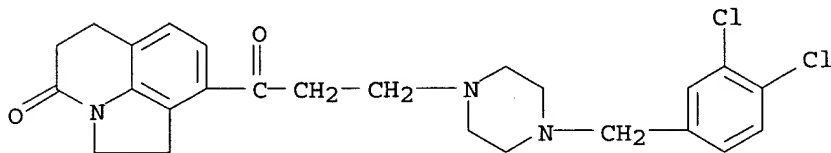
RN 157648-55-0 USPTFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,6-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
 (CA INDEX NAME)





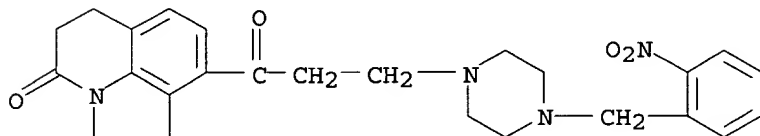
● 2 HCl

RN 157648-56-1 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
 (CA INDEX NAME)



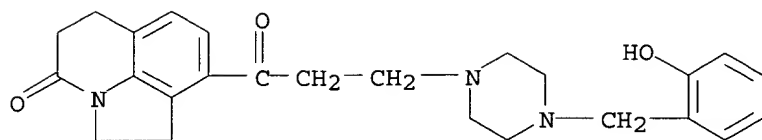
● 2 HCl

RN 157648-57-2 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)



● 2 HCl

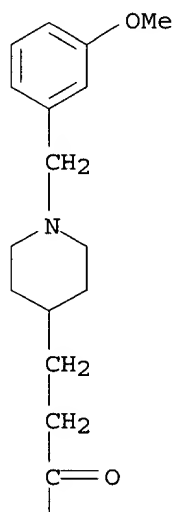
RN 157648-58-3 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-hydroxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)



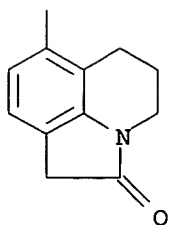
● 2 HCl

RN 157648-59-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)

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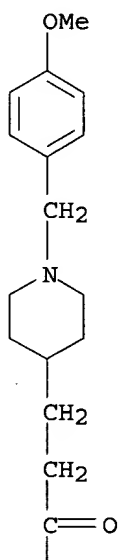
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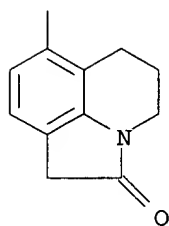
● HCl

RN 157648-60-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)

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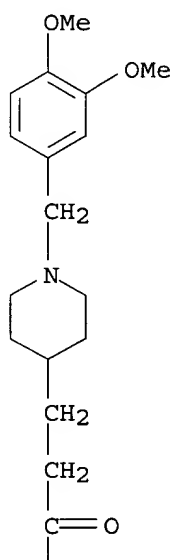
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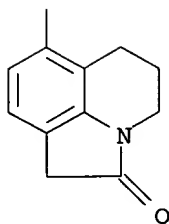
● HCl

RN 157648-61-8 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

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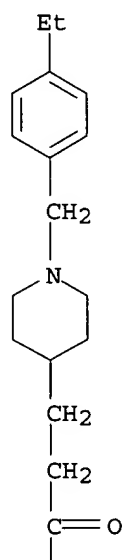
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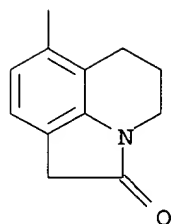
● HCl

RN 157648-62-9 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

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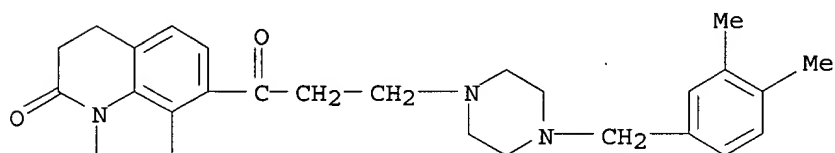
PAGE 2-A



● HCl

RN 157648-63-0 USPATFULL

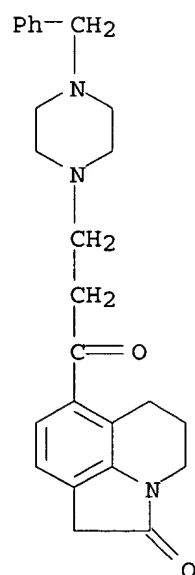
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 157648-65-2 USPATFULL

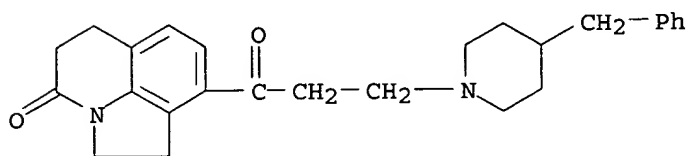
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-67-4 USPATFULL

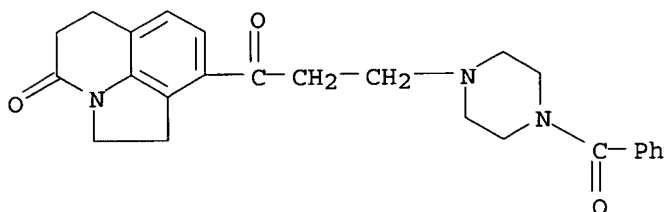
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-68-5 USPATFULL

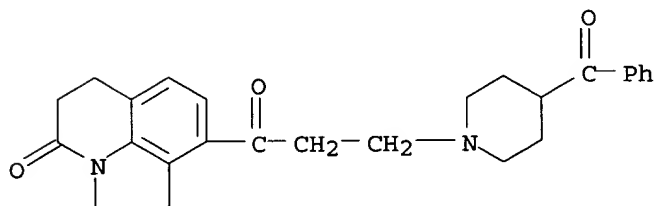
CN Piperazine, 1-benzoyl-4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-69-6 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-(4-benzoyl-1-piperidinyl)-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

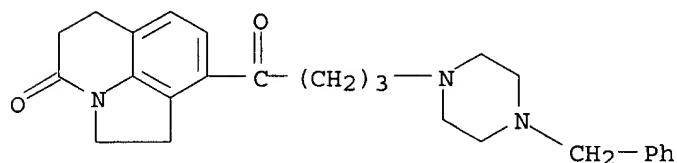


● HCl

RN 157648-70-9 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-[4-

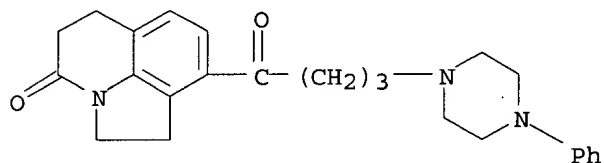
(phenylmethyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-71-0 USPATFULL

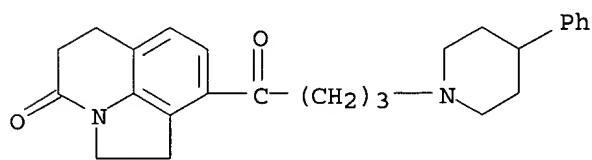
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperazinyl)butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-73-2 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperidiny]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

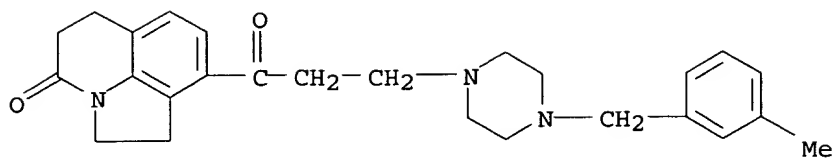


● HCl

RN 157648-98-1 USPATFULL

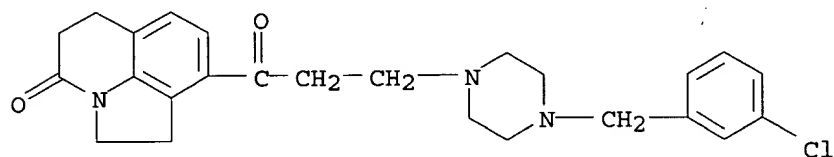
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)





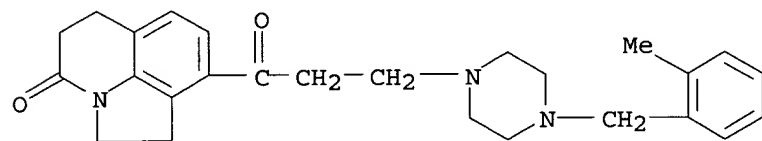
RN 157648-99-2 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



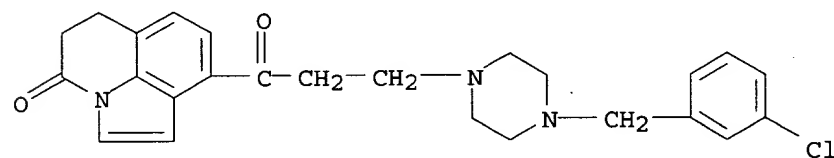
RN 157649-00-8 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



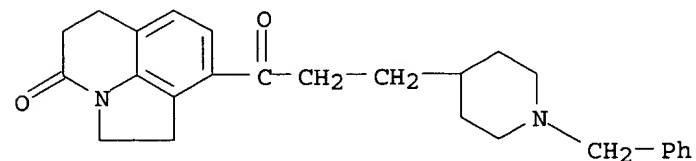
RN 157649-01-9 USPATFULL

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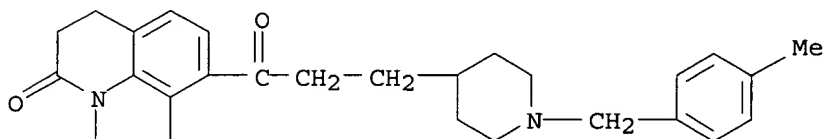
RN 157649-02-0 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]- (9CI) (CA INDEX NAME)



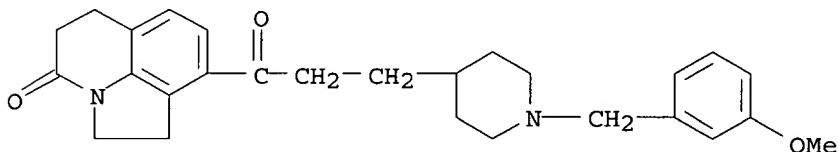
RN 157649-03-1 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



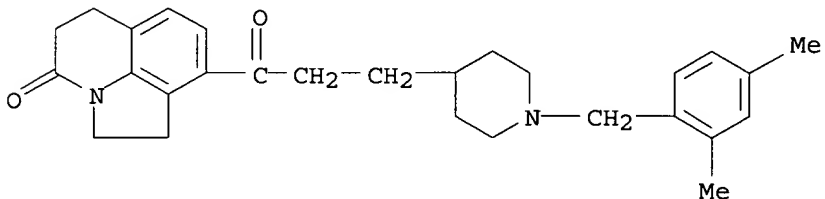
RN 157649-04-2 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



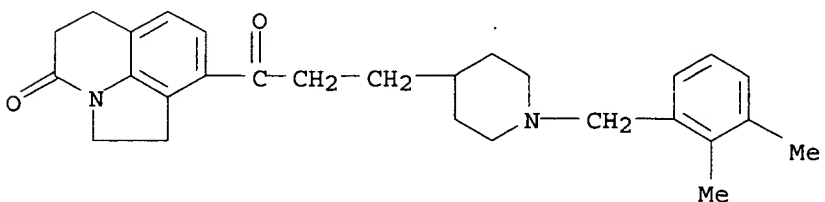
RN 157649-05-3 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,4-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



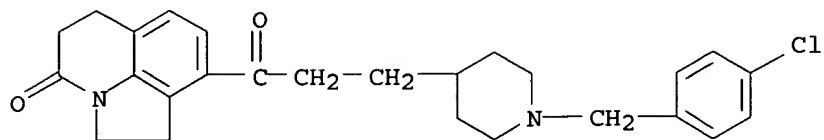
RN 157649-06-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,3-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



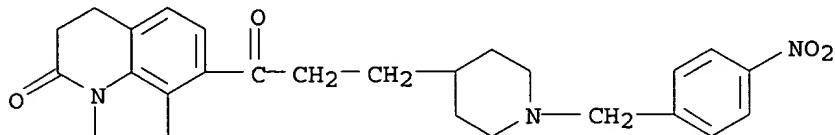
RN 157649-07-5 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



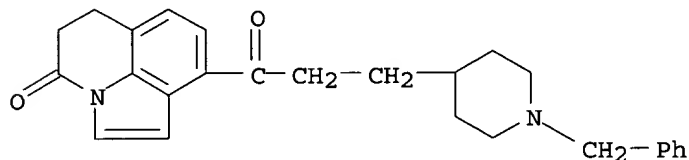
RN 157649-08-6 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



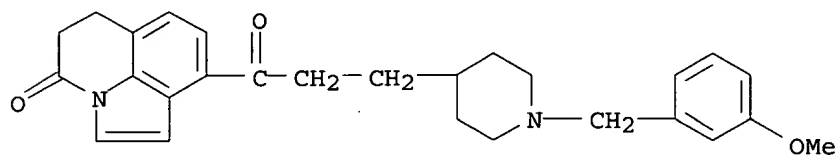
RN 157649-09-7 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 5,6-dihydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 157649-10-0 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 5,6-dihydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



L54 ANSWER 28 OF 29 USPATFULL on STN

ACCESSION NUMBER: 97:31695 USPATFULL

TITLE: Tetracyclic condensed heterocyclic compounds and their use

INVENTOR(S): Goto, Giichi, Osaka, Japan  
Ishihara, Yuji, Hyogo, Japan  
Miyamoto, Masaomi, Hyogo, JapanPATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan  
(non-U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION: US 5620973 19970415  
 APPLICATION INFO.: US 1994-330133 19941025 (8)

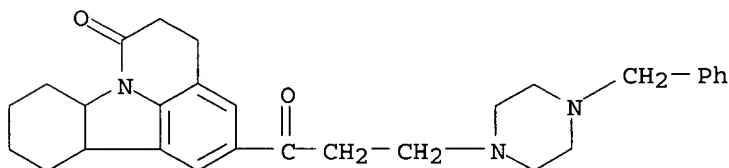
	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-299799	19931130
	JP 1994-55984	19940325
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Datlow, Philip I.	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1, 8	
LINE COUNT:	2096	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel compound of the formula ##STR1## wherein Ar represents a tetracyclic fused heterocyclic group which may be substituted; R.sup.1 represents H or a hydrocarbon group which may be substituted; Y represents an amino or nitrogen-containing saturated heterocyclic group which may be substituted, its salt, inhibiting excellent cholinesterase inhibitory activity and monoamine uptake inhibitory activity, thus being useful as therapeutic and/or prophylactic medicaments of senile dementia.

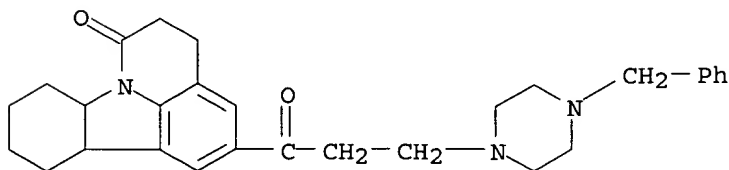
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 167633-48-9P 167633-49-0P 167633-52-5P  
 167633-61-6P 167633-62-7P  
 (preparation of tetracyclic heterocyclics for treatment of senile dementia)  
 RN 167633-48-9 USPATFULL  
 CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



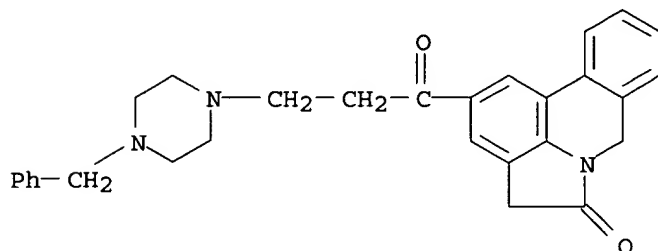
● 2 HCl

RN 167633-49-0 USPATFULL  
 CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



RN 167633-52-5 USPATFULL

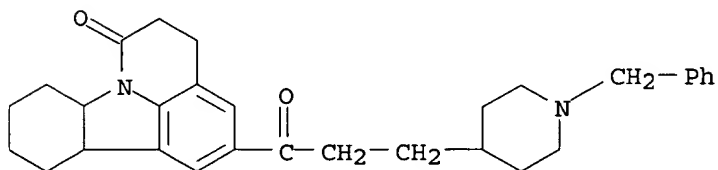
CN 7H-Pyrrolo[3,2,1-de]phenanthridin-5(4H)-one, 2-[1-oxo-3-[4-(phenylmethyl)-1-piperaziny]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 167633-61-6 USPATFULL

CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]- (9CI) (CA INDEX NAME)



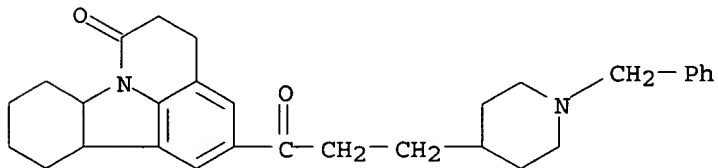
RN 167633-62-7 USPATFULL

CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 167633-61-6

CMF C30 H36 N2 O2



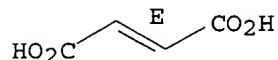
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L54 ANSWER 29 OF 29 USPATFULL on STN

ACCESSION NUMBER: 96:53315 USPATFULL

TITLE: Tricyclic condensed heterocyclic compounds, their production and use

INVENTOR(S): Goto, Giichi, Toyono-gun, Japan

Ishihara, Yuji, Itami, Japan

Hirai, Keisuke, Habikino, Japan

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5527800		19960618
APPLICATION INFO.:	US 1994-182239		19940118 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-5535	19930118
	JP 1993-173287	19930713
	JP 1993-239672	19930927
	JP 1993-299827	19931130

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Tsang, Cecilia

LEGAL REPRESENTATIVE: Foley & Lardner

NUMBER OF CLAIMS: 6

EXEMPLARY CLAIM: 1

LINE COUNT: 3845

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel compound of the formula: ##STR1## wherein Ar represents an optionally substituted tricyclic condensed benzene ring group which includes at least one heterocyclic ring as a component ring; n represents an integer from 2 to 10; R<sup>sup.1</sup> represents H or an optionally substituted hydrocarbon group, which may be different from one another in the repetition of n; and Y represents an optionally substituted 4-piperidinyl, 1-piperazinyl or 4-benzyl-1-piperidinyl group, or a salt thereof, inhibiting excellent cholinesterase inhibitory activity and monoamine reuptake inhibitory activity, thus being useful as therapeutic and/or prophylactic medicaments of senile dementia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

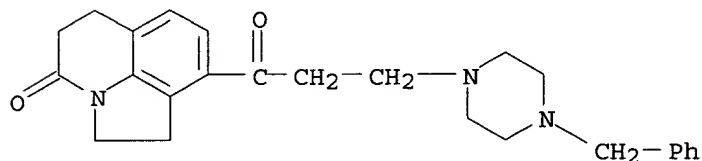
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 157649-10-0P

(preparation of, as psychoanaleptic agent)

RN 157647-59-1 USPATFULL

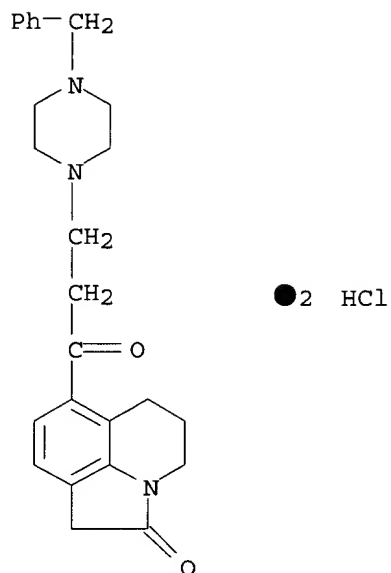
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperaziny]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

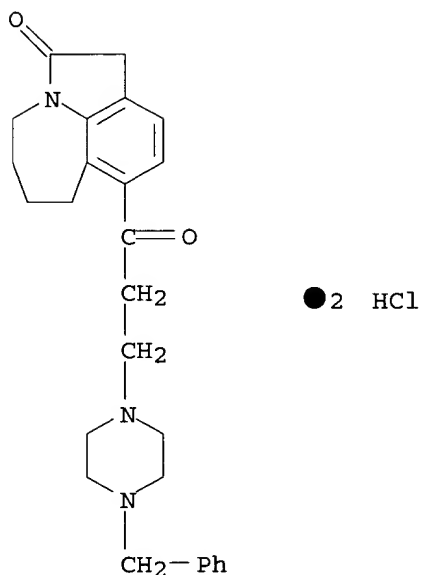
RN 157647-60-4 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperaziny]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-61-5 USPATFULL

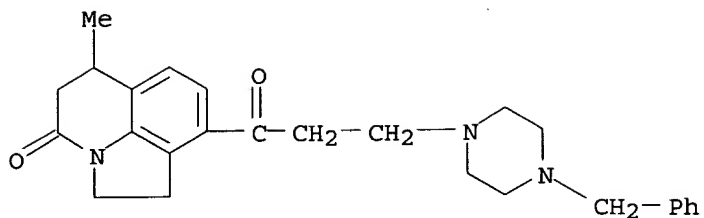
CN Azepino[3,2,1-hi]indol-2(1H)-one, 4,5,6,7-tetrahydro-8-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-62-6 USPATFULL

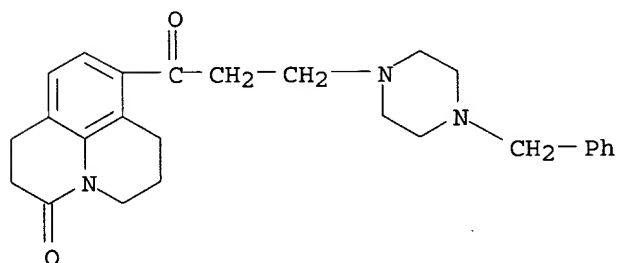
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-6-methyl-9-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)





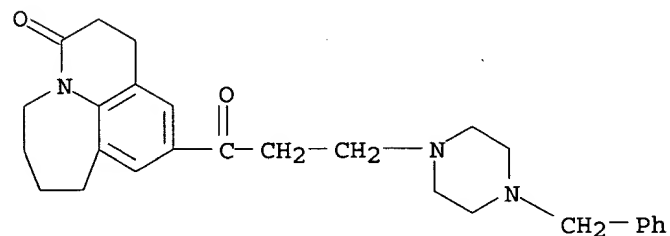
●2 HCl

RN 157647-64-8 USPATFULL  
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 157647-67-1 USPATFULL  
CN 3H-Pyrido[3,2,1-jk][1]benzazepin-3-one, 1,2,5,6,7,8-hexahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

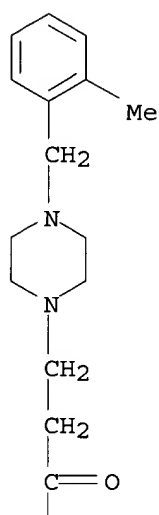


●2 HCl

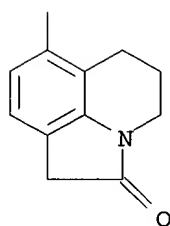
RN 157647-80-8 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-

methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)

PAGE 1-A



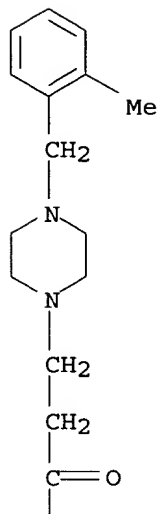
PAGE 2-A



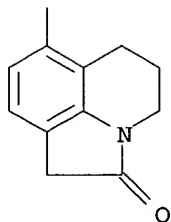
●2 HCl

RN 157647-81-9 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

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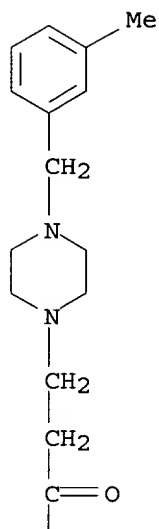


PAGE 2-A

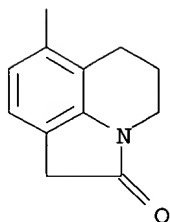


RN	157647-88-6	USPATFULL
CN	4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)	

PAGE 1-A



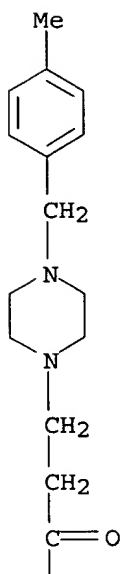
PAGE 2-A



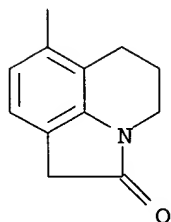
● 2 HCl

RN 157647-89-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(4-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

PAGE 1-A



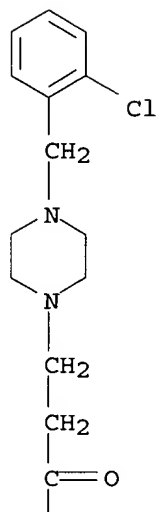
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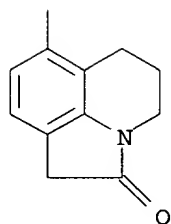
● 2 HCl

RN 157647-90-0 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



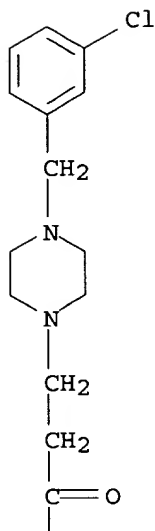
PAGE 2-A



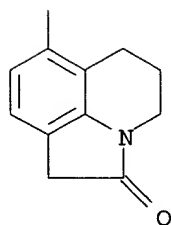
● 2 HCl

RN 157647-91-1 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



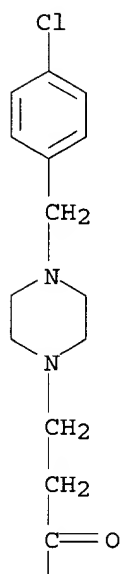
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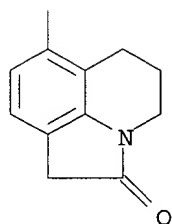
● 2 HCl

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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(4-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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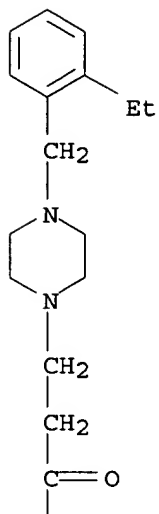


● 2 HCl

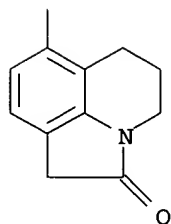
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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(2-ethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)



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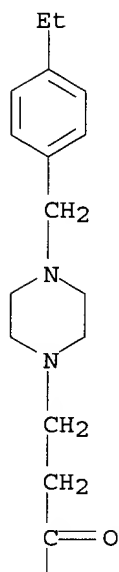
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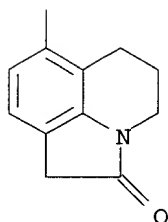
● 2 HCl

RN 157647-94-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(4-ethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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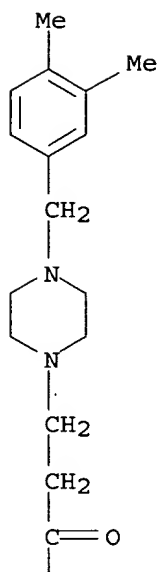
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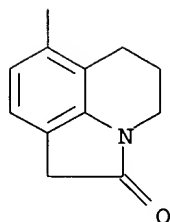
● 2 HCl

RN 157647-95-5 USPATFULL  
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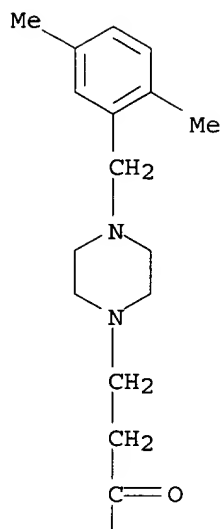
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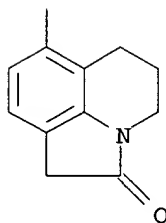
● 2 HCl

RN 157647-96-6 USPATFULL  
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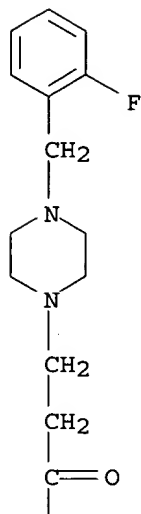
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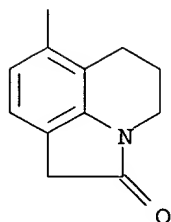
● 2 HCl

RN 157647-97-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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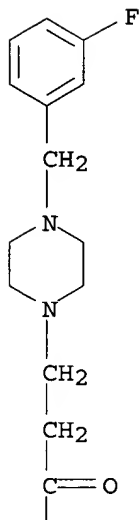
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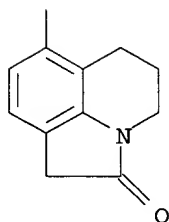
● 2 HCl

RN 157647-98-8 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(3-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

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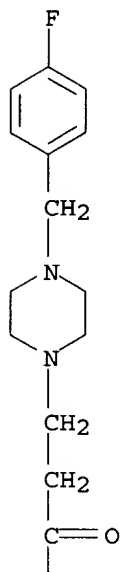
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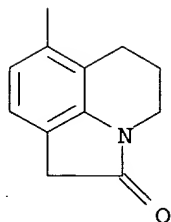
● 2 HCl

RN 157647-99-9 USPATFULL  
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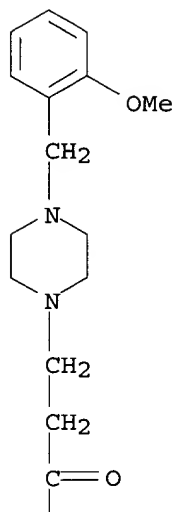
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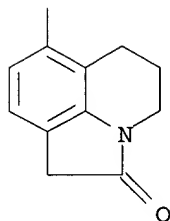
● 2 HCl

RN 157648-00-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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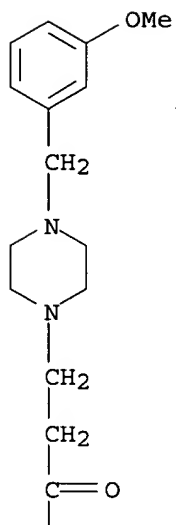


● 2 HCl

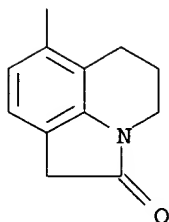
RN 157648-01-6 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)



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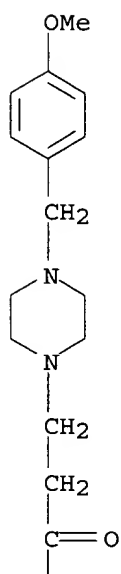
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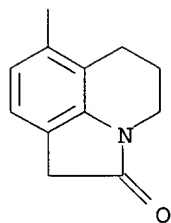
● 2 HCl

RN 157648-02-7 USPATFULL  
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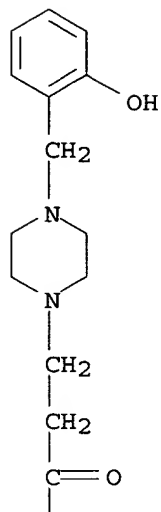
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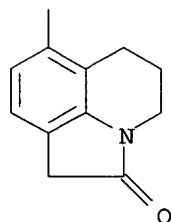
● 2 HCl

RN 157648-03-8 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-hydroxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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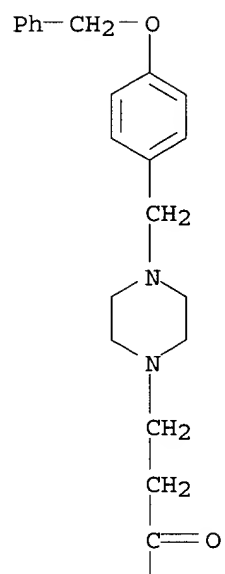
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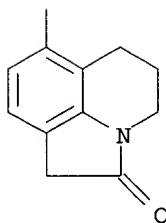
● 2 HCl

RN 157648-04-9 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-[[4-(phenylmethoxy)phenyl]methyl]-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

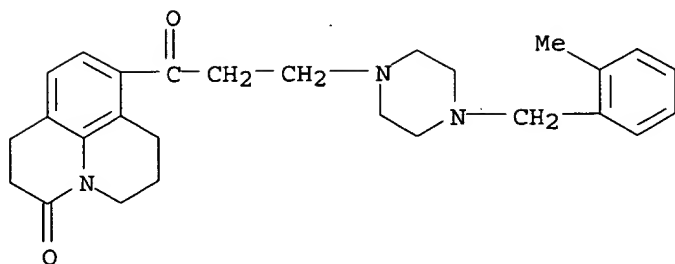
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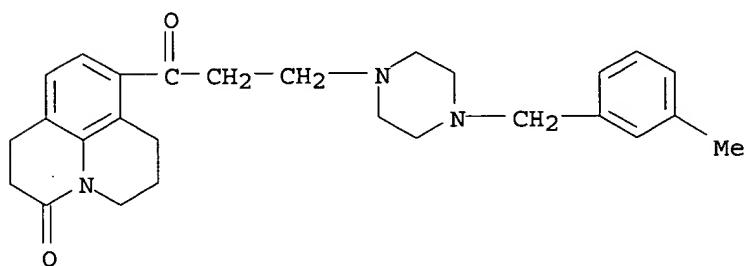
RN 157648-05-0 USPATFULL  
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 (CA INDEX NAME)



●2 HCl

RN 157648-06-1 USPATFULL

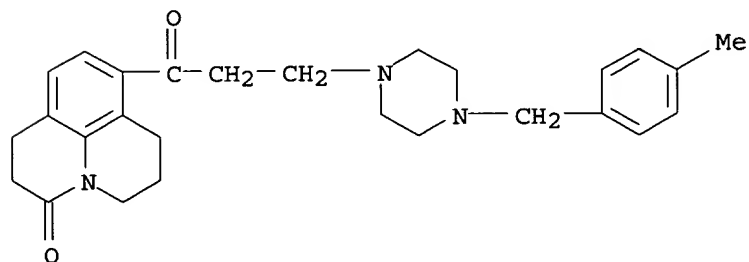
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



●2 HCl

RN 157648-07-2 USPATFULL

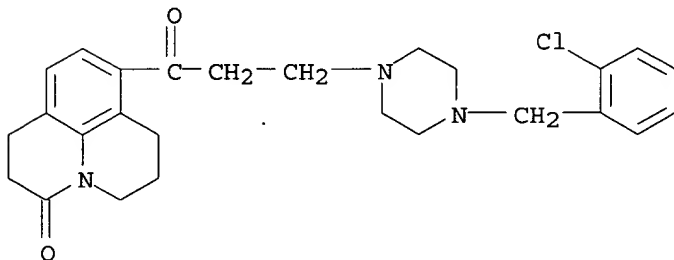
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



●2 HCl

RN 157648-08-3 USPATFULL

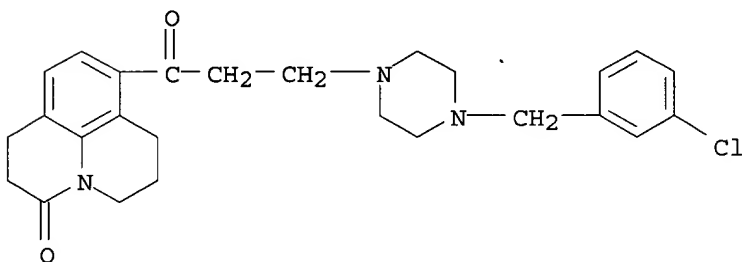
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-09-4 USPATFULL

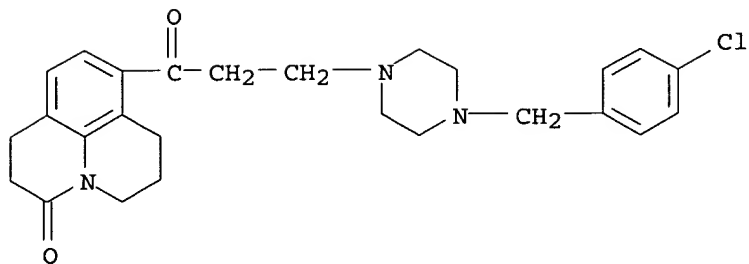
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-10-7 USPATFULL

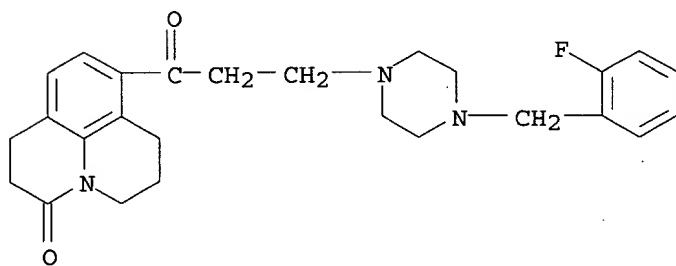
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(4-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-11-8 USPATFULL

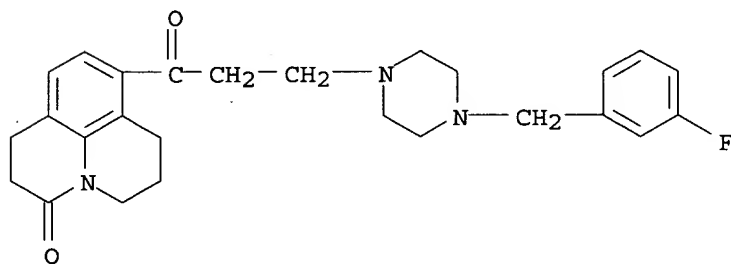
CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-12-9 USPATFULL

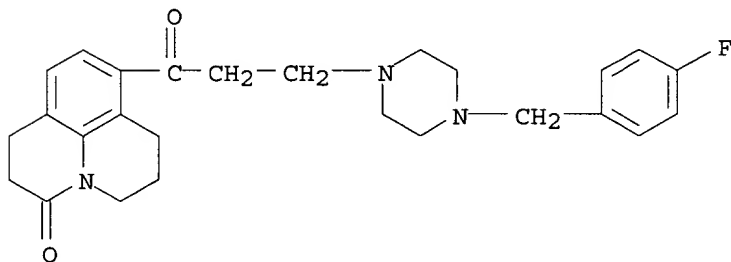
CN 1H,5H-Benzo[ij]quinolizin-5-one, 10-[3-[4-[(3-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-13-0 USPATFULL

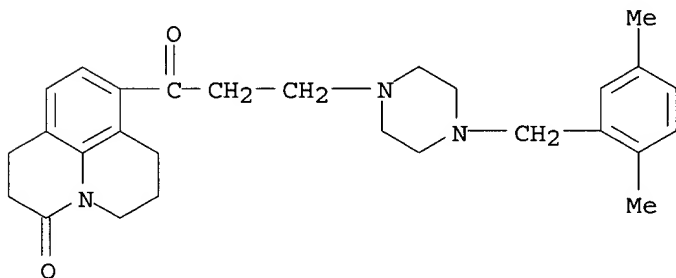
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-14-1 USPATFULL

CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(2,5-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)

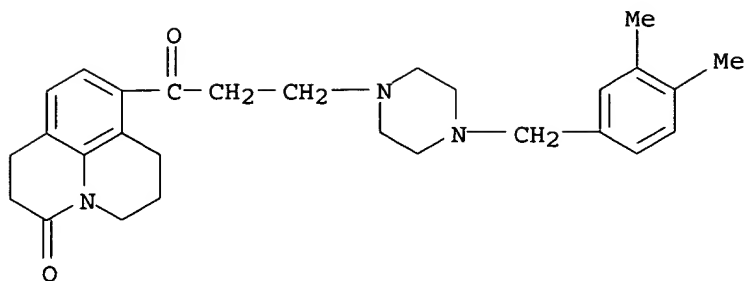


● 2 HCl

RN 157648-15-2 USPATFULL

CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)

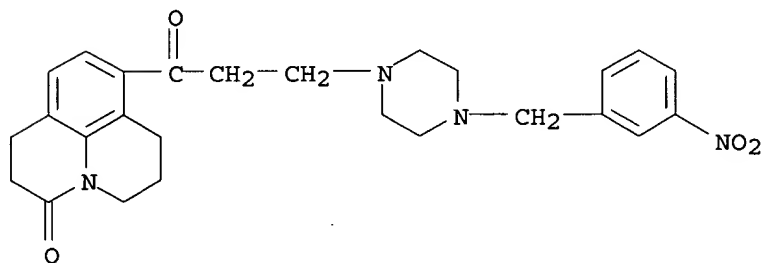




● 2 HCl

RN 157648-16-3 USPATFULL

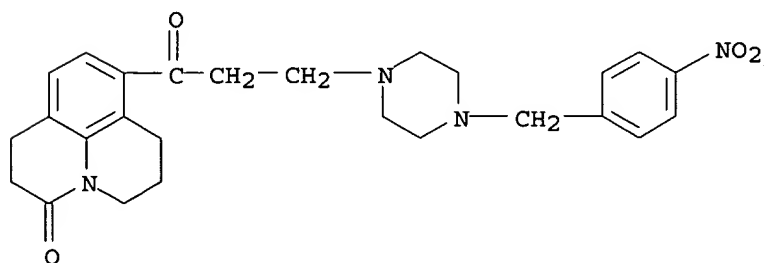
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-17-4 USPATFULL

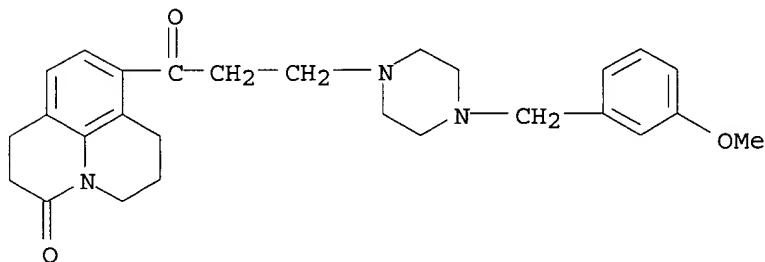
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-18-5 USPATFULL

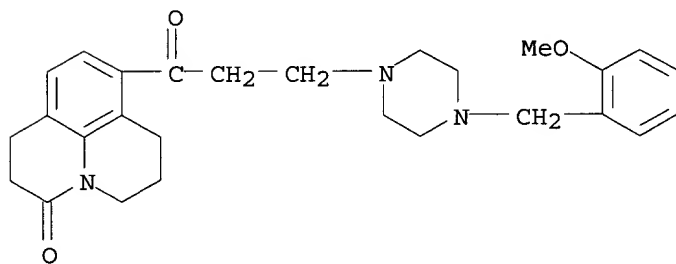
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(3-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
(9CI) (CA INDEX NAME)



●2 HCl

RN 157648-19-6 USPATFULL

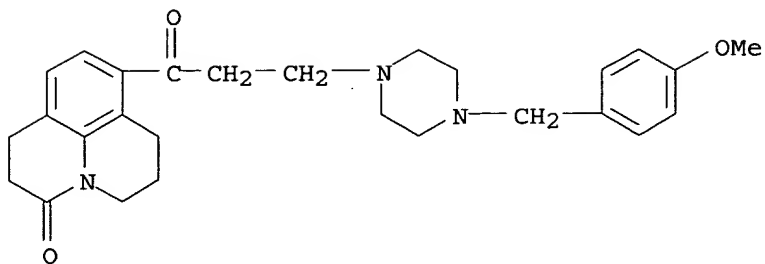
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
(9CI) (CA INDEX NAME)



●2 HCl

RN 157648-20-9 USPATFULL

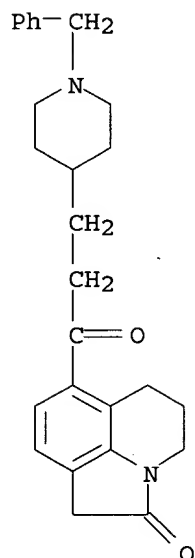
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
(9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-21-0 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

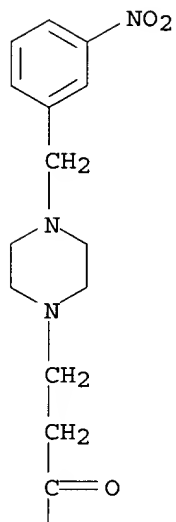


● HCl

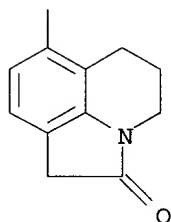
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CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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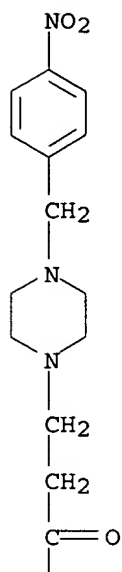
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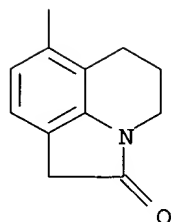
● 2 HCl

RN 157648-23-2 USPATFULL  
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 (CA INDEX NAME)

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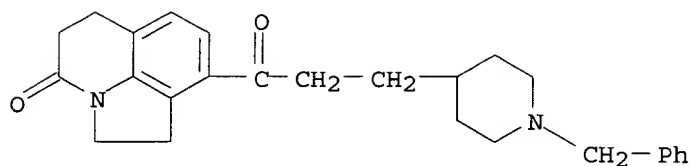


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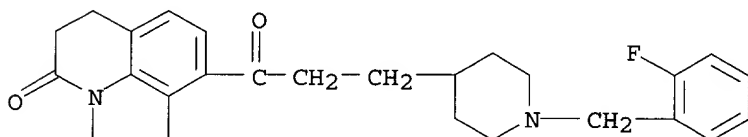
● 2 HCl

RN 157648-25-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



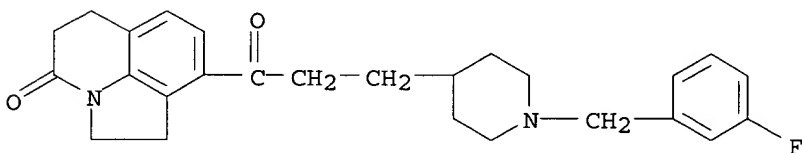
● HCl

RN 157648-26-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



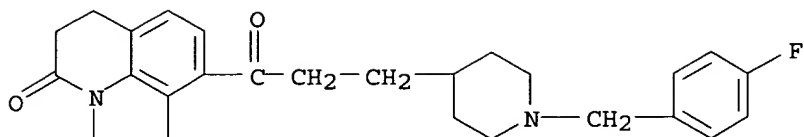
● HCl

RN 157648-27-6 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



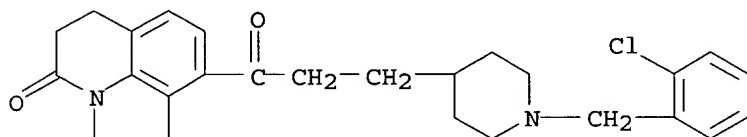
● HCl

RN 157648-28-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



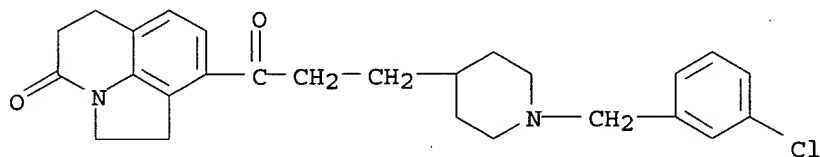
● HCl

RN 157648-29-8 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



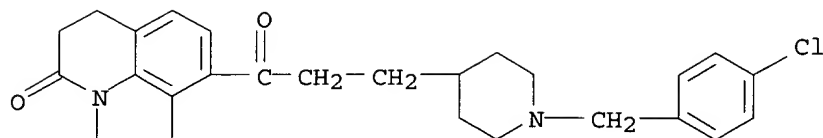
● HCl

RN 157648-30-1 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



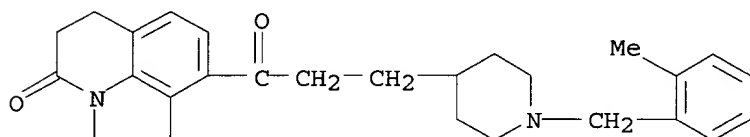
● HCl

RN 157648-31-2 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



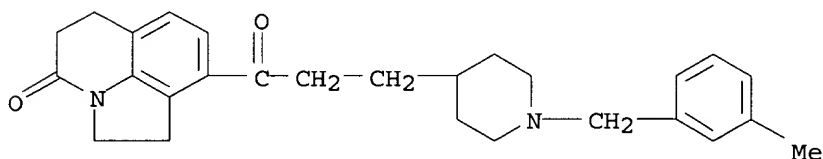
● HCl

RN 157648-32-3 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

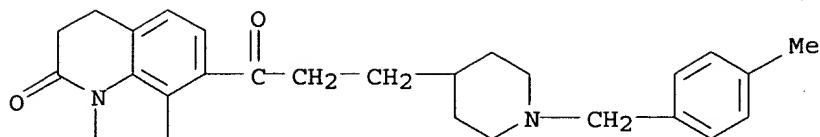
RN 157648-33-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

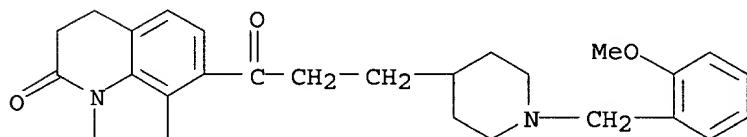
RN 157648-34-5 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)





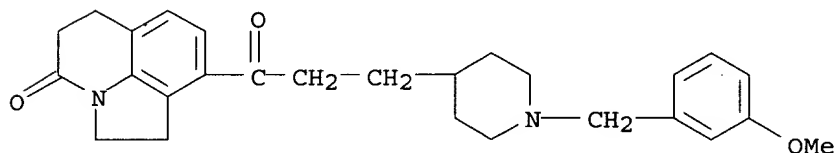
● HCl

RN 157648-35-6 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



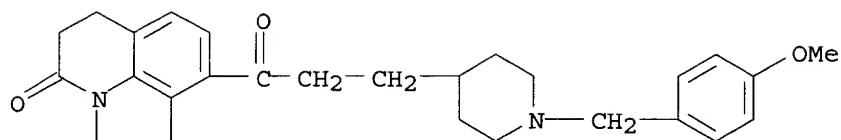
● HCl

RN 157648-36-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



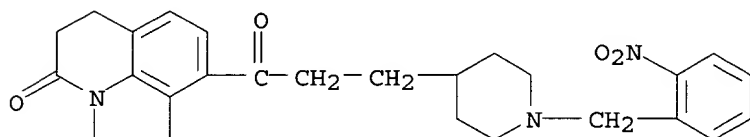
● HCl

RN 157648-37-8 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



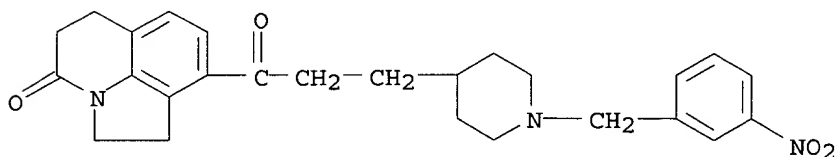
● HCl

RN 157648-38-9 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



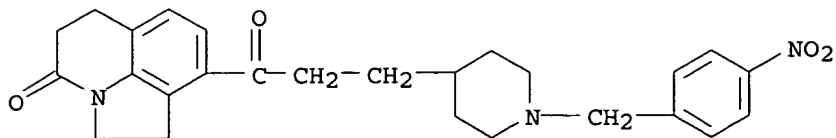
● HCl

RN 157648-39-0 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



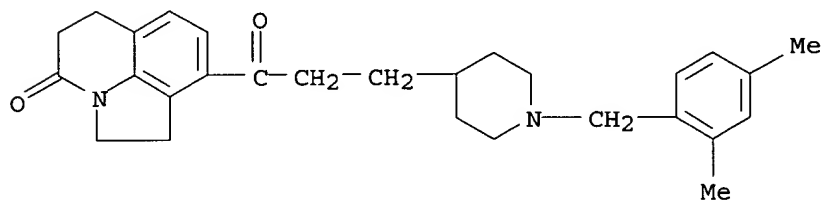
● HCl

RN 157648-40-3 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



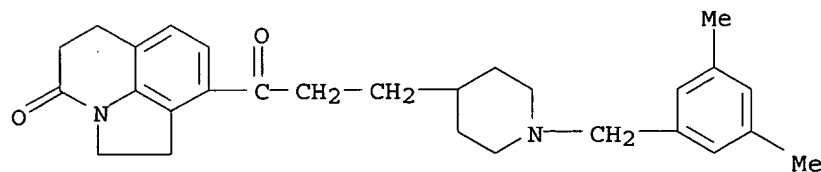
● HCl

RN 157648-41-4 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,4-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



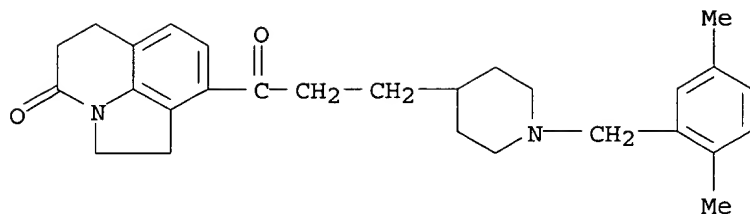
● HCl

RN 157648-42-5 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



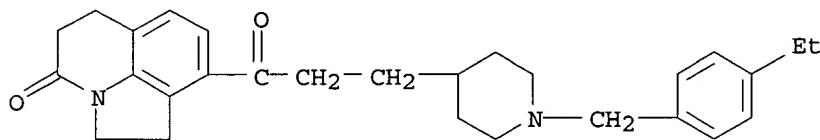
● HCl

RN 157648-43-6 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



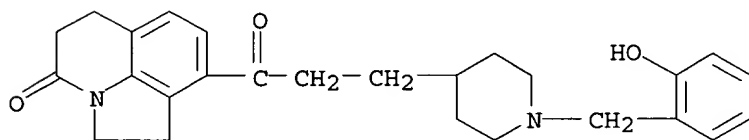
● HCl

RN 157648-44-7 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



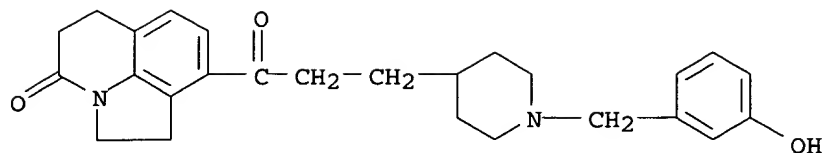
● HCl

RN 157648-45-8 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



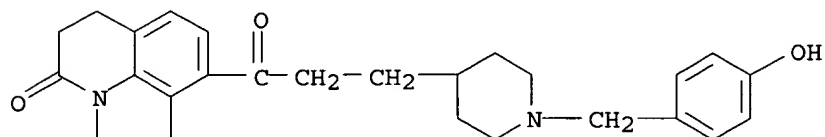
● HCl

RN 157648-46-9 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



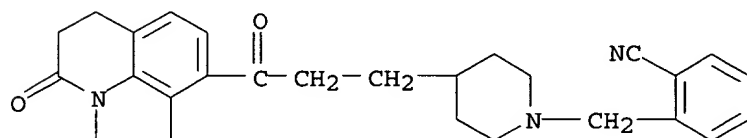
● HCl

RN 157648-47-0 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



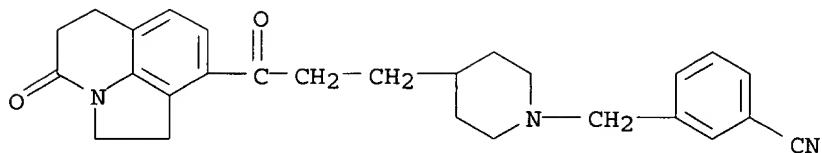
● HCl

RN 157648-48-1 USPATFULL  
 CN Benzonitrile, 2-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



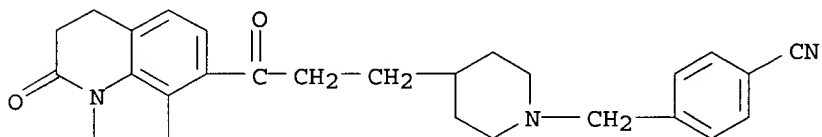
● HCl

RN 157648-49-2 USPATFULL  
 CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



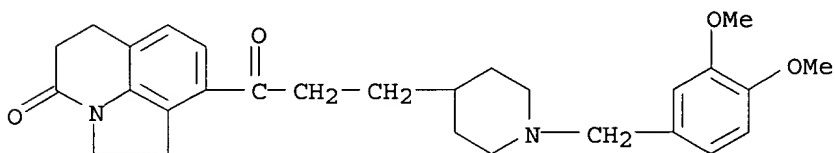
● HCl

RN 157648-50-5 USPATFULL  
 CN Benzonitrile, 4-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



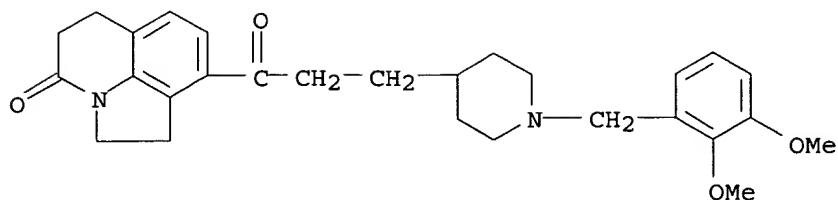
● HCl

RN 157648-51-6 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

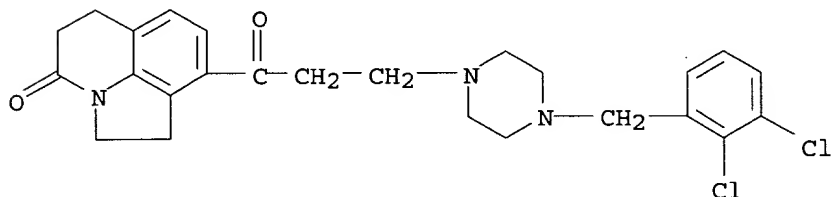
RN 157648-52-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,3-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

RN 157648-53-8 USPATFULL

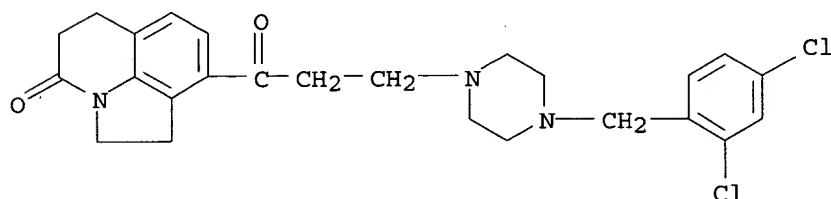
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,3-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



●2 HCl

RN 157648-54-9 USPATFULL

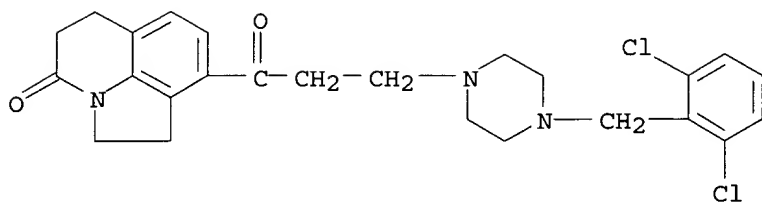
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



●2 HCl

RN 157648-55-0 USPATFULL

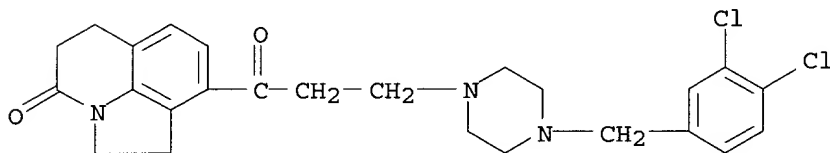
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,6-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-56-1 USPATFULL

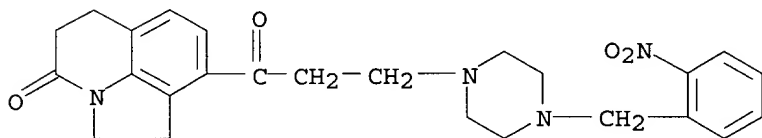
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 157648-57-2 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
(CA INDEX NAME)

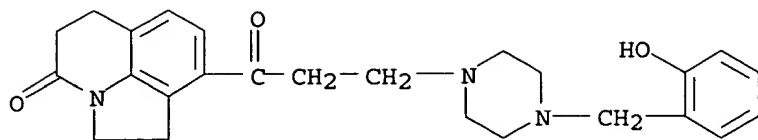


● 2 HCl

RN 157648-58-3 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-hydroxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride  
(9CI) (CA INDEX NAME)

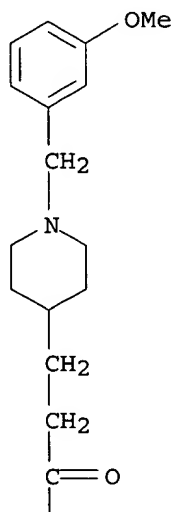




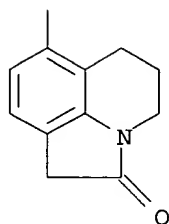
● 2 HCl

RN 157648-59-4 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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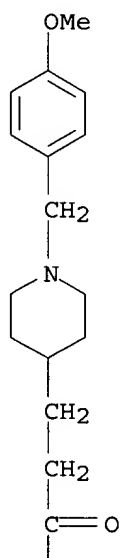
PAGE 2-A



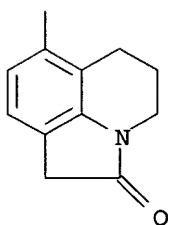
● HCl

RN 157648-60-7 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)

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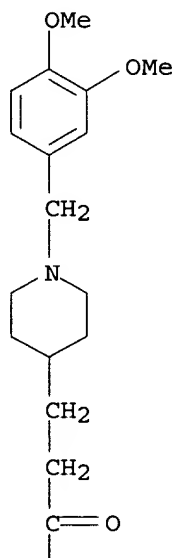
PAGE 2-A



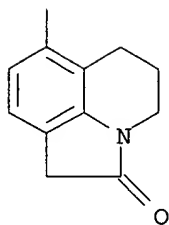
● HCl

RN 157648-61-8 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

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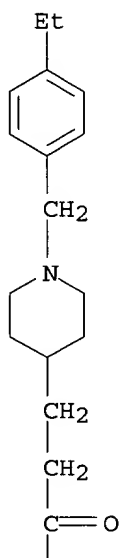
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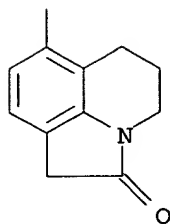
● HCl

RN 157648-62-9 USPATFULL  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

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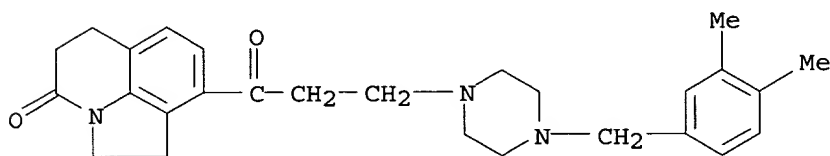


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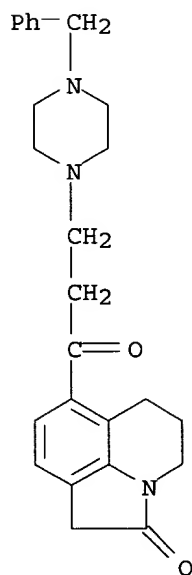
● HCl

RN 157648-63-0 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

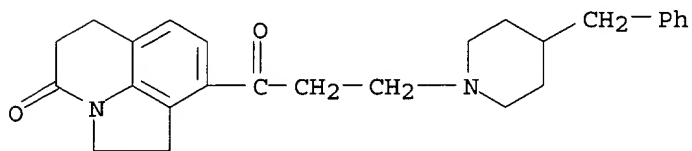
RN 157648-65-2 USPATFULL  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-67-4 USPATFULL

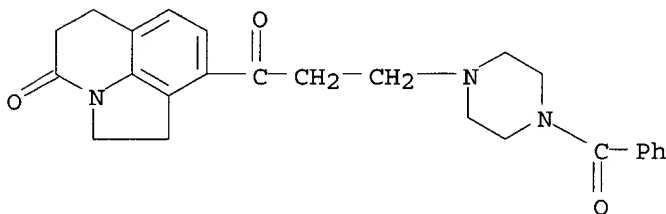
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-68-5 USPATFULL

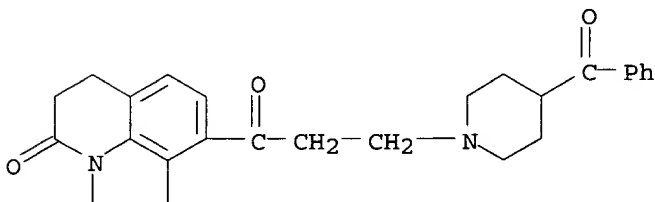
CN Piperazine, 1-benzoyl-4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-69-6 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-(4-benzoyl-1-piperidinyl)-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

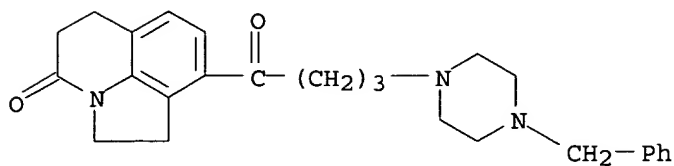


● HCl

RN 157648-70-9 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-[4-

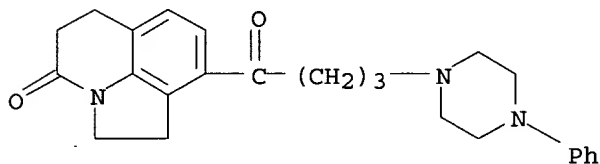
(phenylmethyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 157648-71-0 USPATFULL

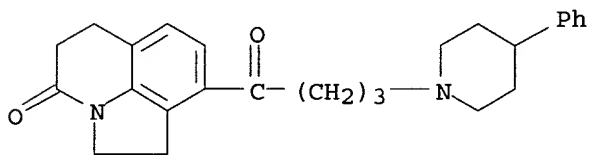
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperazinyl)butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 157648-73-2 USPATFULL

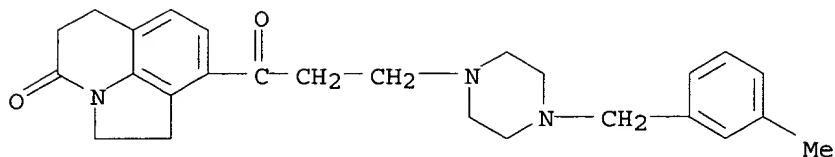
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperidinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

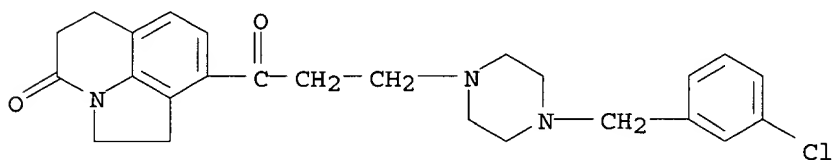
RN 157648-98-1 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



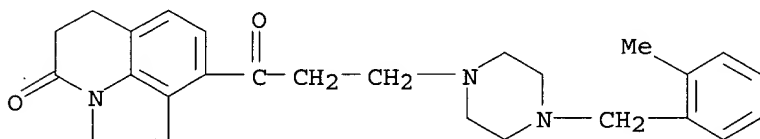
RN 157648-99-2 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



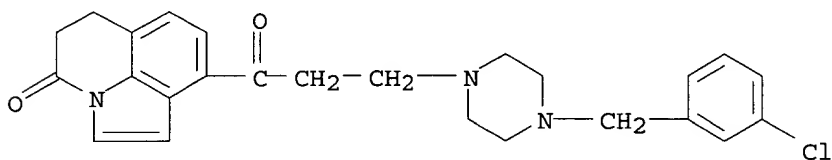
RN 157649-00-8 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



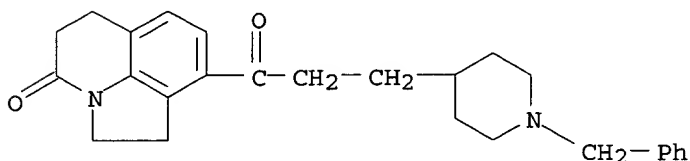
RN 157649-01-9 USPATFULL

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RN 157649-02-0 USPATFULL

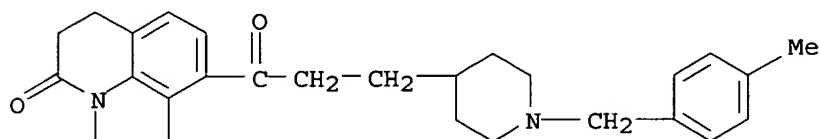
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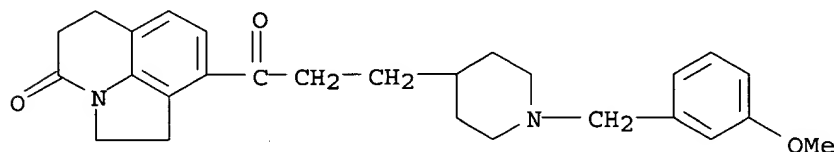
RN 157649-03-1 USPATFULL

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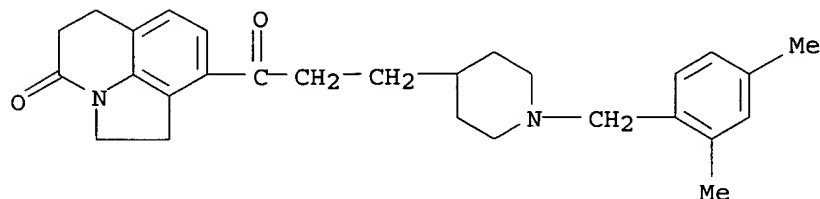
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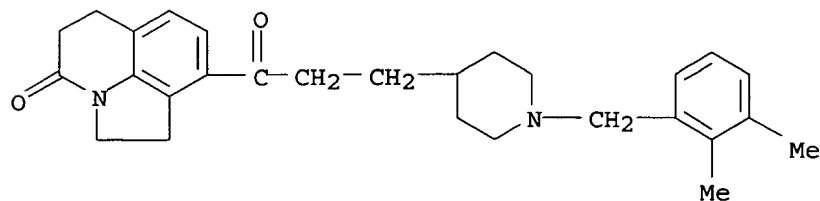
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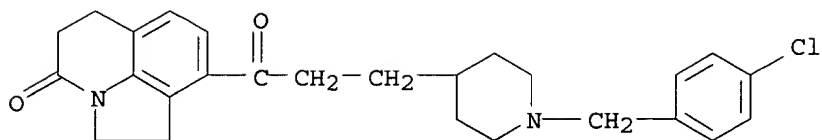
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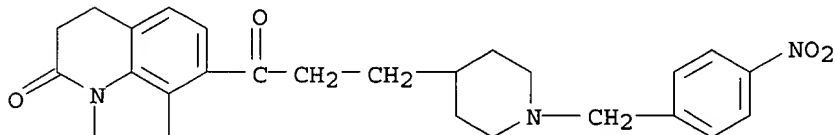
RN 157649-07-5 USPATFULL

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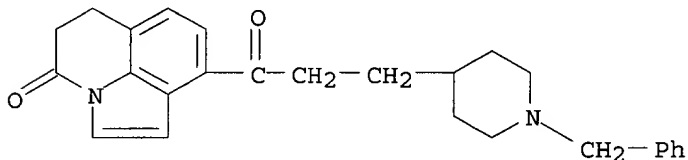
RN 157649-08-6 USPATFULL

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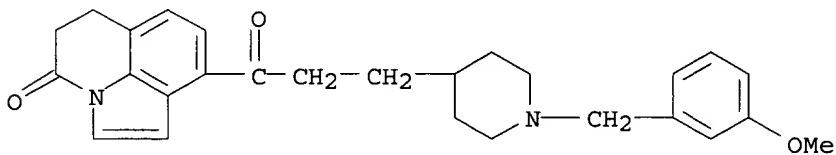
RN 157649-09-7 USPATFULL

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RN 157649-10-0 USPATFULL

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 5,6-dihydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



# AUTHOR SEARCH in CAPlus, US PatFULL

Truong 09/960477

12/27/2005

> file caplus

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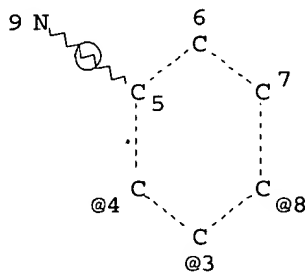
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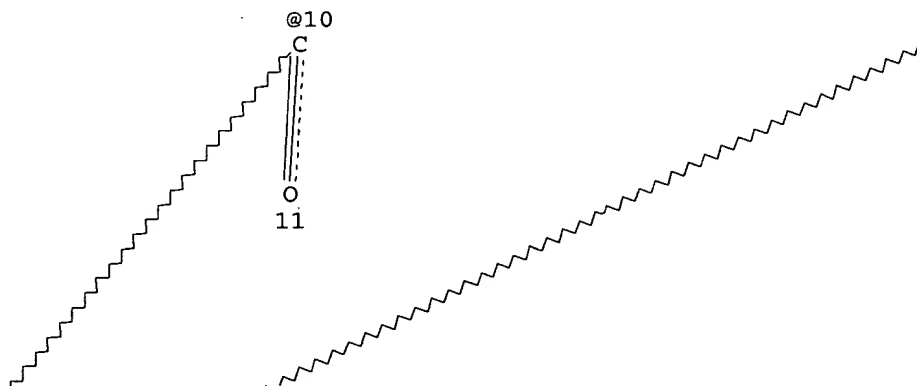
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L9

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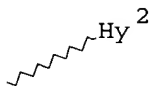


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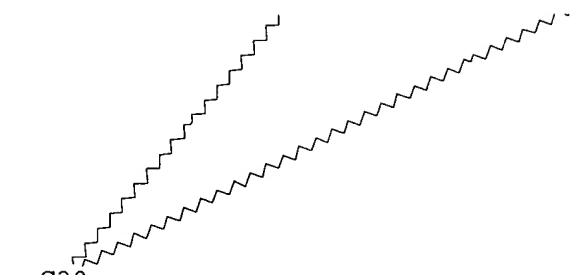


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Page 1-B



G20

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Page 2-A

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NODE ATTRIBUTES:

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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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L20	1057	SEA	FILE=REGISTRY	SUB=L18	SSS FUL	L9
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OR (L41 AND (L42 OR L43)) OR (L42 AND L43)  
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Dec 2005 (20051227/PD)  
FILE LAST UPDATED: 27 Dec 2005 (20051227/ED)  
HIGHEST GRANTED PATENT NUMBER: US6981281  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005283878  
CA INDEXING IS CURRENT THROUGH 27 Dec 2005 (20051227/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Dec 2005 (20051227/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

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L52 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2002:907186 CAPLUS

DOCUMENT NUMBER: 138:350

TITLE: Agents and crystals for improving excretory potency of urinary bladder

INVENTOR(S): Ishihara, Yuji; Doi, Takayuki;  
Nagabukuro, Hiroshi; Ishichi, Yuji

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 65 pp., Cont.-in-part of U. S. Ser. No. 787,288.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

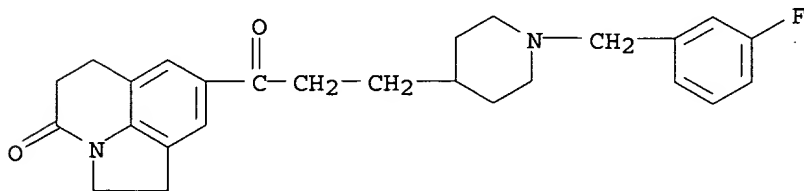
PATENT INFORMATION:

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JP 2003192593	A2	20030709	JP 2002-354856	19990929
JP 2003201237	A2	20030718	JP 2002-354833	19990929
JP 3512786	B2	20040331		
WO 2000018391	A1	20000406	WO 1999-JP5367	19990930
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1604653	A1	20051214	EP 2005-20329	19990930
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JP 2001335576	A2	20011204	JP 2001-85190	20010323
PRIORITY APPLN. INFO.:			JP 1998-276677	A 19980930
			WO 1999-JP5367	W 19990930
			US 2001-787288	A2 20010315
			JP 2001-85190	A 20010323
			JP 1999-275614	A3 19990929
			EP 1999-969675	A3 19990930
			JP 2000-88523	A 20000324

OTHER SOURCE(S): MARPAT 138:350

AB Agents for improving potency of the urinary bladder which comprises an amine compound of non-carbamate-type having an acetylcholinesterase-inhibiting action. Particularly, crystals of a tricyclic, condensed, heterocyclic derivative are provided, which possess an excellent action to inhibit acetylcholinesterase and an action to improve the excretory potency of urinary bladder. As an example, crystals of 8-[3-[1-[(3-fluorophenyl)-methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one or a salt thereof and pharmaceutical compns. containing them are disclosed.

IC ICM A61K031-55  
ICS A61K031-54; A61K031-535; A61K031-495; A61K031-40; A61K031-445  
INCL 514227500; 514217120; 514238800; 514252120; 514317000; 514428000;  
514649000  
CC 1-12 (Pharmacology)  
Section cross-reference(s): 27, 63  
IT **263248-16-4P**  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)  
IT **263248-18-6P 263248-36-8P 263248-38-0P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)  
IT 321-64-2, 9-Amino-1,2,3,4-tetrahydroacridine 120011-70-3 142851-99-8  
142852-09-3 142852-11-7 142852-41-3 142852-51-5 142872-94-4  
167633-54-7 **263248-14-2 263248-22-2**  
**263248-23-3 263248-24-4 263248-25-5**  
**263248-26-6 263248-27-7 263248-28-8**  
**263248-29-9 263248-30-2 263248-31-3**  
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**263248-35-7 263248-37-9 263248-39-1**  
**263248-40-4 263248-41-5 263248-48-2**  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)  
IT **377724-20-4P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)  
IT **263248-16-4P**  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)  
RN 263248-16-4 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)

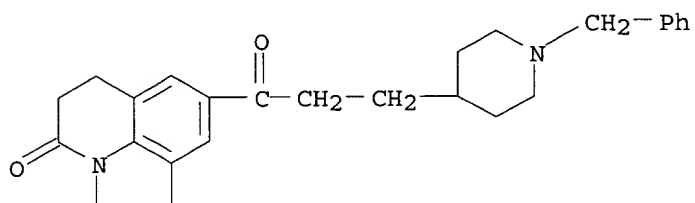


IT **263248-18-6P 263248-36-8P 263248-38-0P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agents and crystals for improving excretory potency of urinary bladder  
with acetylcholinesterase-inhibiting action)

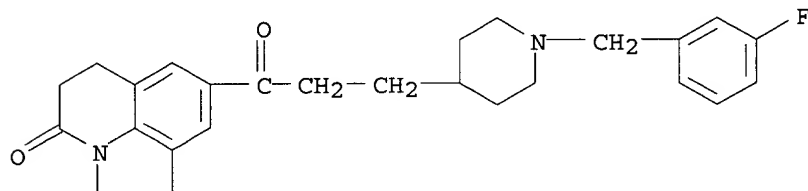
RN 263248-18-6 CAPLUS

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RN 263248-36-8 CAPLUS

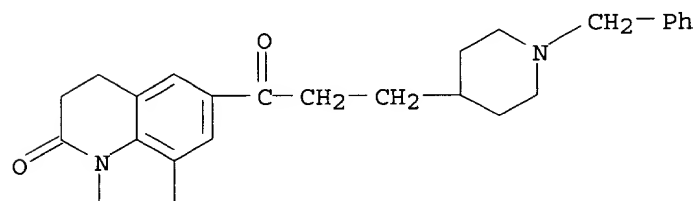
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-38-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 263248-14-2 263248-22-2 263248-23-3  
263248-24-4 263248-25-5 263248-26-6  
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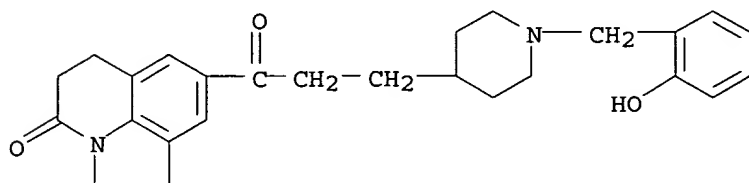


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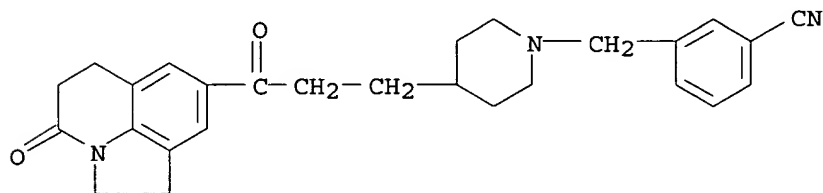
263248-37-9 263248-39-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)(agents and crystals for improving excretory potency of urinary bladder  
with acetylcholinesterase-inhibiting action)

RN 263248-14-2 CAPLUS

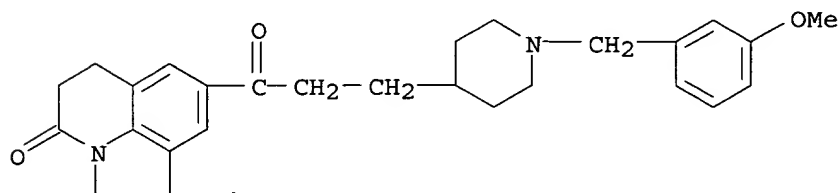
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hydroxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]- (9CI) (CA INDEX NAME)

RN 263248-22-2 CAPLUS

CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-  
ij]quinolin-8-yl)propyl]-1-piperidiny]methyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)

● HCl

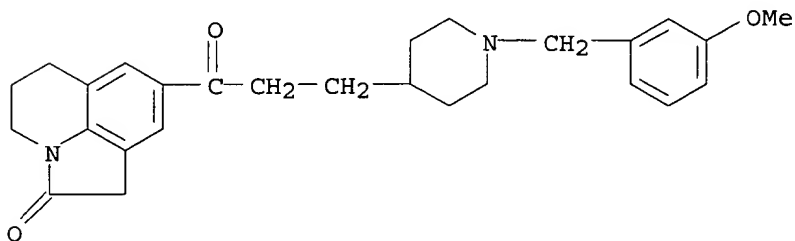
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(9CI) (CA INDEX NAME)

● HCl

RN 263248-24-4 CAPLUS

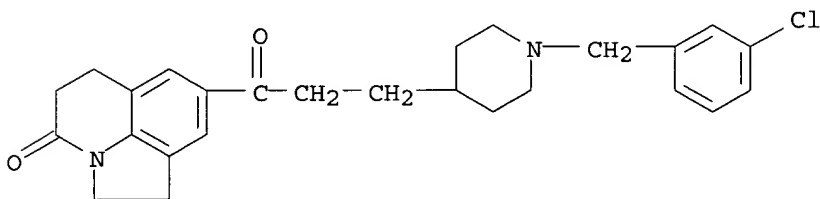
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-25-5 CAPLUS

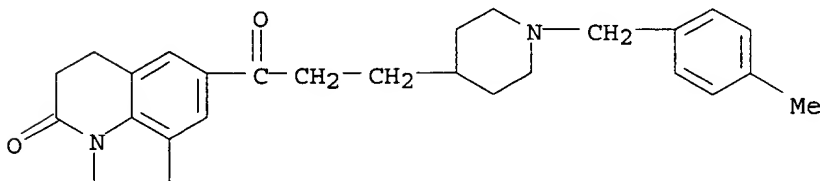
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

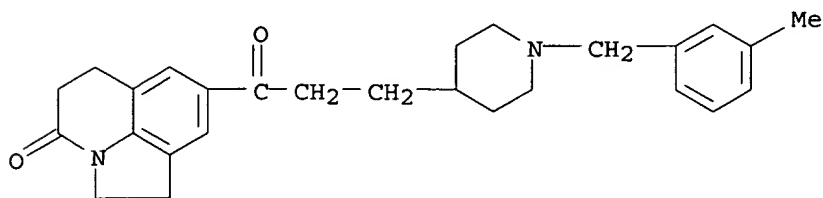
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CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



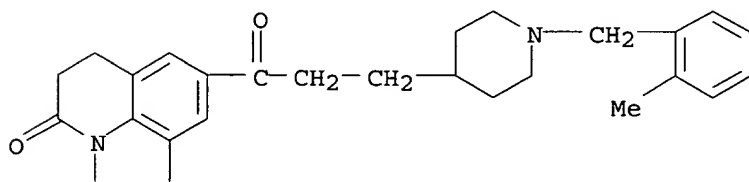
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RN 263248-27-7 CAPLUS  
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 (CA INDEX NAME)



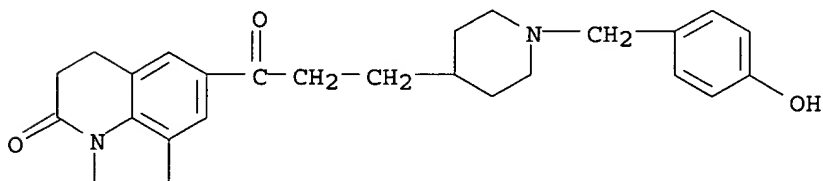
● HCl

RN 263248-28-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

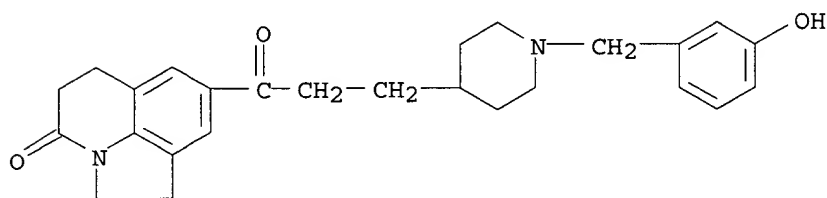
RN 263248-29-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

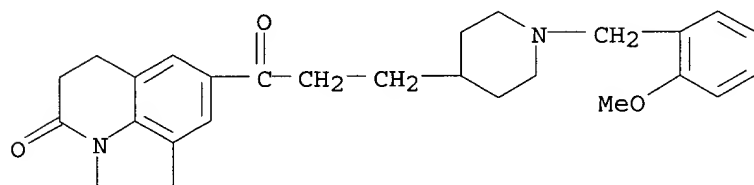
RN 263248-30-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



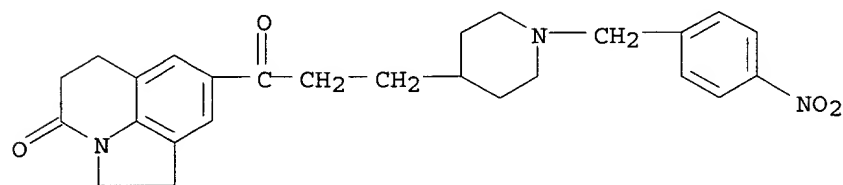
● HCl

RN 263248-31-3 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

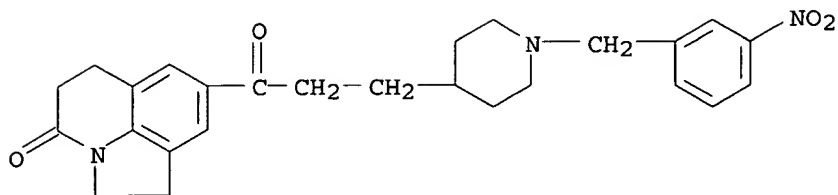
RN 263248-32-4 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

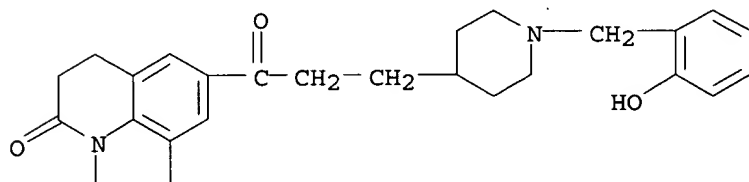
RN 263248-33-5 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)

(CA INDEX NAME)



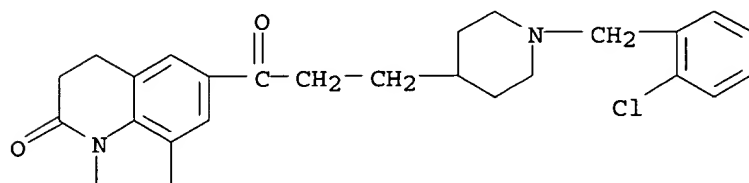
● HCl

RN 263248-34-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



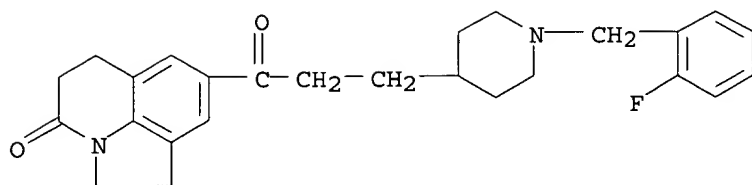
● HCl

RN 263248-35-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



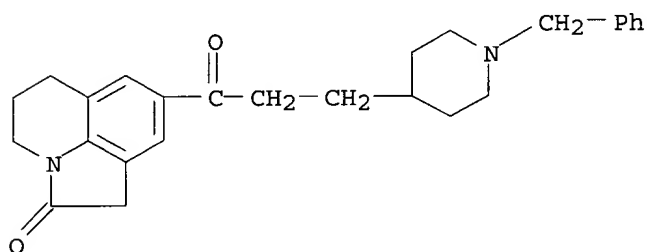
● HCl

RN 263248-37-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



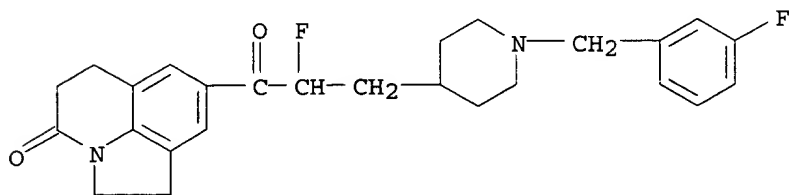
● HCl

RN 263248-39-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 377724-20-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)  
 RN 377724-20-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[2-fluoro-3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



L52 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2005:14256 CAPLUS  
 DOCUMENT NUMBER: 142:100419  
 TITLE: Preventive/remedy for urinary disturbance

INVENTOR(S): Doi, Takayuki; Nagabukuro, Hiroshi  
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan  
 SOURCE: PCT Int. Appl., 258 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000354	A1	20050106	WO 2004-JP9486	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

JP 2005035996 A2 20050210 JP 2004-192142 20040629

PRIORITY APPLN. INFO.: JP 2003-188761 A 20030630

AB It is intended to provide a preventive/remedy for urinary disturbance containing a compound, which shows an acetylcholine esterase inhibitory activity

but substantially has no butyrylcholine esterase inhibitory activity, showing no side effect and being safe and efficacious without inhibiting the urine collection function; a preventive/remedy for dry mouth induced by the administration of a remedy for urinary disturbance and a preventive/remedy for hyperactive bladder not accompanied by dry mouth; and a method of screening a substance preventing/treating urinary disturbance without inhibiting the urine collection function characterized by comprising measuring and comparing the acetylcholine esterase inhibitory activity and the butyrylcholine esterase inhibitory activity of a test compound A selective acetylcholine esterase inhibitory activity of 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (I) was in vitro tested. Also, I inhibited oxybutynin-induced hyposalivation in rats.

IC ICM A61K045-00

ICS A61P013-02; A61P013-10; A61P043-00

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT 263248-16-4 562040-40-8 562040-41-9 562040-49-7

562040-92-0 562040-93-1 819805-99-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preventive/remedy for urinary disturbance containing selective acetylcholine esterase inhibitors)

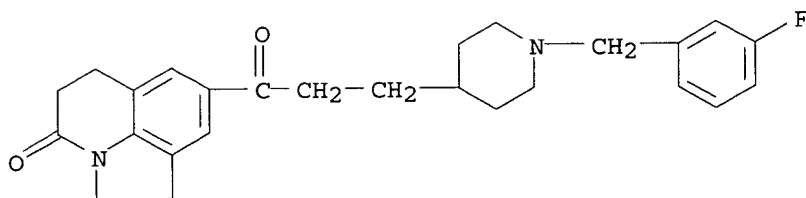
IT 263248-16-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preventive/remedy for urinary disturbance containing selective acetylcholine esterase inhibitors)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:1102191 CAPLUS

DOCUMENT NUMBER: 143:379654

TITLE: Differential effects of TAK-802, a selective acetylcholinesterase inhibitor, and carbamate acetylcholinesterase inhibitors on contraction of the detrusor smooth muscle of the guinea pig

AUTHOR(S): Nagabukuro, Hiroshi; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Pharmaceutical Company Limited, Yodogawa-ku, Osaka, 532-8686, Japan

SOURCE: Life Sciences (2005), 77(26), 3276-3286

CODEN: LIFSAK; ISSN: 0024-3205

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aim of this study was to compare the effects of TAK-802, a novel acetylcholinesterase (AChE) inhibitor, and carbamate AChE inhibitors on the detrusor smooth muscle contractility in vitro using isometric tension measurements. The effects of drugs on the nicotine-induced contractions and basal tone of the isolated detrusor muscle of the guinea pig were examined. All of the drugs, namely, TAK-802, distigmine, neostigmine and pyridostigmine, enhanced the nicotine-induced contractions of the muscle strips in a concentration-dependent manner. On the other hand, while neostigmine

and pyridostigmine markedly increased the basal tone, and distigmine slightly but significantly increased the basal tone, TAK-802 had no influence on the basal tone of the muscle strips at all. However, following cotreatment with tetraisopropyl pyrophosphoramidate, a selective butyrylcholinesterase (BuChE) inhibitor, TAK-802 also did increase the basal tone. The increase of the basal tone by all of the above treatments was completely abolished by atropine. These results reveal that while all the four AChE inhibitors enhanced endogenous acetylcholine-induced contractions, their effects on the basal tone were clearly different. The effect of carbamate AChE inhibitors of increasing the basal tone could be partly attributed to their dual inhibition of both AChE and BuChE, because both cholinesterases may play a critical role in maintaining the resting tension of the urinary bladder. TAK-802, however, did not increase the basal tone of the detrusor muscle strips, probably because of its selective inhibitory effect against AChE. The effect of carbamate AChE inhibitors on the basal tone of the detrusor muscle may explain the decrease of bladder compliance observed in our previous study on guinea pigs as well as the deterioration of the bladder-storage function reported with their clin. use.

CC 1-11 (Pharmacology)

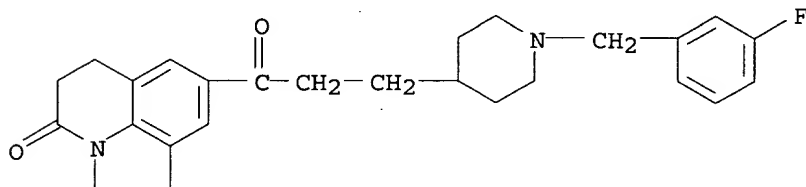


IT 101-26-8, Pyridostigmine bromide 114-80-7, Neostigmine bromide 513-00-8, Tetraisopropyl pyrophosphoramidate 15876-67-2, Distigmine bromide 263248-16-4, TAK-802  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (differential effects of TAK-802, a selective acetylcholinesterase inhibitor, and carbamate acetylcholinesterase inhibitors on contraction of detrusor smooth muscle of guinea pig)

IT 263248-16-4, TAK-802  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (differential effects of TAK-802, a selective acetylcholinesterase inhibitor, and carbamate acetylcholinesterase inhibitors on contraction of detrusor smooth muscle of guinea pig)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:150024 CAPLUS

DOCUMENT NUMBER: 142:385066

TITLE: Novel acetylcholinesterase inhibitor as increasing agent on rhythmic bladder contractions: SAR of 8-{3-[1-(3-fluorobenzyl)piperidin-4-yl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (TAK-802) and related compounds

AUTHOR(S): Ishichi, Yuji; Sasaki, Mitsuru; Setoh, Masaki; Tsukamoto, Tetsuya; Miwatashi, Seiji; Nagabukuro, Hiroshi; Okanishi, Satoshi; Imai, Shigemitsu; Saikawa, Reiko; Doi, Takayuki; Ishihara, Yuji

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Pharmaceutical Research Division, Takeda Pharmaceutical Company Ltd, Yodogawa-ku, Osaka, 532-8686, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(6), 1901-1911

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:385066

AB As part of an on-going investigation to develop an increasing agent on rhythmic bladder contractions, 1-aryl-3-(1-benzylpiperidin-4-yl)propanones were synthesized and examined as noncarbamate acetylcholinesterase (AChE) inhibitors. Among compds. with various aryl groups, 1,2,5,6-tetrahydro-4H-

pyrrolo[3,2,1-ij]quinolin-4-one derivative 9c was found to possess a potent AChE inhibition activity with an IC<sub>50</sub> value of 1.3 nM. The compound 9c increased rhythmic bladder contractions in Guinea pigs and rats without affecting the basal intravesical pressure, which suggests that 9c may be useful for the treatment of voiding dysfunction caused by detrusor underactivity.

CC 1-3 (Pharmacology)

Section cross-reference(s): 28

IT 142852-88-8P 160300-33-4P **263248-25-5P 263248-29-9P**

**263248-30-2P 263248-34-6P 263248-36-8P**

**263248-37-9P 263248-38-0P 263248-39-1P**

**849935-42-8P 849935-43-9P**

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(novel acetylcholinesterase inhibitor as agent increasing rhythmic bladder contractions and SAR of TAK-802 and related compds.)

IT 153038-39-2P **263248-22-2P 263248-23-3P**

**263248-31-3P 263248-32-4P 263248-33-5P**

**263248-35-7P 849935-53-1P 849935-54-2P**

**849935-55-3P 849935-61-1P 849935-62-2P**

**849935-63-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(novel acetylcholinesterase inhibitor as agent increasing rhythmic bladder contractions and SAR of TAK-802 and related compds.)

IT 86208-07-3P 142852-89-9P 153038-71-2P 160300-43-6P 215040-77-0P

215047-86-2P **263248-16-4P** 263248-20-0P 562038-96-4P

562038-97-5P 562038-98-6P 562038-99-7P 849935-44-0P 849935-45-1P

849935-46-2P 849935-47-3P 849935-48-4P 849935-49-5P 849935-50-8P

849935-51-9P 849935-52-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(novel acetylcholinesterase inhibitor as agent increasing rhythmic bladder contractions and SAR of TAK-802 and related compds.)

IT **263248-25-5P 263248-29-9P 263248-30-2P**

**263248-34-6P 263248-36-8P 263248-37-9P**

**263248-38-0P 263248-39-1P 849935-42-8P**

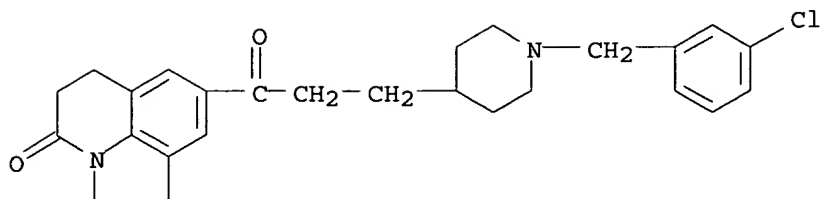
**849935-43-9P**

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(novel acetylcholinesterase inhibitor as agent increasing rhythmic bladder contractions and SAR of TAK-802 and related compds.)

RN 263248-25-5 CAPLUS

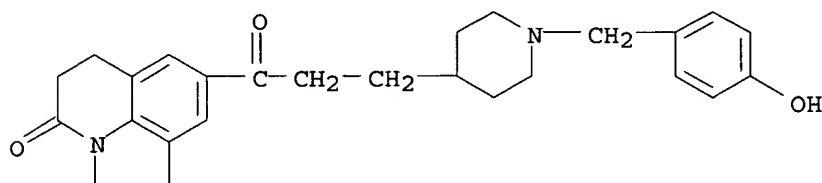
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 263248-29-9 CAPLUS

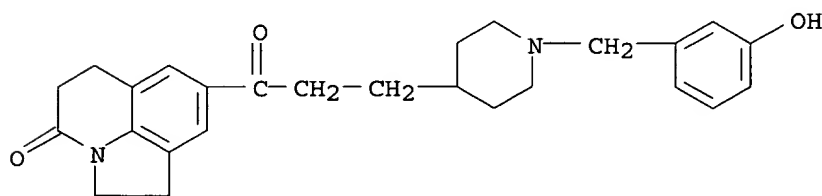
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-30-2 CAPLUS

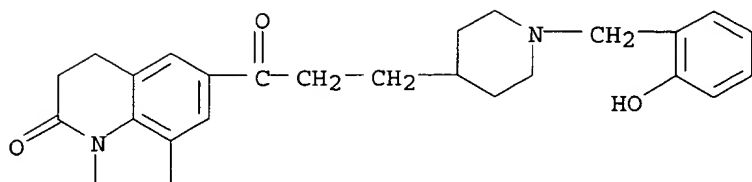
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

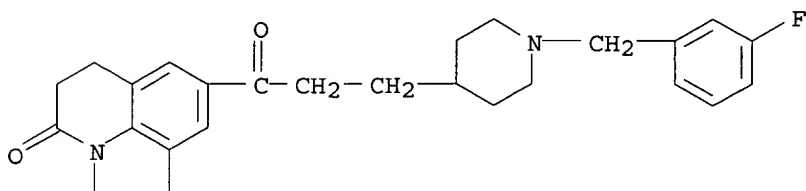
RN 263248-34-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



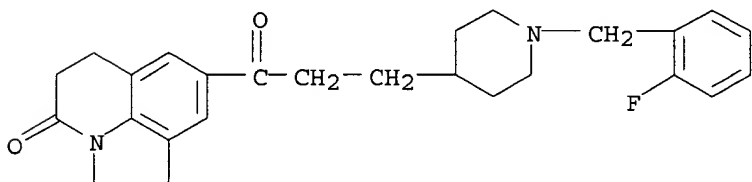
● HCl

RN 263248-36-8 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



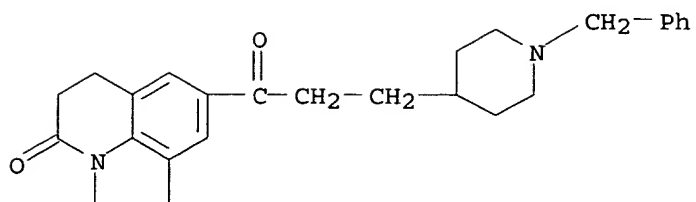
● HCl

RN 263248-37-9 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



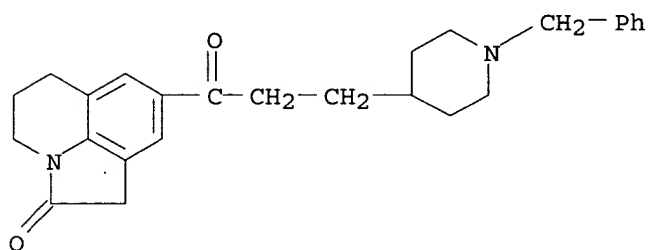
● HCl

RN 263248-38-0 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



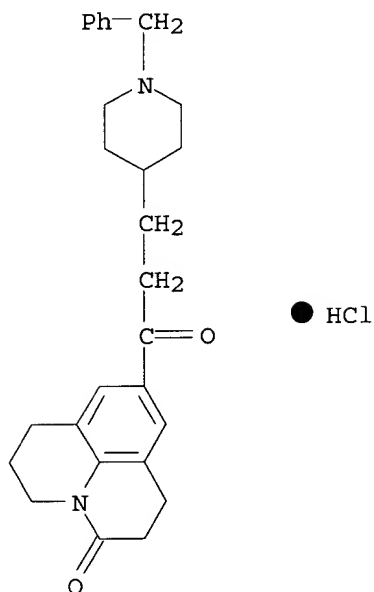
● HCl

RN 263248-39-1 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

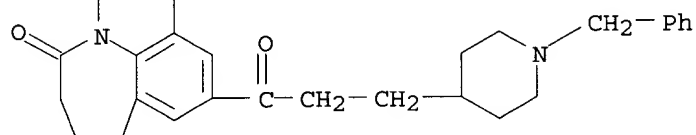


● HCl

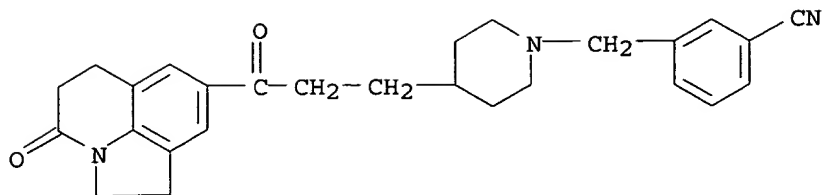
RN 849935-42-8 CAPLUS  
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 849935-43-9 CAPLUS  
 CN Azepino[3,2,1-hi]indol-4(5H)-one, 1,2,6,7-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

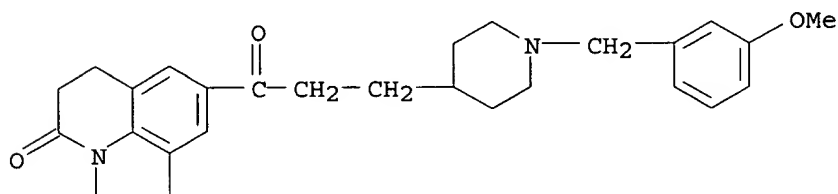


IT 263248-22-2P 263248-23-3P 263248-31-3P  
 263248-32-4P 263248-33-5P 263248-35-7P  
 849935-53-1P 849935-54-2P 849935-55-3P  
 849935-61-1P 849935-62-2P 849935-63-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (novel acetylcholinesterase inhibitor as agent increasing rhythmic bladder contractions and SAR of TAK-802 and related compds.)  
 RN 263248-22-2 CAPLUS  
 CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



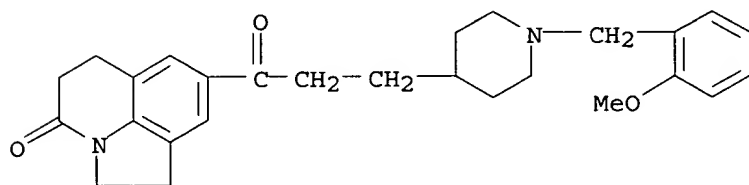
● HCl

RN 263248-23-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



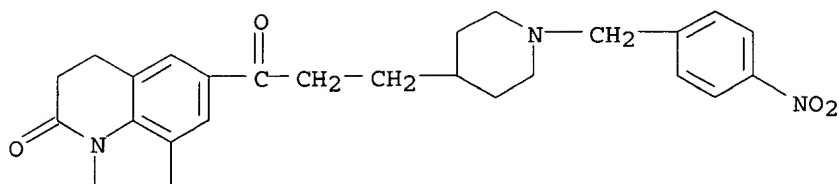
● HCl

RN 263248-31-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



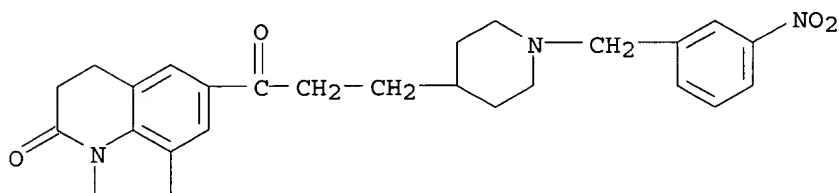
● HCl

RN 263248-32-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



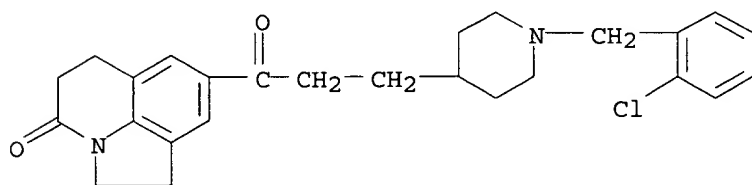
● HCl

RN 263248-33-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

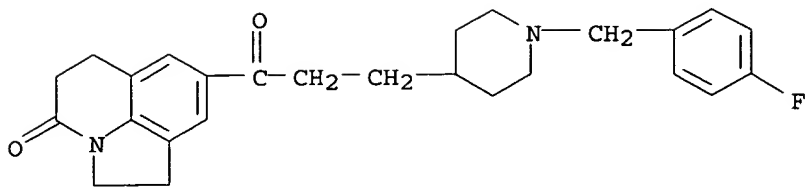
RN 263248-35-7 CAPLUS  
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 (CA INDEX NAME)



● HCl

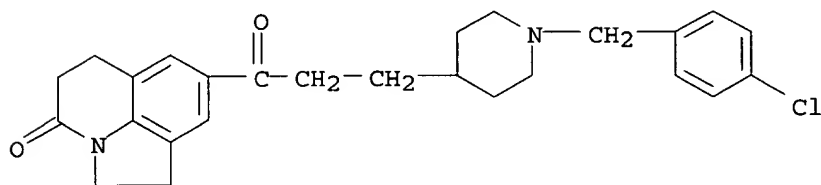
RN 849935-53-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)





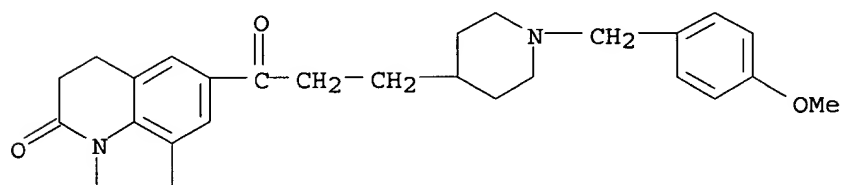
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RN 849935-54-2 CAPLUS  
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(CA INDEX NAME)



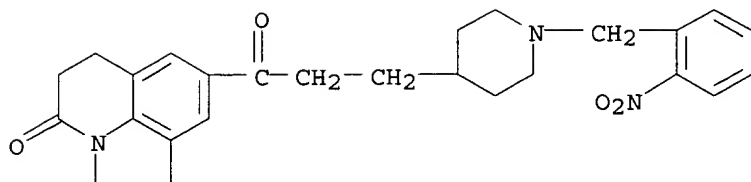
● HCl

RN 849935-55-3 CAPLUS  
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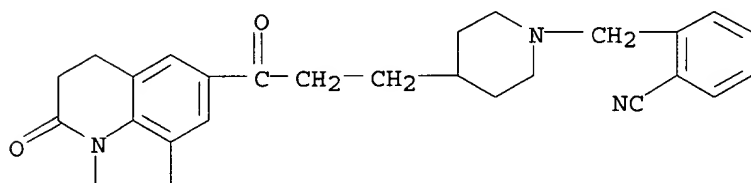
● HCl

RN 849935-61-1 CAPLUS  
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(CA INDEX NAME)



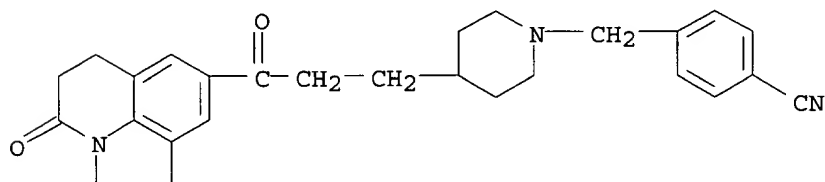
● HCl

RN 849935-62-2 CAPLUS  
 CN Benzonitrile, 2-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



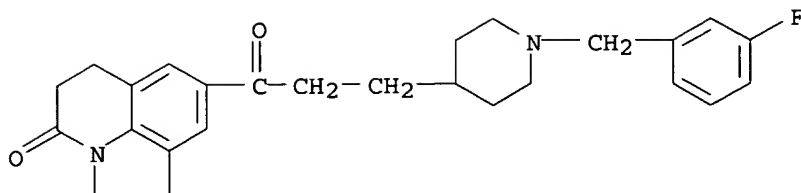
● HCl

RN 849935-63-3 CAPLUS  
 CN Benzonitrile, 4-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

IT **263248-16-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (novel acetylcholinesterase inhibitor as agent increasing rhythmic bladder contractions and SAR of TAK-802 and related compds.)  
 RN 263248-16-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:728810 CAPLUS

DOCUMENT NUMBER: 144:496

TITLE: Effects of the selective acetylcholinesterase inhibitor TAK-802 on the voiding behavior and bladder mass increase in rats with partial bladder outlet obstruction

AUTHOR(S): Hashimoto, Tadatoshi; Nagabukuro, Hiroshi; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Pharmaceutical Company Limited, Osaka, Japan

SOURCE: Journal of Urology (Hagerstown, MD, United States) (2005), 174(3), 1137-1141

CODEN: JOURAA; ISSN: 0022-5347

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Purpose: We examined the effects of the selective acetylcholinesterase (AChE) inhibitor TAK-802 on voiding behavior and residual urine volume in rats with partial bladder outlet obstruction (BOO) vs rats treated with the nonselective AChE inhibitor distigmine and the muscarinic agonist bethanechol. In addition, the effect of repeat doses of TAK-802 on the bladder mass increase associated with BOO was also examined. Materials and methods: Male rats with BOO were used. Six to 8 days after obstruction voiding behavior was observed in a metabolic cage. The animals were then treated orally with 1 drug, and voiding frequency and urine volume at each void were measured for 3 h. Subsequently the volume of urine retained in the bladder (residual urine) was measured. In another experiment bladder weight

in rats with BOO was measured after early repeat doses of TAK-802.

Results: BOO increased voiding frequency and decreased average voided volume. TAK-802 and distigmine increased average voided volume, while not causing any change in voiding frequency. On the other hand, bethanechol increased voiding frequency without affecting average voided volume. While all 3 drugs significantly decreased residual urine volume, TAK-802 was most efficacious. In addition, bladder weight in the control BOO group was greater (approx. 2.2-fold) than that in the sham operated group and early repeat administration of TAK-802 prevented the bladder mass increase.

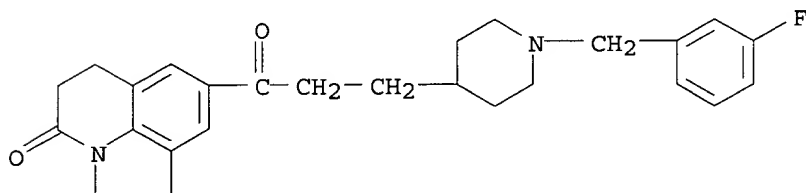
Conclusions: AChE inhibitors decreased residual urine volume by restoring voiding function in rats with BOO, although only the effect of TAK-802 was dose dependent. Bethanechol also decreased residual urine volume in a dose dependent manner but by increasing voiding frequency. The prevention of a bladder mass increase by TAK-802 treatment may be attributable to its effect on restoring voiding.

CC 1-12 (Pharmacology)

IT 263248-16-4, TAK-802  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(selective AChE inhibitor TAK-802 compared to distigmine or bethanechol  
dose-dependently and effectively reduced residual urine volume by  
restoring voiding function and prevented bladder mass raise in rat  
model of BOO)

IT 263248-16-4, TAK-802  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(selective AChE inhibitor TAK-802 compared to distigmine or bethanechol  
dose-dependently and effectively reduced residual urine volume by  
restoring voiding function and prevented bladder mass raise in rat  
model of BOO)

RN 263248-16-4 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-  
piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2005:481228 CAPLUS  
DOCUMENT NUMBER: 143:166409  
TITLE: Effects of TAK-802, a novel acetylcholinesterase  
inhibitor, and tamsulosin, an  $\alpha$ 1-adrenoceptor  
antagonist, and their synergistic effects on the  
urodynamic characteristics in a guinea-pig model of  
functional bladder outlet obstruction

AUTHOR(S): Nagabukuro, Hiroshi; Hashimoto, Tadatoshii;  
Iwata, Masashi; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Laboratories I, Pharmaceutical  
Research Division, Takeda Pharmaceutical Company  
Limited, Osaka, Japan

SOURCE: BJU International (2005), 95(7), 1071-1076  
CODEN: BJINFO; ISSN: 1464-4096

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB OBJECTIVE: To investigate the effects of TAK-802, a potent  
acetylcholinesterase inhibitor, and tamsulosin, an  $\alpha$ 1-adrenoceptor  
antagonist, and their concomitant administration on the urodynamic  
characteristics in a guinea-pig model of functional bladder outlet  
obstruction. MATERIALS AND METHODS: Cystometry was performed in  
urethane-anesthetized guinea pigs, and various urodynamic variables,  
including the maximum flow rate (Qmax), voiding efficiency, maximum  
intravesical  
pressure (Pvesmax) and intravesical pressure at Qmax (PvesQmax), were  
measured before and after administration of the drugs in combination and

alone. RESULTS: Continuous i.v. infusion of phenylephrine, an  $\alpha 1$ -adrenoceptor agonist (1-6  $\mu\text{g}/\text{animal}/\text{min}$ ), dose-dependently decreased the  $Q_{\text{max}}$  and voiding efficiency, and increased the  $P_{\text{vesmax}}$  and  $P_{\text{ves}Q_{\text{max}}}$ , possibly by constricting urethral smooth muscle. In this functional urethral constriction model, both TAK-802 at 1 and 10  $\mu\text{g}/\text{kg}$  and tamsulosin at 3 and 10  $\mu\text{g}/\text{kg}$  (i.v.) caused increasing effects on the  $Q_{\text{max}}$  and voiding efficiency. The effects were more apparent with combined exposure. Although the  $P_{\text{vesmax}}$  was dose-dependently increased by TAK-802 alone, the effects were completely abolished by concomitant treatment with tamsulosin. CONCLUSION: These results suggest that TAK-802 and tamsulosin have synergistic effects in increasing the  $Q_{\text{max}}$  and voiding efficiency, and TAK-802 does not inhibit the decreasing effect of tamsulosin on urethral resistance. That TAK-802 increased  $P_{\text{ves}}$  when administered alone implies that monotherapy using an acetylcholinesterase inhibitor should be withheld in patients with voiding dysfunction caused by obvious bladder outlet obstruction with benign prostatic hyperplasia, to avoid disorders of the upper urinary tracts, and it should be used with an  $\alpha 1$ -adrenoceptor antagonist. Whether TAK-802 combined with an  $\alpha 1$ -adrenoceptor antagonist confers addnl. clin. benefit is not yet known.

CC 1-10 (Pharmacology)

IT 263248-16-4, TAK-802

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(TAK-802 alone and combination with tamsulosin synergistically increased  $Q_{\text{max}}$ , voiding efficiency in guinea-pig model of functional bladder outlet obstruction)

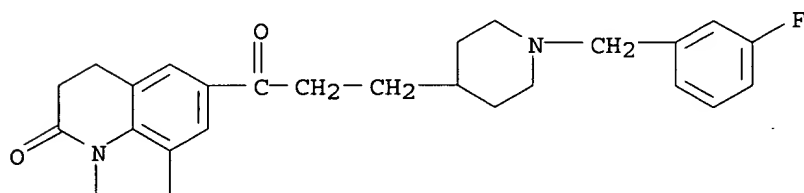
IT 263248-16-4, TAK-802

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(TAK-802 alone and combination with tamsulosin synergistically increased  $Q_{\text{max}}$ , voiding efficiency in guinea-pig model of functional bladder outlet obstruction)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

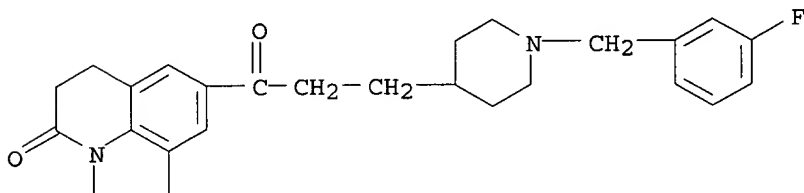
ACCESSION NUMBER: 2004:761379 CAPLUS

DOCUMENT NUMBER: 142:233007

TITLE: Effects of tamsulosin, an  $\text{A}1$ -adrenergic antagonist, and TAK-802, a novel acetylcholinesterase inhibitor, and their synergistic effects on the urodynamic characteristics in a guinea pig model of functional bladder outlet obstruction

AUTHOR(S): Nagabukuro, H.; Hashimoto, T.; Iwata, M.;

**Ishihara, Y.; Doi, T.**  
CORPORATE SOURCE: Takeda Chemical Industries, Japan  
SOURCE: Neurourology and Urodynamics (2004), 23(5/6), 458-460  
CODEN: NEUREM; ISSN: 0733-2467  
PUBLISHER: Wiley-Liss, Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A guinea pig model with functional bladder outlet obstruction was established to model the dynamic component of benign prostatic hyperplasia. The effects of tamsulosin, an  $\alpha 1$ -adrenergic antagonist, TAK-802, a novel acetylcholinesterase inhibitor with some selectivity for muscarinic actions, and of both administered concomitantly on the urodynamic characteristics in this model were evaluated. Tamsulosin (0.003 and 0.01 mg/kg, i.v.) and TAK-802 (0.001 and 0.01 mg/kg, i.v.) increased the maximum flow rate (Qmax) and voiding efficiency in a dose-dependent manner. The effects were most pronounced in the group that received concomitant administration of both the drugs. When administered alone, tamsulosin decreased, and TAK-802 increased, the maximum intravesical pressure and intravesical pressure at Qmax. The effect of TAK-802 of increasing the intravesical pressure was completely abolished by concomitant administration of tamsulosin. Neither of the drugs affected the bladder capacity.  
CC 1-11 (Pharmacology)  
IT 106133-20-4, Tamsulosin **263248-16-4**, TAK-802  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(synergistic effect of tamsulosin and TAK-802 on urodynamics in bladder outlet obstruction)  
IT **263248-16-4**, TAK-802  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(synergistic effect of tamsulosin and TAK-802 on urodynamics in bladder outlet obstruction)  
RN 263248-16-4 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



L52 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:78835 CAPLUS  
DOCUMENT NUMBER: 141:1017  
TITLE: Effects of TAK-802, a novel acetylcholinesterase inhibitor, on distension-induced rhythmic bladder contractions in rats and guinea pigs  
AUTHOR(S): Nagabukuro, Hiroshi; Okanishi, Satoshi;  
Imai, Shigemitsu; Ishichi, Yuji;  
Ishihara, Yuji; Doi, Takayuki  
CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Chemical Industries, Osaka, Yodogawa, 532-8686, Japan

SOURCE: European Journal of Pharmacology (2004), 485(1-3),  
299-305  
CODEN: EJPHAZ; ISSN: 0014-2999  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB In the present study, we investigated the effects of 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (TAK-802), a novel acetylcholinesterase inhibitor, on distension-induced rhythmic bladder contractions in urethane-anesthetized rats and guinea pigs. TAK-802 potently inhibited human-erythrocyte-derived acetylcholinesterase activity with an IC<sub>50</sub> value of 1.5 nM, which represented a potency 30 and 250 times greater than that of the two carbamate acetylcholinesterase inhibitors, neostigmine and distigmine, resp. Unlike the carbamate acetylcholinesterase inhibitors, TAK-802 exhibits high selectivity for acetylcholinesterase inhibition over butyrylcholinesterase inhibition. In an assay conducted to measure the muscarinic and nicotinic actions, TAK-802 was found to exhibit higher selectivity for muscarinic actions over nicotinic actions in comparison to distigmine. Both TAK-802 and distigmine increased isovolumetric bladder contractions in rats and guinea pigs in a dose-dependent manner, with a min. ED (MED) of 0.01 and 0.03 mg/kg i.v., resp., in rats, and 0.01 and 0.1 mg/kg i.v., resp., in guinea pigs. The effects of both the drugs were completely abolished by atropine. These results suggest that TAK-802 and other acetylcholinesterase inhibitors can effectively increase reflex bladder contractions by increasing the efficacy of acetylcholine released by nerve impulses. On the other hand, bethanechol, a muscarinic agonist, markedly changed the pattern of distension-induced bladder contractions when administered at the dose of 1 mg/kg i.v., and it did not necessarily augment well-coordinated bladder contractions. Thus, considering that it has some selectivity for muscarinic action, TAK-802 might be expected to be useful in the treatment of voiding dysfunction caused by impaired detrusor contractility.

CC 1-11 (Pharmacology)

IT 59-99-4, Neostigmine 17299-00-2, Distigmine 263248-16-4, TAK 802

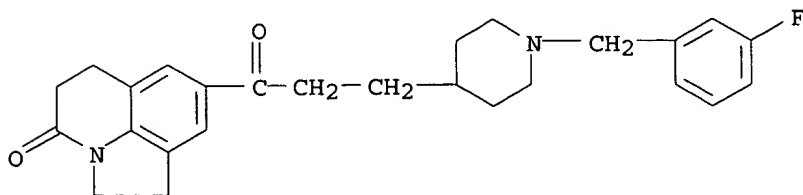
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(effects of TAK-802, a novel acetylcholinesterase inhibitor, on distension-induced rhythmic bladder contractions in rats and guinea pigs)

IT 263248-16-4, TAK 802

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(effects of TAK-802, a novel acetylcholinesterase inhibitor, on distension-induced rhythmic bladder contractions in rats and guinea pigs)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:505235 CAPLUS

DOCUMENT NUMBER: 141:47206

TITLE: Effects of TAK-802, a novel acetylcholinesterase  
inhibitor, and various cholinomimetics on the  
urodynamic characteristics in anesthetized guinea pigs

AUTHOR(S): Nagabukuro, Hiroshi; Okanishi, Satoshi;  
Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Chemical  
Industries, 2-17-85, Jusohonmachi, Osaka, Yodogawa,  
532-8686, Japan

SOURCE: European Journal of Pharmacology (2004), 494(2-3),  
225-232

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In the present study, we investigated the effects of cholinomimetic drugs  
on the urodynamic characteristics in anesthetized guinea pigs.  
8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-  
tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (TAK-802), a novel  
acetylcholinesterase inhibitor, (0.003-0.03 mg/kg, i.v.) increased the  
voided volume and the maximum flow rate without affecting either the  
intravesical pressure or the bladder compliance. Distigmine (0.03-0.3  
mg/kg, i.v.) and neostigmine (0.01-0.1 mg/kg, i.v.), both carbamate  
acetylcholinesterase inhibitors, while not increasing the maximum flow rate,  
increased the intravesical pressure at the maximum flow rate. They also  
decreased the bladder compliance. Bethanechol (0.1-1 mg/kg, i.v.), a  
muscarinic receptor agonist, decreased the voided volume and the bladder  
compliance but did not affect the maximum flow rate. TAK-802 did not affect  
the intraurethral pressure at doses of up to 0.03 mg/kg in anesthetized  
guinea pigs. Distigmine increased the intraurethral pressure when  
administered at the dose of 0.3 mg/kg, and the effect was completely  
abolished by pretreatment with d-tubocurarine. These results suggest that  
TAK-802 reinforces the bladder-voiding functions by increasing the bladder  
contractility without decreasing the storage function. Carbamate  
acetylcholinesterase inhibitors not only deteriorate the voiding function  
by inducing contraction of the external urethral sphincter muscle,  
resulting in increasing the urethral resistance, but also cause  
deterioration of the storage function. Bethanechol obviously decreased  
the bladder capacity, possibly due to a direct contractile effect on the  
detrusor smooth muscle. TAK-802 may therefore be a more useful drug than  
either carbamate acetylcholinesterase inhibitors or muscarinic receptor  
agonists in the treatment of voiding dysfunction associated with impaired  
detrusor contractility.

CC 1-11 (Pharmacology)

IT 59-99-4, Neostigmine 674-38-4, Bethanechol 17299-00-2, Distigmine  
263248-16-4, TAK-802

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(effects of TAK-802 and various cholinomimetics on urodynamic  
characteristics in anesthetized guinea pigs)

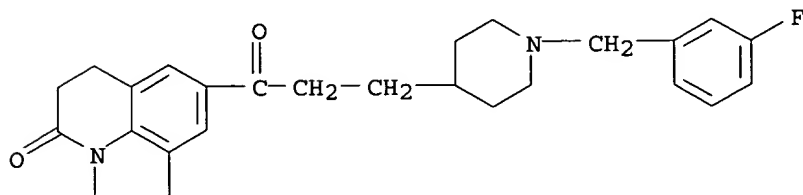
IT 263248-16-4, TAK-802

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(effects of TAK-802 and various cholinomimetics on urodynamic  
characteristics in anesthetized guinea pigs)

RN 263248-16-4 CAPLUS



CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:551407 CAPLUS

DOCUMENT NUMBER: 139:111692

TITLE: Preventives/remedies for urinary disturbance

INVENTOR(S): Ishihara, Yuji; Ishichi, Yuji;  
Doi, Takayuki; Nagabukuro, Hiroshi;  
Kanzaki, Naoyuki; Ikeuchi, Motoki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 520 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057254	A1	20030717	WO 2002-JP13653	20021226
W:				
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RW:				
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CA 2471760	AA	20030717	CA 2002-2471760	20021226
JP 2003335701	A2	20031128	JP 2002-377956	20021226
EP 1466625	A1	20041013	EP 2002-790890	20021226
R:				
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BR 2002015389	A	20041026	BR 2002-15389	20021226
ZA 2004005123	A	20050628	ZA 2004-5123	20040628
US 2005197362	A1	20050908	US 2004-935646	20040908
PRIORITY APPLN. INFO.:			JP 2001-402064	A 20011228
			JP 2002-72027	A 20020315
			WO 2002-JP13653	W 20021226
			US 2004-500217	A3 20040624

OTHER SOURCE(S): MARPAT 139:111692

AB Preventives/remedies for urinary disturbance containing a compound having both of an acetylcholine esterase inhibitory effect and an  $\alpha 1$

antagonistic effect which exhibits an excellent effect of improving the urinary function of the bladder (i.e., effects of improving urine flow rate and urinary efficiency) without affecting the urinary pressure or the blood pressure.

IC ICM A61K045-00

ICS A61K031-473; A61P013-00; A61P013-08; A61P043-00; C07D471-06

CC 1-11 (Pharmacology)

Section cross-reference(s): 28, 63

IT 562039-55-8P 562039-56-9P 562039-57-0P 562039-58-1P 562039-59-2P  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(heterocyclic compds. having acetylcholine esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for urinary disturbance)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heterocyclic compds. having acetylcholine esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for urinary disturbance)

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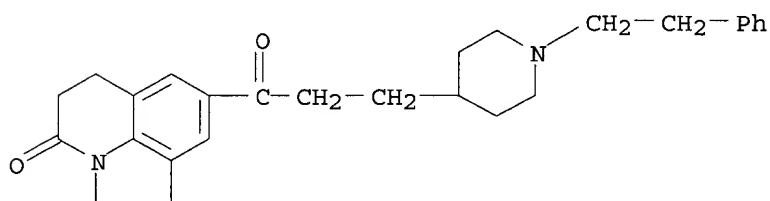
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heterocyclic compds. having acetylcholine esterase inhibitory and  $\alpha 1$  antagonistic effects as preventives/remedies for urinary disturbance)

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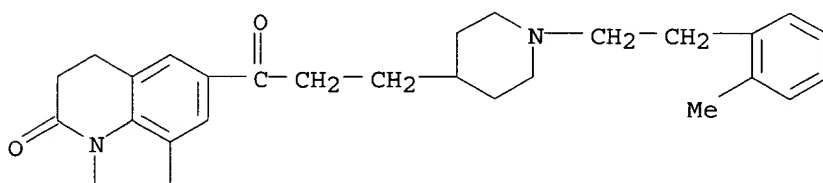
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(2-phenylethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562040-32-8 CAPLUS

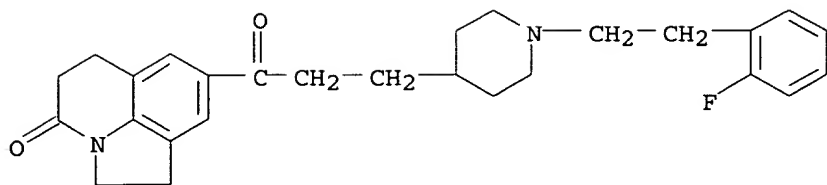
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● HCl

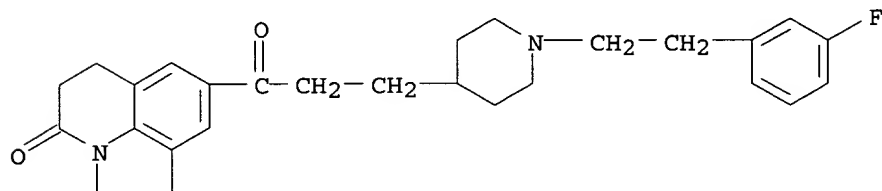
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CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



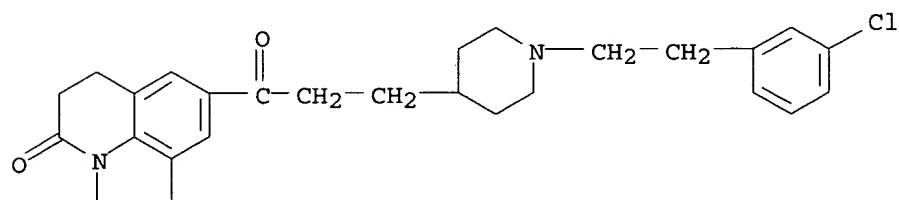
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RN 562040-34-0 CAPLUS  
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(CA INDEX NAME)



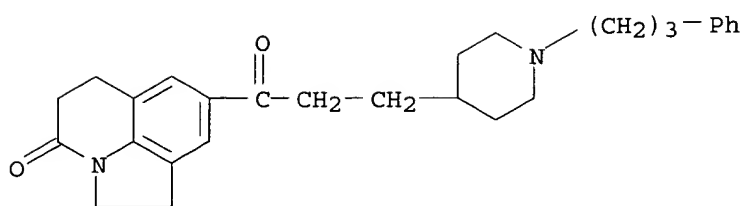
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(CA INDEX NAME)



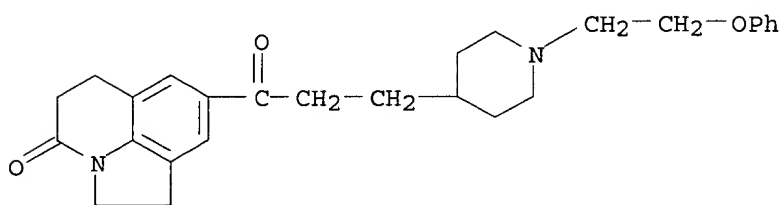
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RN 562040-37-3 CAPLUS  
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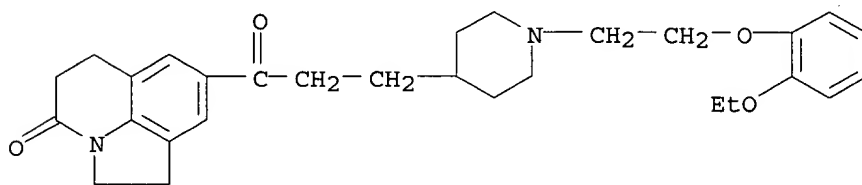
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RN 562040-38-4 CAPLUS  
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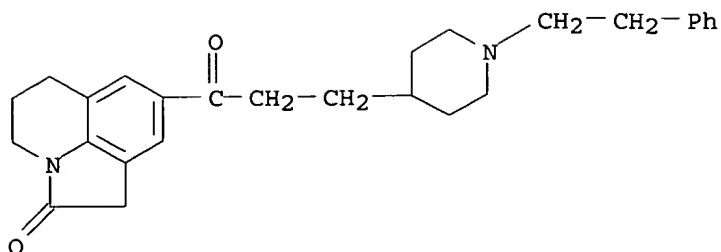
● HCl

RN 562040-39-5 CAPLUS  
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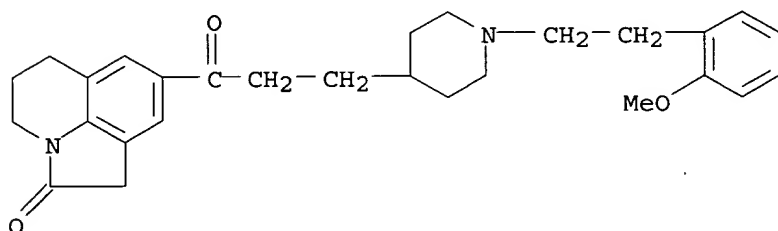
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RN 562042-15-3 CAPLUS  
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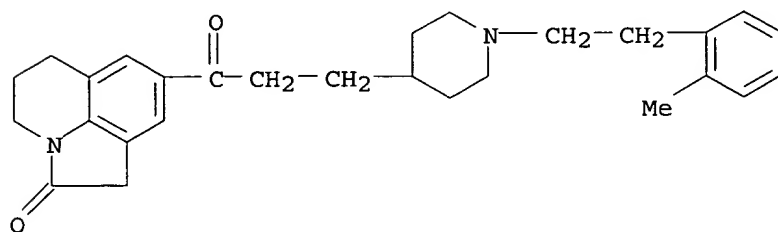
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 (CA INDEX NAME)



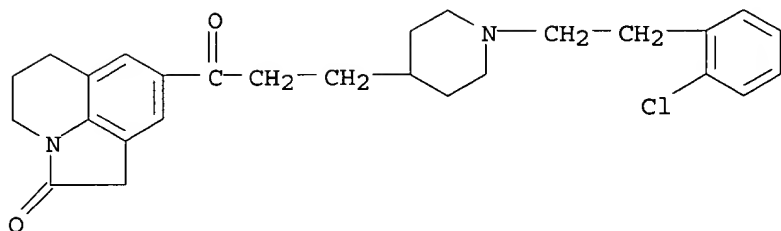
● HCl

RN 562042-17-5 CAPLUS  
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 (CA INDEX NAME)



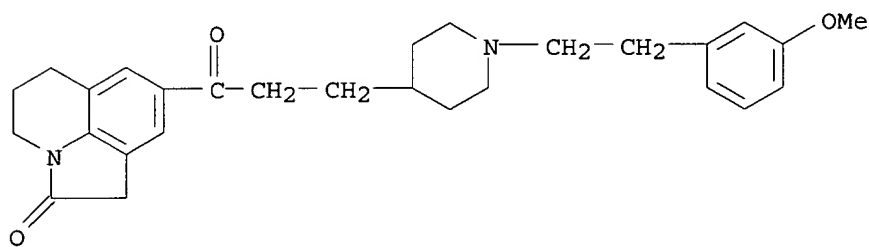
● HCl

RN 562042-18-6 CAPLUS  
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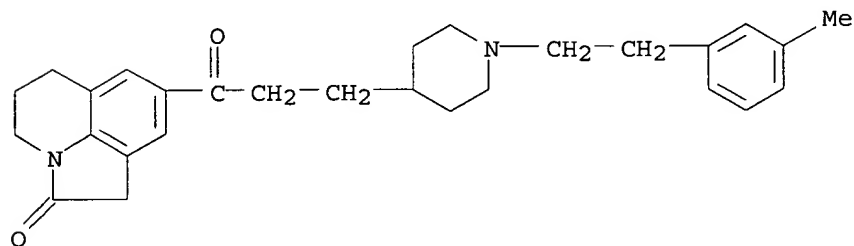
● HCl

RN 562042-19-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[2-(3-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

RN 562042-20-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[2-(3-methylphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)

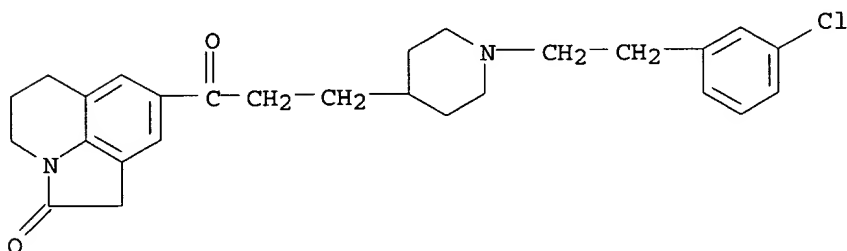


● HCl

RN 562042-21-1 CAPLUS



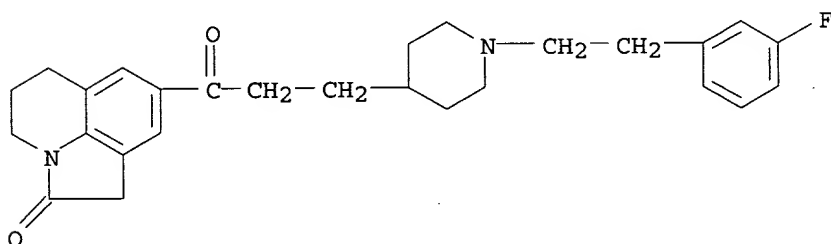
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 8-[3-[1-[2-(3-chlorophenyl)ethyl]-4-piperidiny]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-22-2 CAPLUS

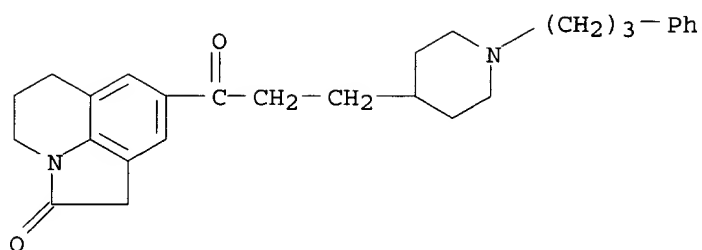
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● HCl

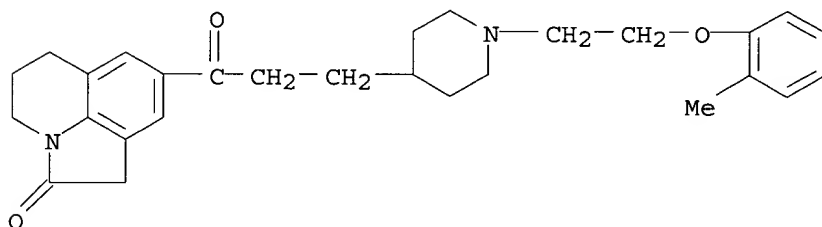
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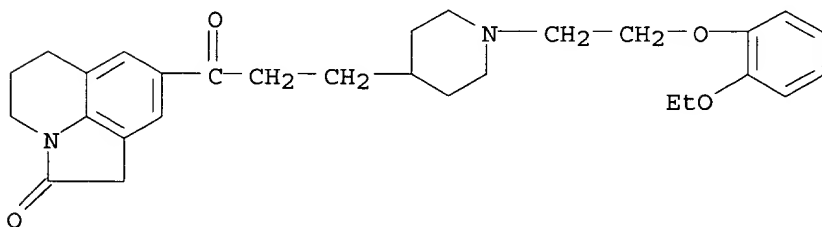
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RN 562042-24-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[2-(2-methylphenoxy)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



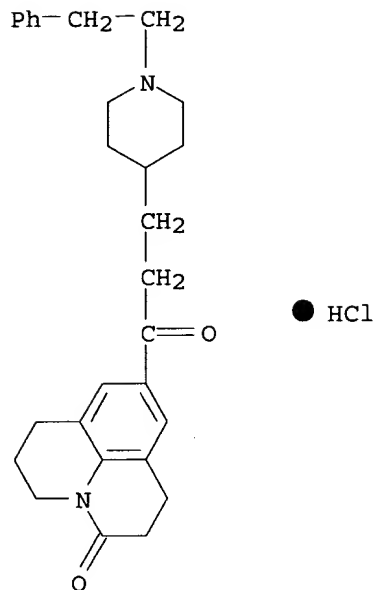
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RN 562042-25-5 CAPLUS  
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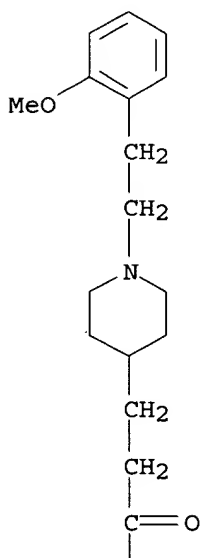
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RN 562042-26-6 CAPLUS  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(2-phenylethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

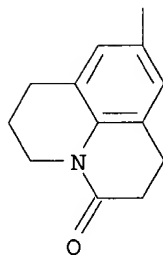


RN 562042-27-7 CAPLUS  
 CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[3-[1-[2-(2-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)

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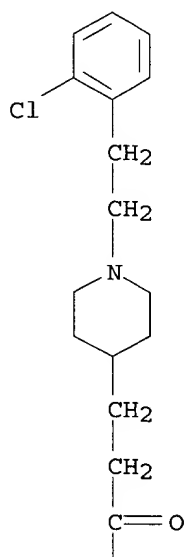
PAGE 2-A



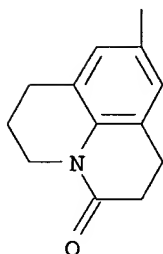
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 CN 1H,5H-Benzo[ij]quinolizin-5-one, 9-[3-[1-[2-(2-chlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, monohydrochloride (9Cl)  
 (CA INDEX NAME)

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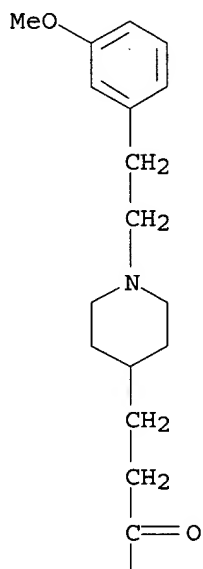
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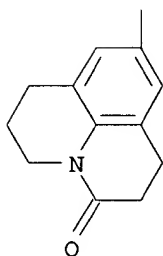
● HCl

RN 562042-29-9 CAPLUS  
CN 1H,5H-Benzo[ij]quinolizin-5-one, 9-[3-[1-[2-(3-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)

PAGE 1-A



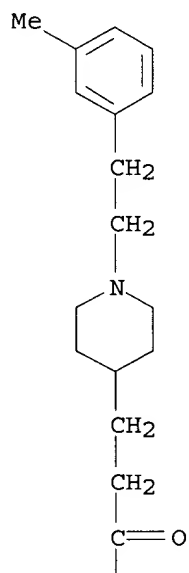
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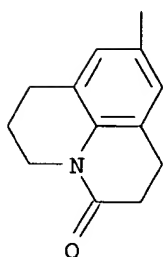
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RN 562042-30-2 CAPLUS  
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 (CA INDEX NAME)

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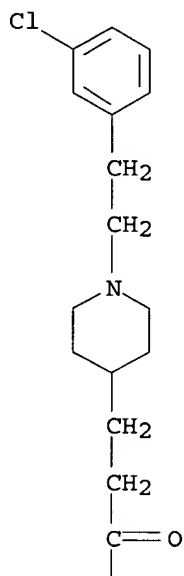
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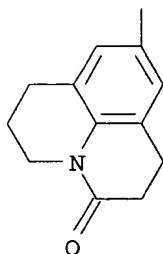
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 (CA INDEX NAME)

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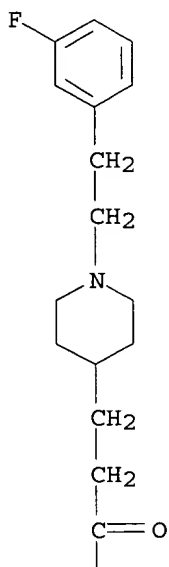
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● HCl

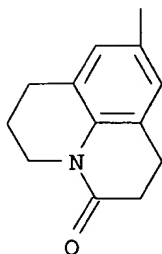
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 (CA INDEX NAME)

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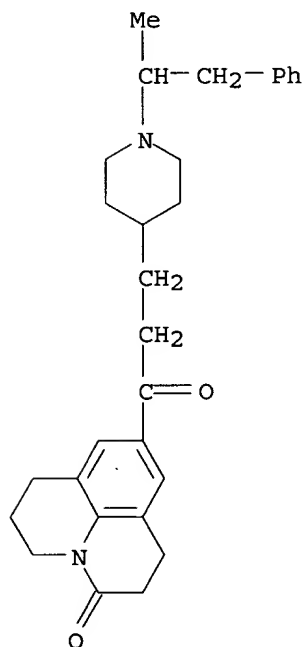
PAGE 2-A



● HCl

RN 562042-33-5 CAPLUS

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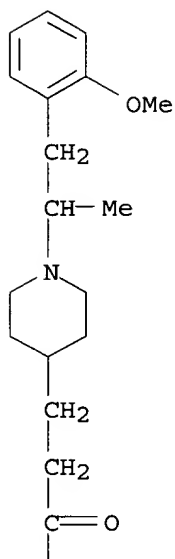


● HCl

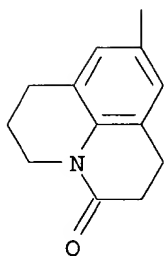
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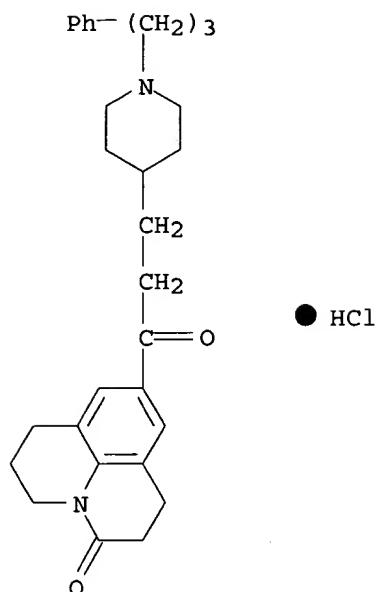


PAGE 2-A



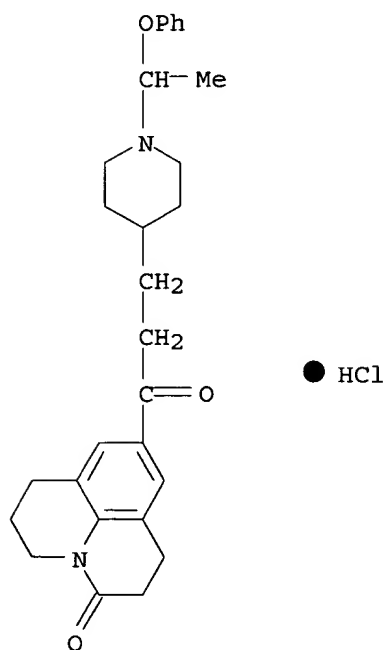
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RN	562042-35-7	CAPLUS
CN	1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(3-phenylpropyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)	



RN 562042-36-8 CAPLUS

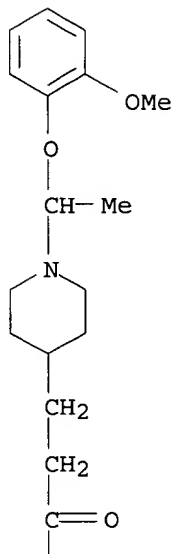
CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[1-oxo-3-[1-(1-phenoxyethyl)-4-piperidiny]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



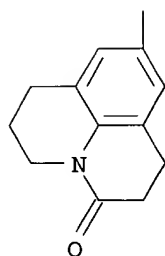
RN 562042-37-9 CAPLUS

CN 1H,5H-Benzo[ij]quinolizin-5-one, 2,3,6,7-tetrahydro-9-[3-[1-[1-(2-methoxyphenoxy)ethyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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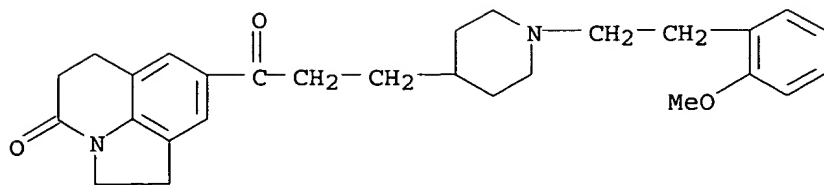


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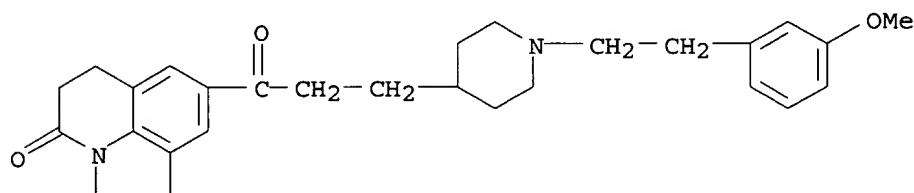
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 (CA INDEX NAME)



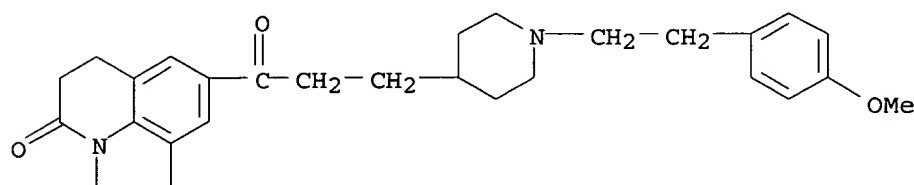
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 (CA INDEX NAME)



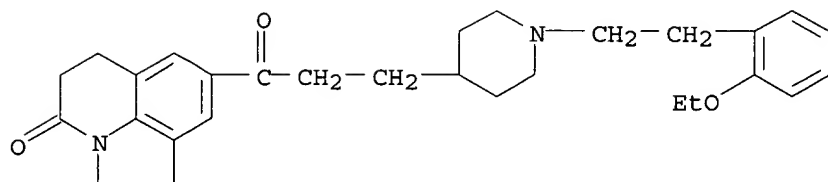
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RN 562042-59-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



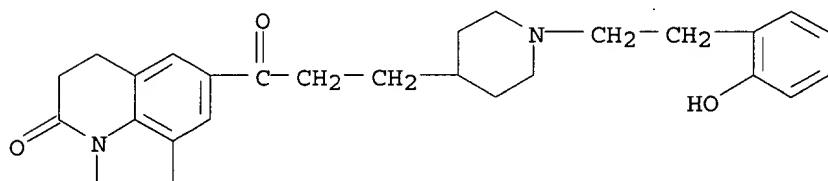
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 (CA INDEX NAME)



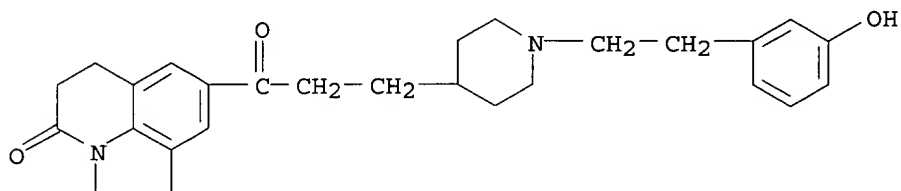
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 (CA INDEX NAME)



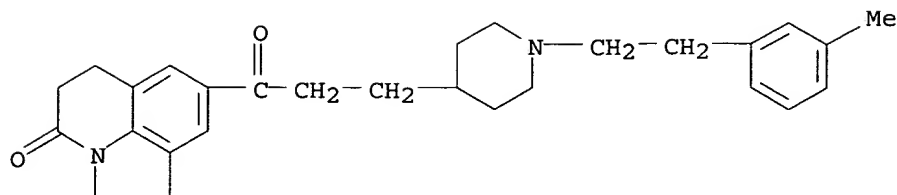
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 (CA INDEX NAME)



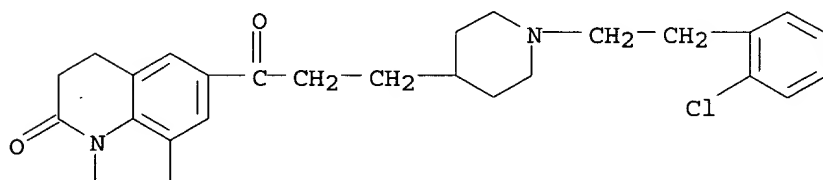
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 (CA INDEX NAME)



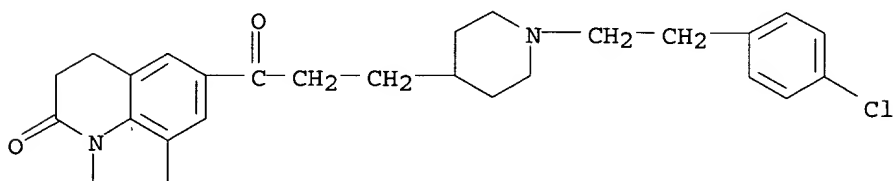
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RN 562042-64-2 CAPLUS  
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 (CA INDEX NAME)



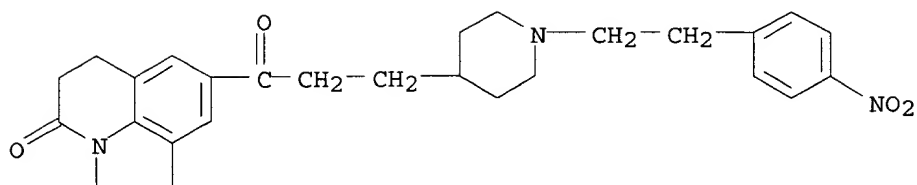
● HCl

RN 562042-65-3 CAPLUS  
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 (CA INDEX NAME)



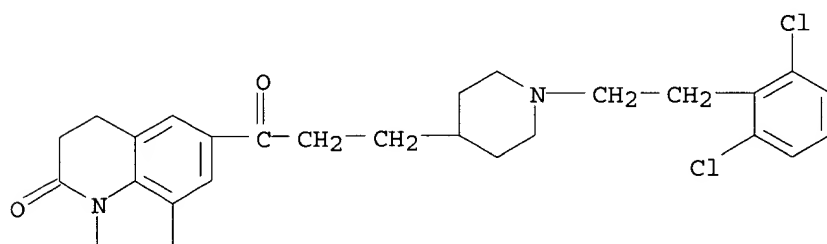
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RN 562042-66-4 CAPLUS  
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 (CA INDEX NAME)



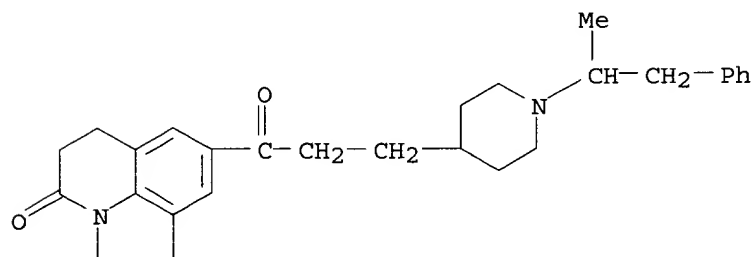
● HCl

RN 562042-67-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[2-(2,6-dichlorophenyl)ethyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

RN 562042-69-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-(1-methyl-2-phenylethyl)-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

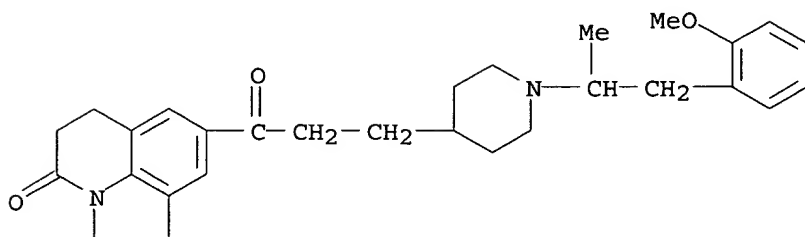


● HCl

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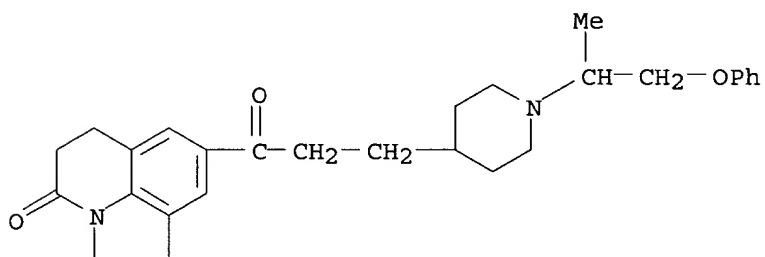
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 562042-71-1 CAPLUS

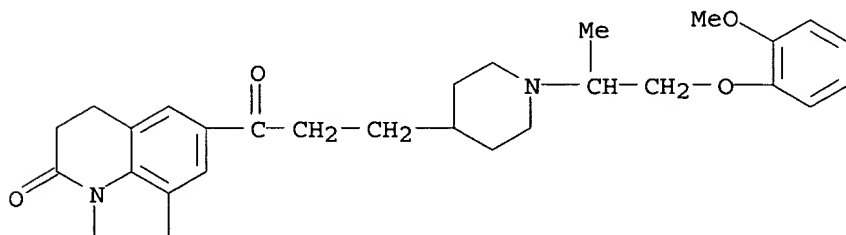
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● HCl

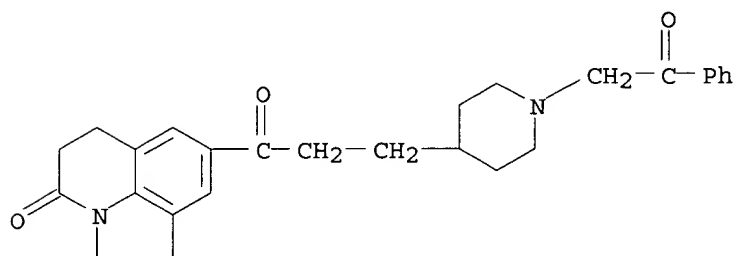
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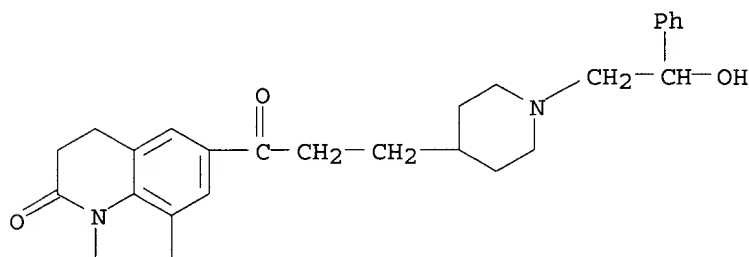
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● HCl

RN 562042-74-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

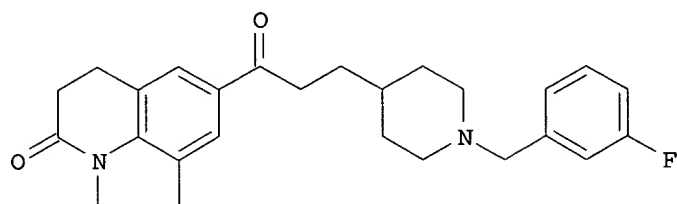
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L52 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2001:873241 CAPLUS  
 DOCUMENT NUMBER: 136:15242  
 TITLE: Crystals of condensed heterotricycle as acetylcholinesterase inhibitor and pharmaceutical compositions containing the crystals  
 INVENTOR(S): Ishihara, Yuji; Doi, Takayuki;  
 Ishiji, Yuji  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 50 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent

LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001335576	A2	20011204	JP 2001-85190	20010323
US 2002177593	A1	20021128	US 2001-960477	20010924
PRIORITY APPLN. INFO.:			JP 2000-88523	A 20000324
			JP 1998-276677	A 19980930
			WO 1999-JP5367	W 19990930
			US 2001-787288	A2 20010315
			JP 2001-85190	A 20010323

GI



AB Crystals of 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (I) or its salts, preferably having m.p. 113-118°, and pharmaceutical compns. containing the crystals are claimed. The compns. are useful for treatment of dysuria by increasing force of bladder emptying. The crystals may be used in combination with  $\alpha$ -blockers. Thus, crude crystal of I (preparation given) was dissolved in AcOEt/MeOH/CHCl<sub>3</sub> and the solution was subjected to silica gel chromatog. After repeating the process, the crystal was dissolved in EtOH and the solution was heated to remove EtOH and cooled under stirring for 6 h to give I having m.p. 114-117°.

IC ICM C07D471-04

ICS A61K031-437; A61K045-00; A61P013-00; A61P013-10; A61P025-28; A61P043-00

CC 1-11 (Pharmacology)

Section cross-reference(s): 27, 63

IT **263248-16-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)

IT **377724-20-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)

IT **263248-16-4P**

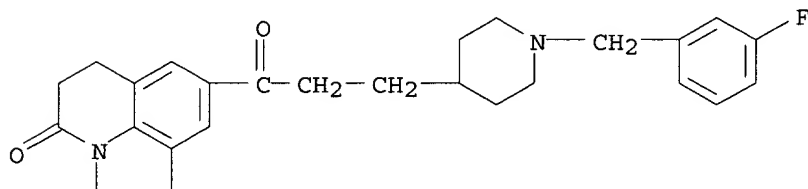
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)

RN 263248-16-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-

piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)

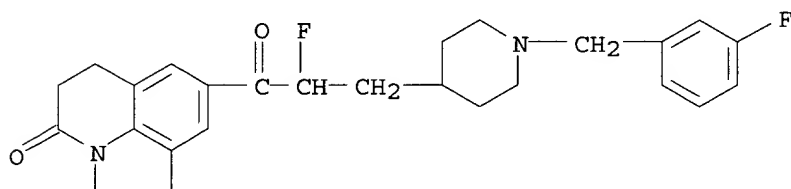


IT 377724-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of crystals of pyrroloquinolinone derivative as  
acetylcholinesterase inhibitor for treatment of dysuria)

RN 377724-20-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[2-fluoro-3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI)  
(CA INDEX NAME)



L52 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:277975 CAPLUS

DOCUMENT NUMBER: 132:308254

TITLE: Preparation of heterocyclic compounds as thermogenesis accelerators

INVENTOR(S): **Ishihara, Yuji**; Fujisawa, Yukio; Furuyama, Naoki; **Ishichi, Yuji**; Sasaki, Mitsuru

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 260 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023437	A1	20000427	WO 1999-JP5705	19991015
W:	AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2347095	AA	20000427	CA 1999-2347095	19991015

AU 9961236	A1	20000508	AU 1999-61236	19991015
JP 2000186088	A2	20000704	JP 1999-293493	19991015
JP 2000186091	A2	20000704	JP 1999-293649	19991015
EP 1122252	A1	20010808	EP 1999-947923	19991015

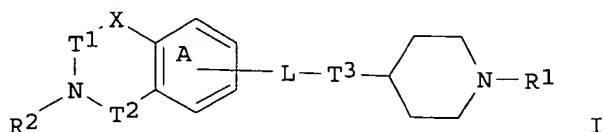
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

JP 1998-295213	A	19981016
JP 1998-295488	A	19981016
WO 1999-JP5705	W	19991015

OTHER SOURCE(S): MARPAT 132:308254

GI



AB The title compds. I [T1 = (CH<sub>2</sub>)<sub>k</sub>; T2 = (CH<sub>2</sub>)<sub>m</sub>; T3 = (CHR)<sub>n</sub>; A is a benzene ring which may be further substituted; L is O, S or the like; n is an integer of 0 to 6; R is hydrogen, optionally substituted hydrocarbyl, or the like; R1 is optionally substituted hydrocarbyl, etc.; R2 is hydrogen, acyl or the like; X is O, S, etc.; and k and m are each independently a number of 0 to 5 and satisfy the relationship: 1 < k + m < 5] are prepared I are useful in the treatment of obesity. The concentration of cAMP in fat cells in the presence of 7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]-3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine dihydrochloride (10<sup>-6</sup> M) was 46.7 pmol/mL, vs. 2.7 pmol/mL in control fat cells. (Thermogenesis is increased when the concentration of cAMP in fat cells is increased). Formulations are given.

IC ICM C07D401-04

ICS C07D401-06; C07D401-12; C07D401-14; C07D413-06; C07D217-22;  
C07D223-16; C07D498-04; C07D513-04; A61K031-4545; A61K031-55;  
A61K031-553; A61P003-04

CC 27-21 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 28, 63

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:227495 CAPLUS

DOCUMENT NUMBER: 132:260683

TITLE: Acetylcholinesterase-inhibiting amines for improving  
bladder vesical excretory strength

INVENTOR(S): Ishihara, Yuji; Doi, Takayuki;  
Nagabukuro, Hiroshi; Ishichi, Yuji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000018391 A1 20000406 WO 1999-JP5367 19990930  
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM,  
EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR,  
LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL,  
TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA  
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

BR 9914163 A 20010814 BR 1999-14163 19990930  
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY

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NO 2001001602 A 20010522 NO 2001-1602 20010329  
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PRIORITY APPLN. INFO.: JP 1998-276677 A 19980930  
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EP 1999-969675 A3 19990930  
WO 1999-JP5367 W 19990930  
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OTHER SOURCE(S): MARPAT 132:260683

AB Drugs for improving bladder vesical excretory strength which contain a  
non-carbamate amine compound (Markush's structures given) having an  
acetylcholinesterase inhibitory effect.

IC ICM A61K031-13  
ICS A61K031-445; A61K031-454; A61K031-4709; A61K031-55; A61K031-553;  
A61K031-4523; A61K031-4525; A61K031-4535; A61K031-473; A61K031-437;  
C07D211-32; C07D401-06; C07D413-06; C07D405-06; C07D409-06;  
C07D471-06; C07D219-10; C07D221-18; C07D491-107

CC 1-8 (Pharmacology)  
Section cross-reference(s): 27, 63

IT 321-64-2P 120014-06-4P 142851-90-9P 142852-08-2P 142852-10-6P  
142852-40-2P 142852-50-4P 142872-93-3P 167633-55-8P 215047-93-1P  
215047-99-7P 215048-00-3P 215048-01-4P  
215048-02-5P 263248-06-2P 263248-07-3P  
263248-08-4P 263248-09-5P 263248-10-8P  
263248-11-9P 263248-12-0P 263248-13-1P  
263248-14-2P 263248-15-3P 263248-16-4P  
263248-17-5P 263248-18-6P 263248-19-7P  
263248-20-0P 263248-21-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(acetylcholinesterase-inhibiting amines for improving bladder vesical  
excretory strength)

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263248-27-7 263248-28-8 263248-29-9

263248-30-2 263248-31-3 263248-32-4

263248-33-5 263248-34-6 263248-35-7

263248-36-8 263248-37-9 263248-38-0

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263248-48-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

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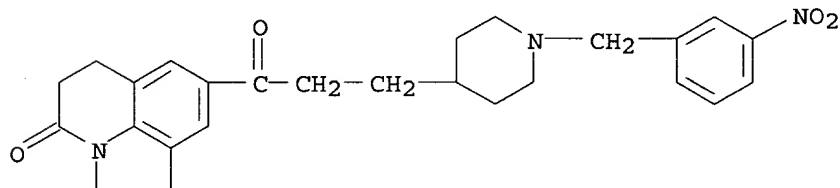
263248-17-5P 263248-18-6P 263248-19-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

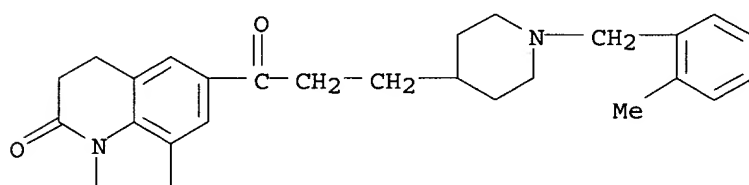
RN 215047-99-7 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidiny]-1-oxopropyl]- (9CI) (CA INDEX NAME)



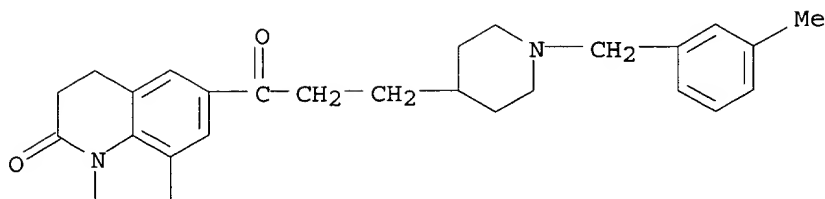
RN 215048-00-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidiny]-1-oxopropyl]- (9CI) (CA INDEX NAME)



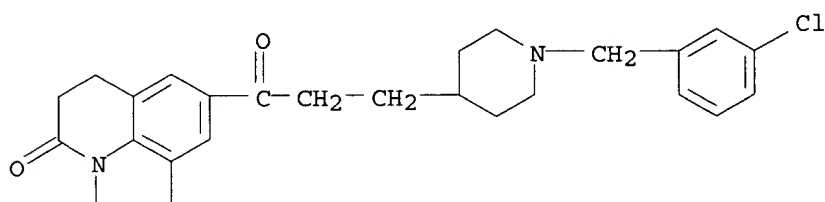
RN 215048-01-4 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methylphenyl)methyl]-4-piperidiny]-1-oxopropyl]- (9CI) (CA INDEX NAME)



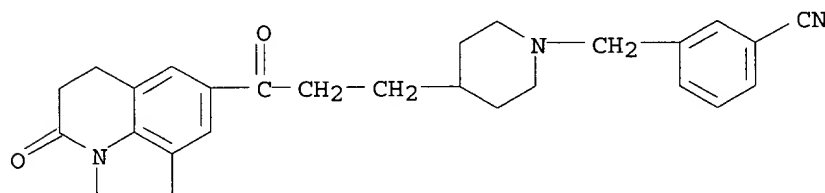
RN 215048-02-5 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



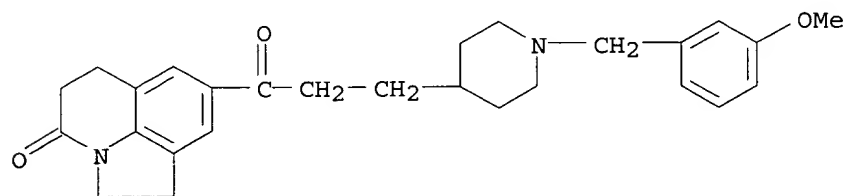
RN 263248-06-2 CAPLUS

CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 263248-07-3 CAPLUS

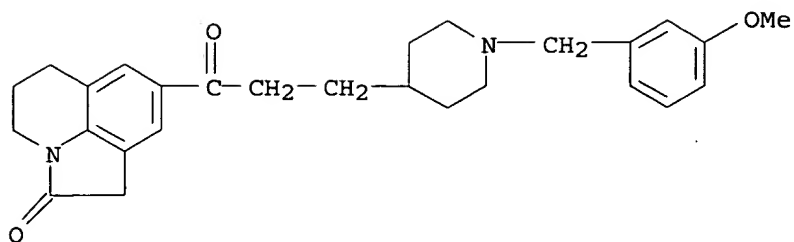
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 263248-08-4 CAPLUS

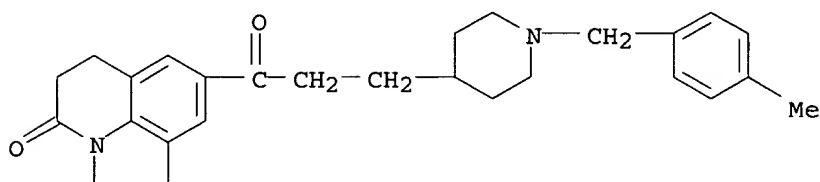
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)





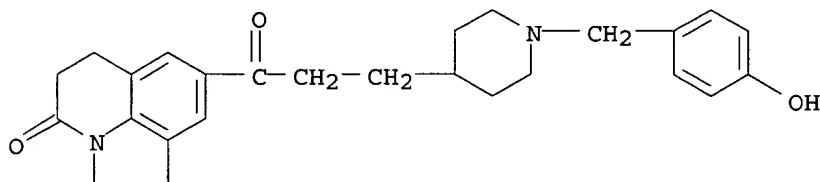
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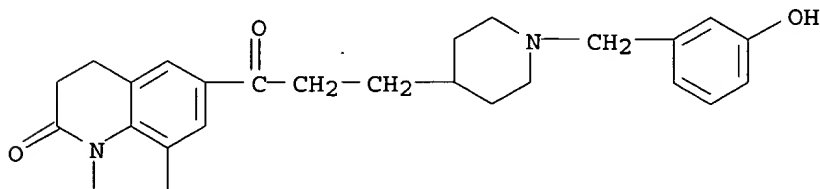
RN 263248-10-8 CAPLUS

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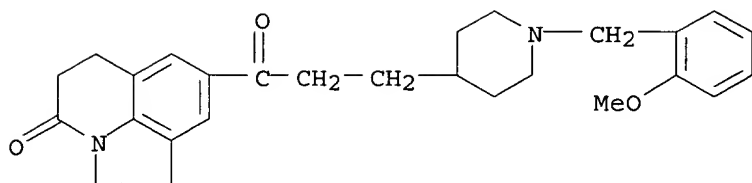
RN 263248-11-9 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



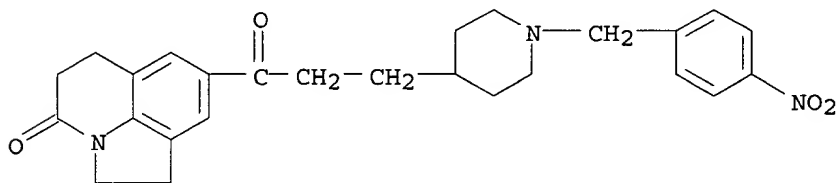
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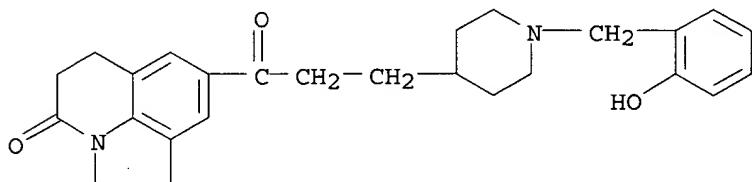
RN 263248-13-1 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



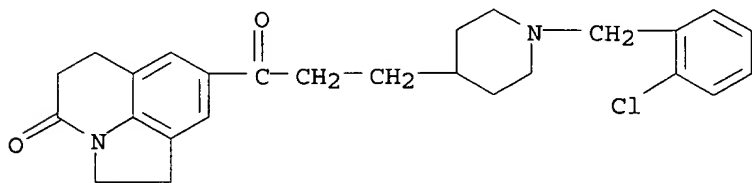
RN 263248-14-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



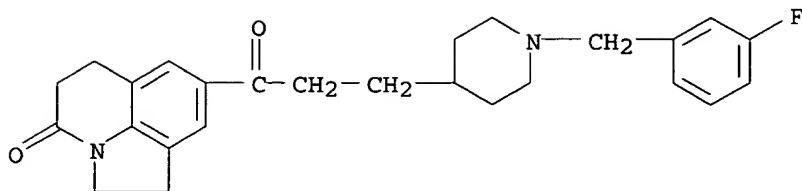
RN 263248-15-3 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



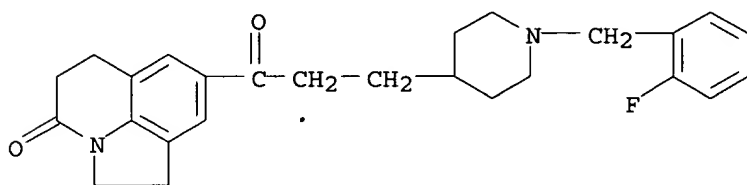
RN 263248-16-4 CAPLUS

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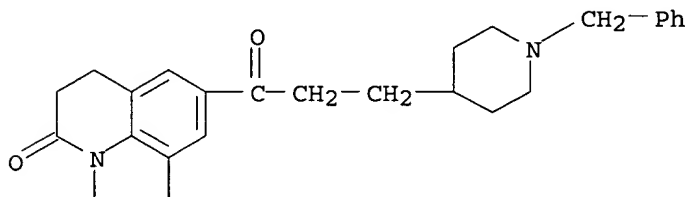
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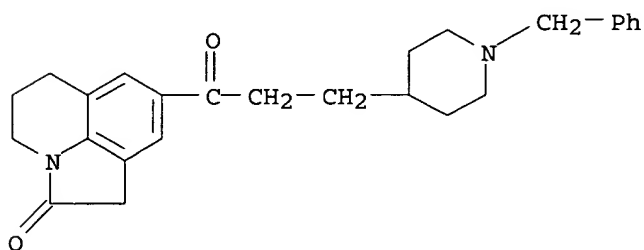
RN 263248-18-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 263248-19-7 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



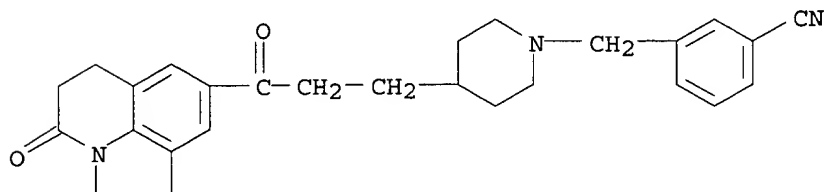
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

RN 263248-22-2 CAPLUS

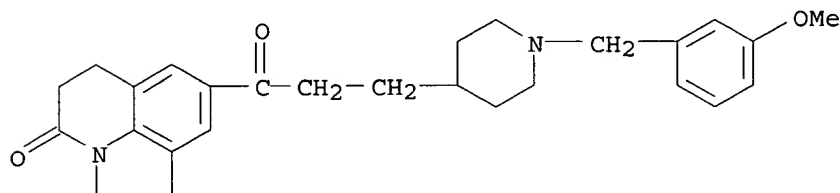
CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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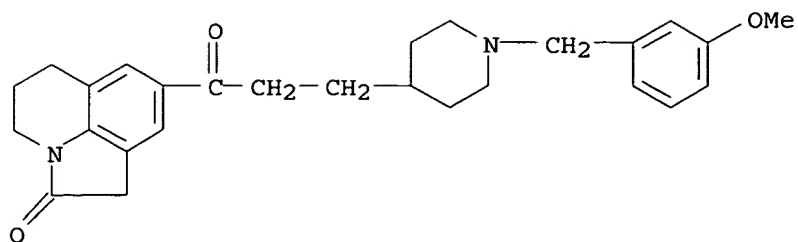
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

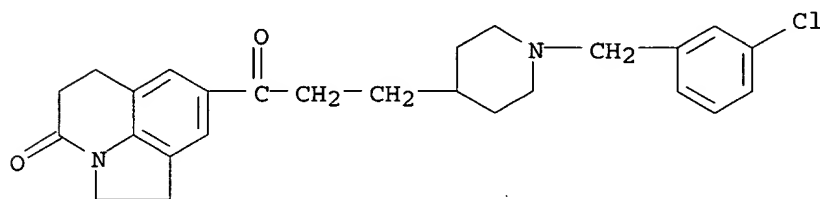
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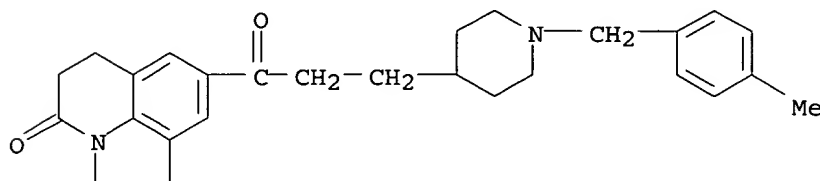
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(CA INDEX NAME)



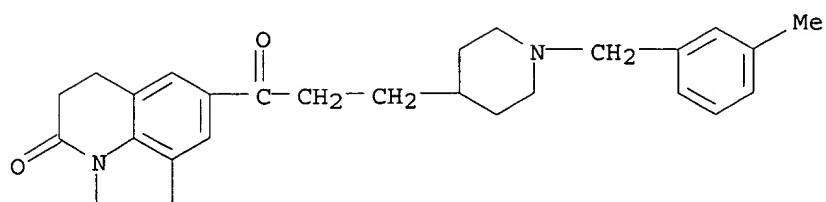
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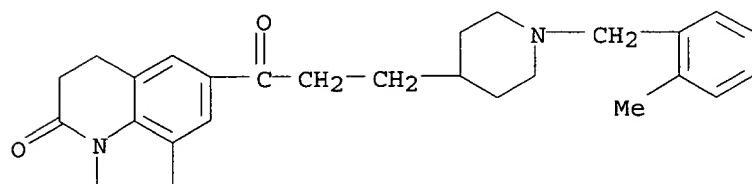
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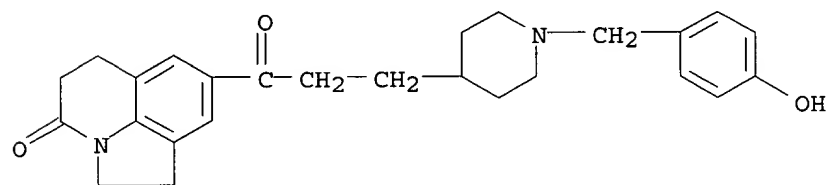
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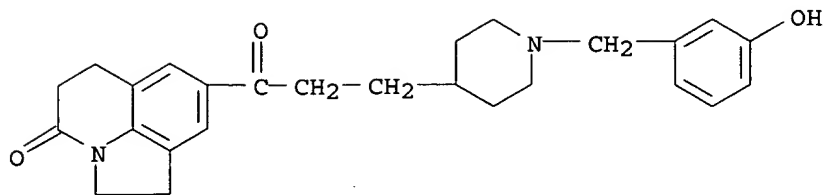
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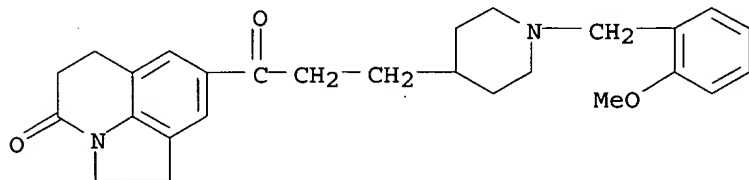
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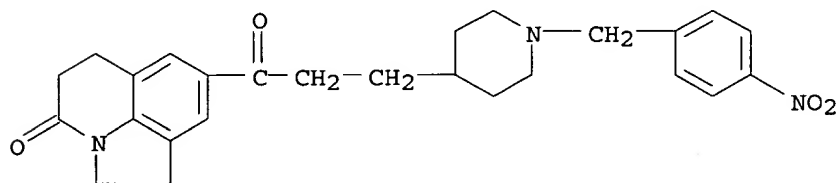
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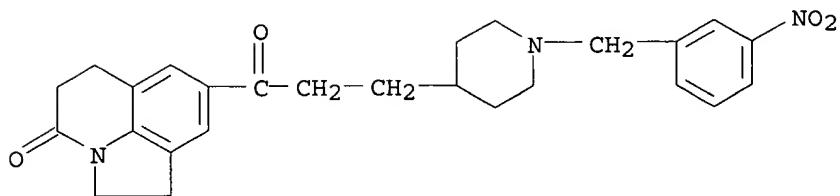
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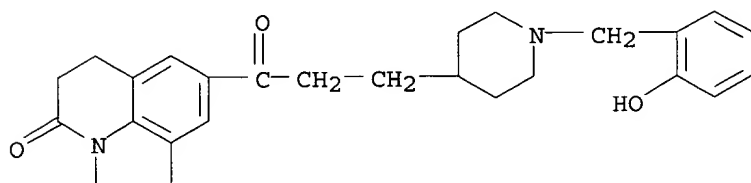
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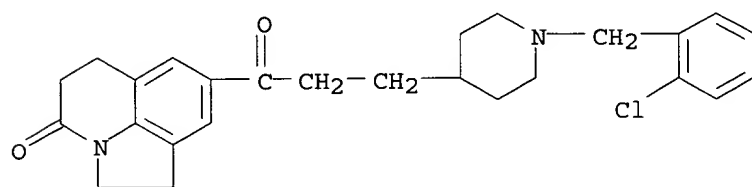
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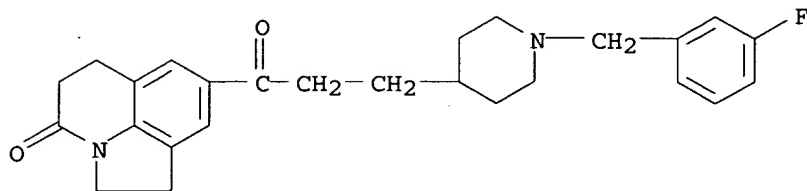
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● HCl

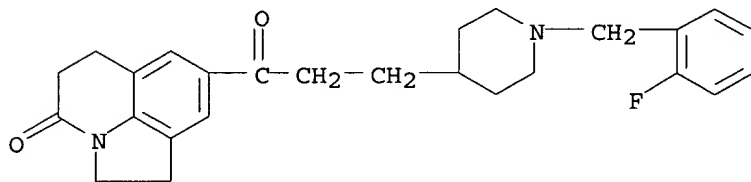
RN 263248-36-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)





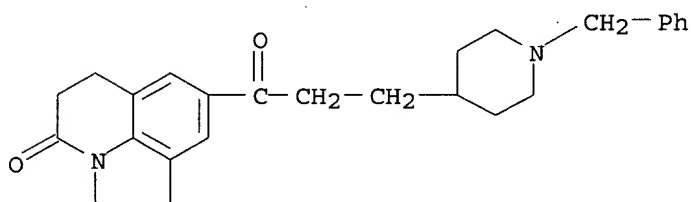
● HCl

RN 263248-37-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



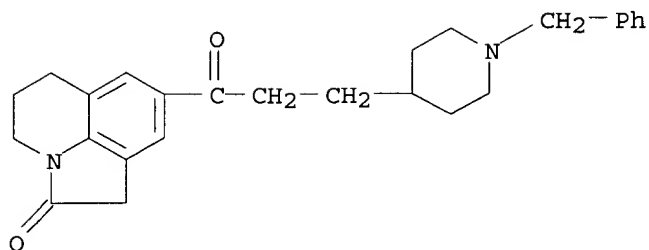
● HCl

RN 263248-38-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 263248-39-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-8-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:677396 CAPLUS

DOCUMENT NUMBER: 133:256827

TITLE: Cough reflex suppressants for the treatment of urinary disorders

INVENTOR(S): Hashimoto, Tadatoshi; Doi, Takayuki; Kamo, Izumi; Nagabukuro, Hiroshi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000264849	A2	20000926	JP 2000-6128	20000111
PRIORITY APPLN. INFO.:			JP 1999-5557	A 19990112
AB Nonnarcotic cough reflex suppressants with morphinan skeleton structures are effective for the prevention and treatment of urinary incontinence and frequency. A tablet contained pentoxyverine citrate 10, lactose 60, corn starch 35, hydroxypropyl Me cellulose 3, and Mg stearate 2 mg.				
IC ICM A61K045-00				
ICS A61K031-19; A61K031-4375; A61K031-485; A61P013-00				
CC 63-6 (Pharmaceuticals)				
Section cross-reference(s): 1				

L52 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:567004 CAPLUS

DOCUMENT NUMBER: 131:337008

TITLE: Axially chiral 1,7-naphthyridine-6-carboxamide derivatives as orally active tachykinin NK1 receptor antagonists: synthesis, antagonistic activity, and effects on bladder functions

AUTHOR(S): Natsugari, Hideaki; Ikeura, Yoshinori; Kamo, Izumi; Ishimaru, Takenori; Ishichi, Yuji; Fujishima, Akira; Tanaka, Toshimasa; Kasahara, Fumiko; Kawada, Mitsuru; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Division and Technology Development Department, Takeda Chemical Industries

SOURCE: Ltd., Yodogawa-ku Osaka, 532-8686, Japan  
Journal of Medicinal Chemistry (1999), 42(19),  
3982-3993  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Cyclic analogs of N-[3,5-bis(trifluoromethyl)benzyl]-7,8-dihydro-N,7-dimethyl-5-(4-methylphenyl)-8-oxo-1,7-naphthyridine-6-carboxamide having a 6-9-membered ring I and II [X = (CH<sub>2</sub>)<sub>n</sub>, n = 2-5; X = (R)-, (S)-CH<sub>2</sub>CHMeCH<sub>2</sub>, (R)-, (S)-(CH<sub>2</sub>)<sub>2</sub>CHMeCH<sub>2</sub>] were synthesized and evaluated for NK1 antagonistic activities. The 8-membered ring compound with a β-Me group at the C(9)-position, (aR,9R)-7-[3,5-bis(trifluoromethyl)benzyl]-8,9,10,11-tetrahydro-9-Me-5-(4-methylphenyl)-7H-[1,4]diazocino[2,1-g][1,7]naphthyridine-6,13-dione [(aR,9R)-III], was atropdiastereoselectively synthesized by cyclization of a chiral carboxamide intermediate, IV [X = (R)-(CH<sub>2</sub>)<sub>2</sub>CHMeCH<sub>2</sub>]. On the other hand, the 7-membered ring compound with a β-Me group at the C(9)-position [(9S)-II (n = 3)] was obtained as an equilibrium mixture of atropisomers with a ratio of ca. 3:2 in solution at room temperature (measured by NMR in CDCl<sub>3</sub>). Compds. (9S)-II (n = 3) and (aR,9R)-III exhibited excellent antagonistic activities both in vitro [IC<sub>50</sub> (inhibition of [125I]BH-SP binding in human IM-9 cells) = 0.28 and 0.45 nM, resp.] and in vivo (iv and po). Significantly, the in vitro activity of (aR,9R)-III was ca. 750-fold higher than that of its enantiomer (aS,9S)-III, ca. 40-fold higher than its atropisomer (aS,9R)-III, and ca. 20-fold higher than its diastereomer (aR,9S)-III. The structure-activity relationships in this series, along with the X-ray anal. of (aR,9R)-III, indicated that the stereochem. around the -C(6)(:O)-N(7)-CH<sub>2</sub>Ar moiety is important for NK1 receptor recognition. The NK1 antagonists showed effects on bladder functions in guinea pigs upon i.v. injection: i.e., the antagonists increased the shutdown time of distension-induced rhythmic bladder contractions and the bladder volume threshold, and the effects on the shutdown time were found to correlate well with the NK1 antagonistic activities. Compound (aR,9R)-III has been identified as a potential clin. candidate for the treatment of bladder function disorders.

CC 28-23 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 75

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:708810 CAPLUS

DOCUMENT NUMBER: 129:330744

TITLE: Preparation of benzazepine thermogenics

INVENTOR(S): Ishihara, Yuji; Fujisawa, Yukio; Furuyama, Naoki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 399 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846590	A1	19981022	WO 1998-JP1753	19980416

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2282390	AA	19981022	CA 1998-2282390	19980416
AU 9868528	A1	19981111	AU 1998-68528	19980416
EP 975624	A1	20000202	EP 1998-914055	19980416

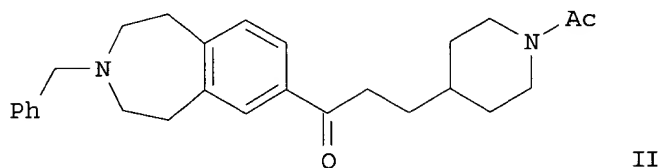
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 11310532	A2	19991109	JP 1998-107257	19980417
US 6534496	B1	20030318	US 1999-402806	19991007

PRIORITY APPLN. INFO.:

JP 1997-100675	A	19970417
JP 1998-41495	A	19980224
WO 1998-JP1753	W	19980416

OTHER SOURCE(S): MARPAT 129:330744  
GI



AB The title compds. ArC(O)(CHR)<sub>n</sub>Y [I; Ar = Ph which may be substituted and/or condensed; n = 1-10; R = H, hydrocarbon group which may be substituted, which may not be the same in n occurrences; R may be bound to either Ar or a substituent on Ar; Y = (un)substituted NH<sub>2</sub>, (un)substituted nitrogen-containing saturated heterocyclic group] and their salts, useful as thermogenic, antiobesity, and lipolytic agents, or as prophylactic and/or treating drugs for obesity-associated diseases or diabetes with a reduced risk for central side effects and high universality in usage, were prepared and formulated. Thus, reaction of 3-(1-acetyl-4-piperidiny)propionyl chloride with 3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepine in the presence of AlCl<sub>3</sub> in CH<sub>2</sub>Cl<sub>2</sub> followed by treatment of the resulting 3-(1-acetyl-4-piperidiny)-1-(3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-propanone in MeOH with concentrate HCl, and reaction of 3-(1-acetyl-4-piperidiny)-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-propanone with benzyl bromide afforded the title compound II.HCl which showed cAMP concentration of 1369.1 pmol/mL at 10<sup>-5</sup> M in murine preadipocyte line (3T3-L1).

IC ICM C07D401-06

ICS A61K031-55; C07D413-06; C07D413-14; C07D401-14; A61K031-44; A61K031-40; C07D417-14; C07D405-14; C07D409-14

CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

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215041-68-2P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzazepine thermogenics)

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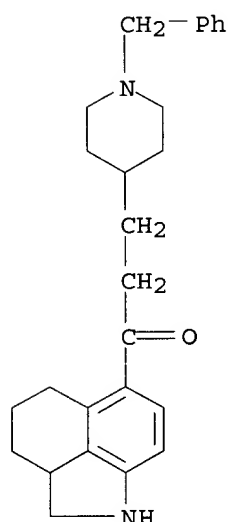
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzazepine thermogenics)

IT **157647-28-4P 157647-30-8P 157647-34-2P**  
**157647-43-3P 157647-45-5P 157647-49-9P**  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzazepine thermogenics)

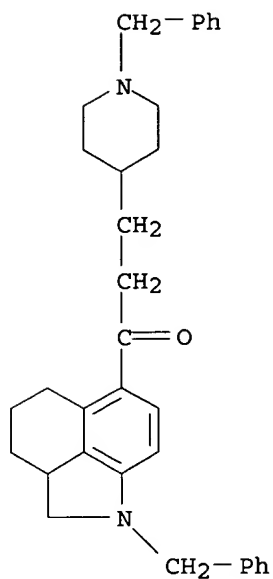
RN 157647-28-4 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



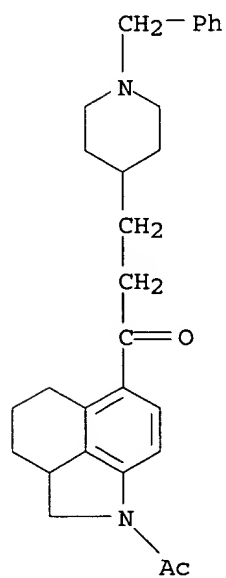
RN 157647-30-8 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



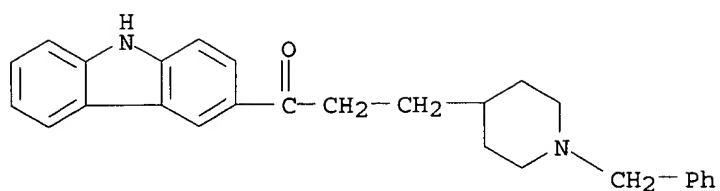
RN 157647-34-2 CAPLUS

CN Benz[cd]indole, 1-acetyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



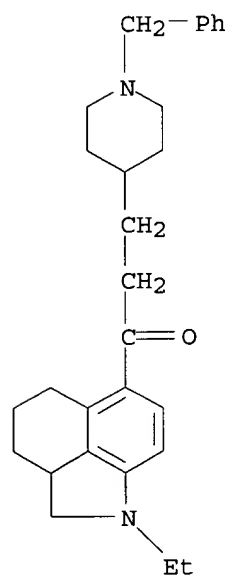
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CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 157647-45-5 CAPLUS

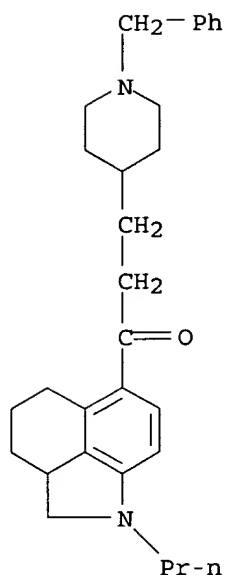
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RN 157647-49-9 CAPLUS

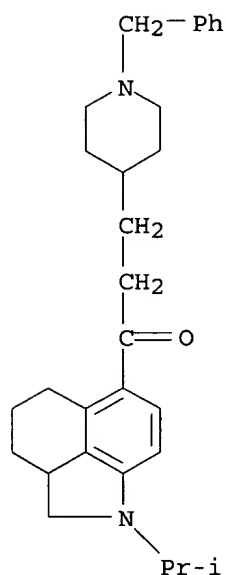
CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-propylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)





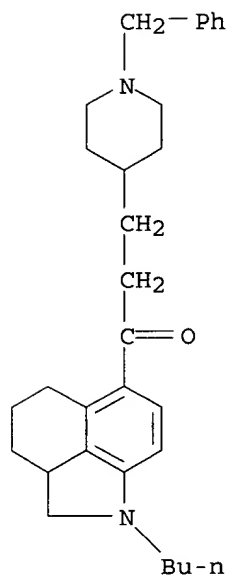
RN 157647-51-3 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(1-methylethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



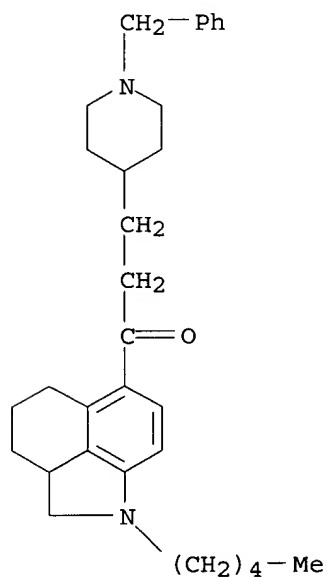
RN 157647-53-5 CAPLUS

CN 1-Propanone, 1-(1-butyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



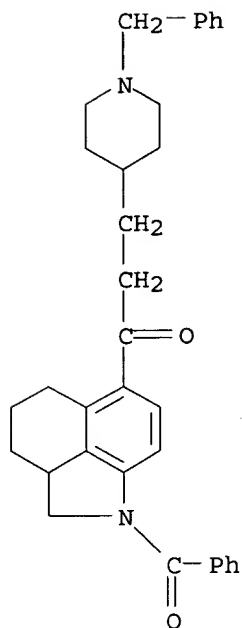
RN 157647-57-9 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-pentylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]-(9CI) (CA INDEX NAME)

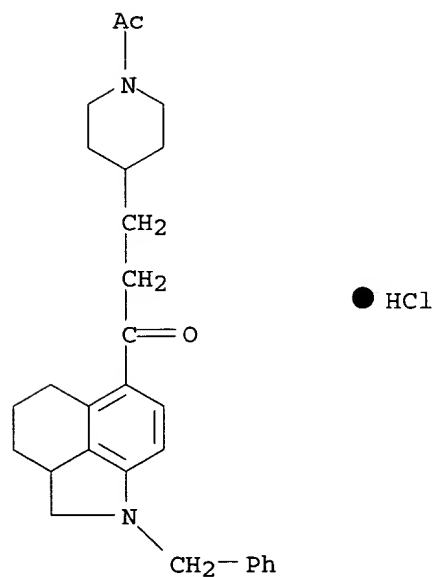


RN 157647-76-2 CAPLUS

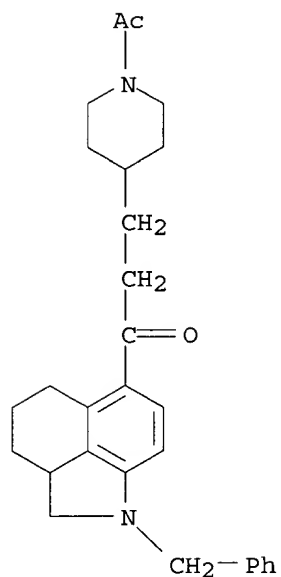
CN Benz[cd]indole, 1-benzoyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]-(9CI) (CA INDEX NAME)



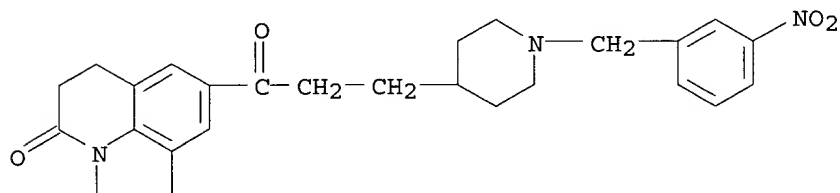
RN 215040-79-2 CAPLUS  
 CN Piperidine, 1-acetyl-4-[3-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



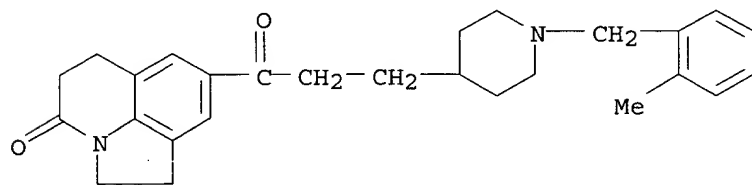
RN 215040-80-5 CAPLUS  
 CN Piperidine, 1-acetyl-4-[3-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



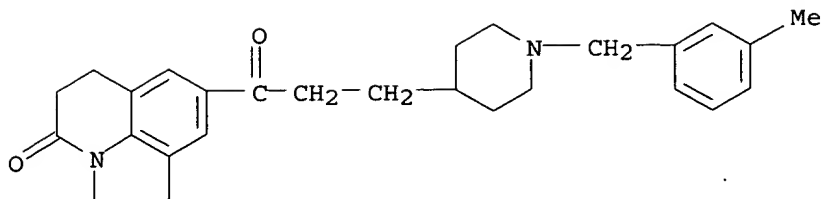
RN 215047-99-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



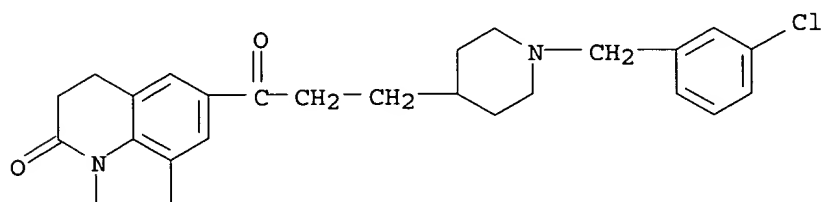
RN 215048-00-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 215048-01-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-8-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 215048-02-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 8-[3-[1-[(3-chlorophenyl)methyl]-4-piperidiny]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:635994 CAPLUS

DOCUMENT NUMBER: 130:24986

TITLE: Axially Chiral N-Benzyl-N,7-dimethyl-5-phenyl-1,7-naphthyridine-6-carboxamide Derivatives as Tachykinin NK1 Receptor Antagonists: Determination of the Absolute Stereochemical Requirements

AUTHOR(S): Ikeura, Yoshinori; **Ishichi, Yuji**; Tanaka, Toshimasa; Fujishima, Akira; Murabayashi, Mika; Kawada, Mitsuru; Ishimaru, Takenori; Kamo, Izumi; **Doi, Takayuki**; Natsugari, Hideaki

CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Chemical Industries Ltd., Yodogawa-ku Osaka, 532, Japan

SOURCE: Journal of Medicinal Chemistry (1998), 41(22), 4232-4239

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A potent and orally active NK1 antagonist, trans-N-[3,5-bis(trifluoromethyl)benzyl]-7,8-dihydro-N,7-dimethyl-5-(4-methylphenyl)-8-oxo-1,7-naphthyridine-6-carboxamide (It), was shown to exist as a mixture of separable and stable (R)- and (S)-atropisomers (It-A and It-B) originating from the restricted rotation around the -C(6)-C(:O)- bond; the antagonistic activities of It-A were .apprx. 6-13-fold higher than those of It-B. Analogs of It (II), which have (S)- and (R)-Me groups at the benzylic methylene portion of It, were prepared and separated into the diastereomeric atropisomers, IIa-A, IIa-B and IIb-A, IIb-B, in enantiomerically pure forms. Among the four isomers of II, the (aR,S)-enantiomer (IIa-A) exhibited the most potent antagonistic activities with an IC50 value of 0.80 nM (in vitro inhibition of [125I]BH-SP binding in human IM-9 cells) and ED50 values of 9.3 µg/kg (i.v.) and 67.7 µg/kg (orally) (in vivo inhibition of capsaicin-induced

plasma extravasation in guinea pig trachea), while the activity of the (aS,R)-enantiomer (IIb-B) was the weakest with an IC<sub>50</sub> value of 620 nM. The structure-activity relationships in this series of antagonists indicate that the (R)-configuration at the axial bond and the stacking (or stacking-like) conformation between the two Ph rings are essential for high-affinity binding and suggest that the amide moiety functions as a hydrogen bond acceptor in the interaction with the receptor.

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:787188 CAPLUS

DOCUMENT NUMBER: 123:198832

TITLE: Tetracyclic condensed heterocyclic compounds for the treatment of senile dementia.

INVENTOR(S): Goto, Giichi; **Ishihara, Yuji**; Miyamoto, Masaomi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 53 pp.

CODEN: EPXXDW

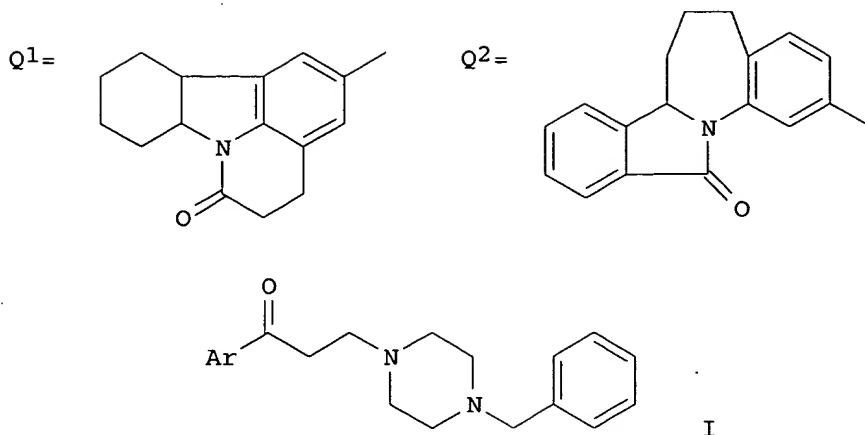
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 655451	A1	19950531	EP 1994-118734	19941129
EP 655451	B1	20010620		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5620973	A	19970415	US 1994-330133	19941025
CA 2136913	AA	19950531	CA 1994-2136913	19941129
JP 07309835	A2	19951128	JP 1994-294754	19941129
AT 202354	E	20010715	AT 1994-118734	19941129
US 5814642	A	19980929	US 1996-681911	19960730
PRIORITY APPLN. INFO.:			JP 1993-299799	A 19931130
			JP 1994-55984	A 19940325
			US 1994-330133	A3 19941025
OTHER SOURCE(S):	CASREACT 123:198832; MARPAT 123:198832			
GI				



AB Title compds. ArCO(CHR1)nY [Ar = (un)substituted tetracyclic fused heterocyclic group; R1 = H or (un)substituted hydrocarbonyl; n = 1-10; Y = amino or N-containing saturated (un)substituted heterocyclic group] and their salts are claimed. The compds. show excellent cholinesterase inhibitory activity and monoamine uptake inhibitory activity, thus being useful as therapeutic and/or prophylactic medicaments for senile dementia and Alzheimer's disease, and also as antidepressants. For example, 1,2,3,4,4a,9a-hexahydrocarbazole underwent N-acylation by ClCH2CH2COCl, Friedel-Crafts cyclization by AlCl3, and Friedel-Crafts acylation by treatment with both ClCH2CH2COCl and AlCl3, to give pyridocarbazolone derivative ArCOCH2CH2Cl [Ar = Q1]. Reaction of the latter with 1-benzylpiperazine gave title compound I [Ar = Q1] as the di-HCl salt. The similarly prepared compound I [Ar = Q2] had IC50 of 0.0164  $\mu$ M for inhibition of rat cerebral cholinesterase in vitro, vs. 0.220 for physostigmine and 0.300 for THA. The same compound was also as potent as imipramine in a monoamine uptake inhibitor assay.

IC ICM C07D487-04

ICS C07D471-06; A61K031-55; A61K031-435

ICI C07D487-04, C07D223-00, C07D209-00; C07D471-06, C07D221-00, C07D209-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 167633-48-9P 167633-49-0P 167633-50-3P 167633-51-4P

167633-52-5P 167633-54-7P 167633-55-8P 167633-57-0P

167633-58-1P 167633-59-2P 167633-60-5P 167633-61-6P

167633-62-7P 167633-63-8P 167633-64-9P 167633-75-2P

167633-76-3P 167633-77-4P 167633-78-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetracyclic heterocyclics for treatment of senile dementia)

IT 167633-48-9P 167633-49-0P 167633-52-5P

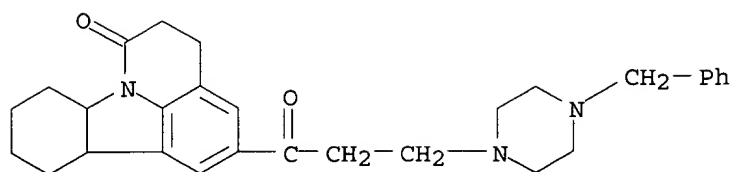
167633-61-6P 167633-62-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetracyclic heterocyclics for treatment of senile dementia)

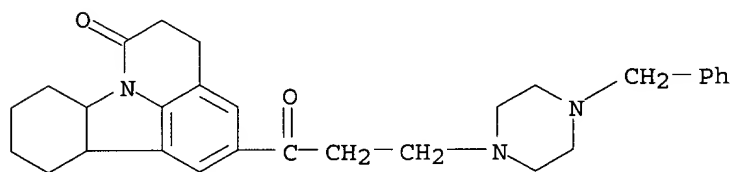
RN 167633-48-9 CAPLUS

CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

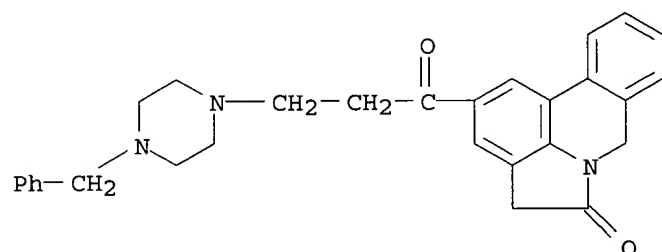


● 2 HCl

RN 167633-49-0 CAPLUS  
CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

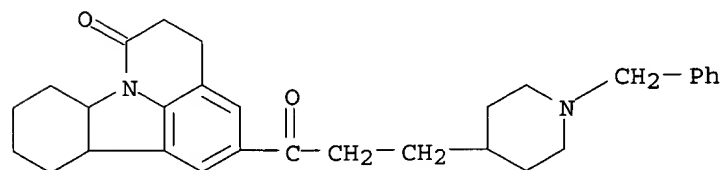


RN 167633-52-5 CAPLUS  
CN 7H-Pyrrolo[3,2,1-de]phenanthridin-5(4H)-one, 2-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 167633-61-6 CAPLUS  
CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

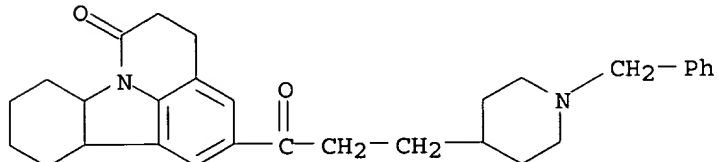




RN 167633-62-7 CAPLUS  
 CN 6H-Pyrido[3,2,1-jk]carbazol-6-one, 4,5,7a,8,9,10,11,11a-octahydro-2-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, (2E)-2-butenedioate (1:1)  
 (9CI) (CA INDEX NAME)

CM 1

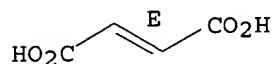
CRN 167633-61-6  
 CMF C30 H36 N2 O2



CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



L52 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:605959 CAPLUS

DOCUMENT NUMBER: 127:254009

TITLE: Sanriku balloon experiments for cosmic heavy primary observation

AUTHOR(S): Doi, T.; Fujita, H.; Hareyama, M.; Ichimura, M.; Ishihara, Y.; Kamioka, E.; Kobayashi, T.; Komatsu, H.; Kuramata, S.; Matsutani, H.; Maruguchi, K.; Nanjo, H.; Numata, T.; Shibata, T.; Sugimoto, H.; Watanabe, Z.

CORPORATE SOURCE: Department of Physics, Hirosaki University, Hirosaki, Japan

SOURCE: International Cosmic Ray Conference, 24th, Rome, Aug. 28-Sept. 8, 1995 (1995), Volume 2, 642-645. Arti Grafiche Editoriali Srl: Urbino, Italy.  
 CODEN: 65AJA2

DOCUMENT TYPE: Conference

LANGUAGE: English

AB We have exposed emulsion chambers with extensive use of screen type X-ray films(SXF) in 1989 and 1991 from Sanriku Balloon Center(SBC) of Institute of Space and Astronautical Science(ISAS), Japan to study cosmic heavy primaries. Absolute differential energy spectra of Si, S, sub Fe group and Fe chemical elements are reported. Energy is determined by opening angle of nuclear

fragments and by East-West effect of azimuthally controlled gondola. Charge is from the darkness of the spot by the cosmic nucleus on SXF. The spectra thus obtained cover from .apprx. 2 GeV/N to .apprx. 1 TeV/N. And

differential spectral indexes are  $2.97 \pm 0.18$ ,  $2.80 \pm 0.15$ ,  $2.74 \pm 0.10$ ,  $2.63 \pm 0.09$ ,  $2.63 \pm 0.09$ ,  $2.63 \pm 0.09$  for Si, S, Sub Fe (Z=17 .apprx. 25) and Fe, resp.

CC 70-7 (Nuclear Phenomena)

L52 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:609393 CAPLUS

DOCUMENT NUMBER: 127:268957

TITLE: Propagations of cosmic rays in the Galaxy

AUTHOR(S): Doi, T.; Fujita, H.; Hareyama, M.; Ichimura, M.; Ishihara, Y.; Kamioka, E.; Kobayashi, T.; Komatsu, H.; Kuramata, S.; Matsutani, H.; Maruguchi, K.; Nanjo, H.; Numata, T.; Shibata, T.; Sugimoto, H.; Watanabe, Z.

CORPORATE SOURCE: Department of Physics, Hiroasaki University, Hiroasaki, Japan

SOURCE: International Cosmic Ray Conference, 24th, Rome, Aug. 28-Sept. 8, 1995 (1995), Volume 3, 104-107. Arti Grafiche Editoriali Srl: Urbino, Italy.  
CODEN: 65AJA2

DOCUMENT TYPE: Conference

LANGUAGE: English

AB Basing on our two main balloon expts. at Sanriku Balloon Station, Institute of Space and Astronautical Science, Japan, we measured absolute energy spectra of cosmic heavy primaries from Si to Fe as reported elsewhere at this conference. Then the propagation of cosmic rays are discussed using these data.

CC 70-7 (Nuclear Phenomena)

L52 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:579506 CAPLUS

DOCUMENT NUMBER: 121:179506

TITLE: Preparation of heterocyclylalkanoyl-tricyclic condensed heterocyclic compounds as psychoanaleptics

INVENTOR(S): Goto, Giichi; Ishihara, Yuji; Hirai, Keisuke

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 126 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

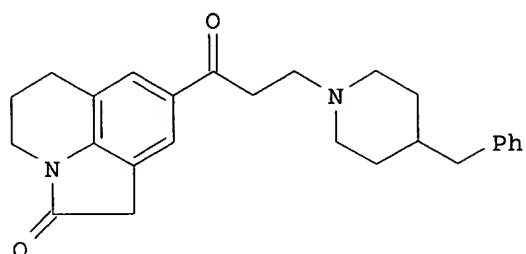
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 607864	A2	19940727	EP 1994-100403	19940113
EP 607864	A3	19941012		
EP 607864	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9400203	A	19950712	ZA 1994-203	19940112
AT 250031	E	20031015	AT 1994-100403	19940113
CA 2113603	AA	19940719	CA 1994-2113603	19940117
NO 9400163	A	19940719	NO 1994-163	19940117
HU 66182	A2	19940928	HU 1994-132	19940117
FI 9400229	A	19941021	FI 1994-229	19940117
CN 1104211	A	19950628	CN 1994-100503	19940117
AU 9453861	A1	19940721	AU 1994-53861	19940118
AU 670981	B2	19960808		
JP 07206854	A2	19950808	JP 1994-3319	19940118

JP 3286056	B2	20020527		
US 5527800	A	19960618	US 1994-182239	19940118
JP 2002201177	A2	20020716	JP 2001-336391	19940118
US 5686466	A	19971111	US 1996-618796	19960320
PRIORITY APPLN. INFO.:			JP 1993-5535	A 19930118
			JP 1993-173287	A 19930713
			JP 1993-239672	A 19930927
			JP 1993-299827	A 19931130
			JP 1994-3319	A3 19940118
			US 1994-182239	A3 19940118
OTHER SOURCE(S):			MARPAT 121:179506	
GI				



I

AB RCO(CHR1)nY [R = (un)substituted tricyclic heteroaryl; R1 = H, hydrocarbonyl; Y = (un)substituted 4-piperidinyl, 1-piperazinyl, 4-benzyl-1-piperidinyl; n = 2-10] were prepared as monoamine reuptake and cholinesterase inhibitors. Thus, title compound I had IC50 of 0.0783 and 0.00879μM against reuptake of norepinephrine and serotonin by rat synaptosomal membrane preparation in vitro.

IC ICM C07D209-56  
ICS A61K031-445; A61K031-495; C07D209-86; C07D471-06; C07D487-06; C07D223-18; C07D455-04; C07D401-06; C07D273-06; C07D307-91

ICI C07D471-06, C07D221-00, C07D209-00; C07D487-06, C07D223-00, C07D209-00; C07D471-06, C07D223-00, C07D221-00

CC 27-21 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 157647-24-0P 157647-25-1P 157647-26-2P  
157647-27-3P 157647-28-4P 157647-29-5P  
157647-30-8P 157647-31-9P 157647-32-0P  
157647-33-1P 157647-34-2P 157647-35-3P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as psychoanaleptic agent)

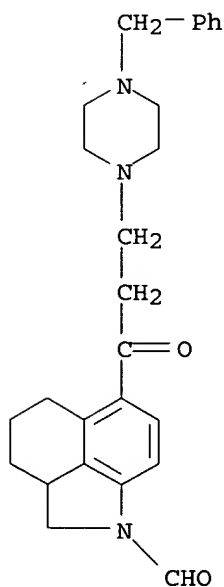
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 157647-38-6P 157647-42-2P 157647-43-3P  
 157647-45-5P 157647-46-6P 157647-47-7P  
 157647-48-8P 157647-50-2P 157647-52-4P  
 157647-54-6P 157647-56-8P 157647-58-0P  
 157647-59-1P 157647-60-4P 157647-61-5P  
 157647-62-6P 157647-64-8P 157647-67-1P  
 157647-69-3P 157647-70-6P 157647-71-7P  
 157647-75-1P 157647-77-3P 157647-80-8P  
 157647-81-9P 157647-88-6P 157647-89-7P  
 157647-90-0P 157647-91-1P 157647-92-2P  
 157647-93-3P 157647-94-4P 157647-95-5P  
 157647-96-6P 157647-97-7P 157647-98-8P  
 157647-99-9P 157648-00-5P 157648-01-6P  
 157648-02-7P 157648-03-8P 157648-04-9P  
 157648-05-0P 157648-06-1P 157648-07-2P

157648-08-3P 157648-09-4P 157648-10-7P  
 157648-11-8P 157648-12-9P 157648-13-0P  
 157648-14-1P 157648-15-2P 157648-16-3P  
 157648-17-4P 157648-18-5P 157648-19-6P  
 157648-20-9P 157648-21-0P 157648-22-1P  
 157648-23-2P 157648-25-4P 157648-26-5P  
 157648-27-6P 157648-28-7P 157648-29-8P  
 157648-30-1P 157648-31-2P 157648-32-3P  
 157648-33-4P 157648-34-5P 157648-35-6P  
 157648-36-7P 157648-37-8P 157648-38-9P  
 157648-39-0P 157648-40-3P 157648-41-4P  
 157648-42-5P 157648-43-6P 157648-44-7P  
 157648-45-8P 157648-46-9P 157648-47-0P  
 157648-48-1P 157648-49-2P 157648-50-5P  
 157648-51-6P 157648-52-7P 157648-53-8P  
 157648-54-9P 157648-55-0P 157648-56-1P  
 157648-57-2P 157648-58-3P 157648-59-4P  
 157648-60-7P 157648-61-8P 157648-62-9P  
 157648-63-0P 157648-65-2P 157648-67-4P  
 157648-68-5P 157648-69-6P 157648-70-9P  
 157648-71-0P 157648-73-2P 157648-94-7P  
 157648-96-9P 157648-98-1P 157648-99-2P  
 157649-00-8P 157649-01-9P 157649-02-0P  
 157649-03-1P 157649-04-2P 157649-05-3P  
 157649-06-4P 157649-07-5P 157649-08-6P  
 157649-09-7P 157649-10-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as psychoanaleptic agent)

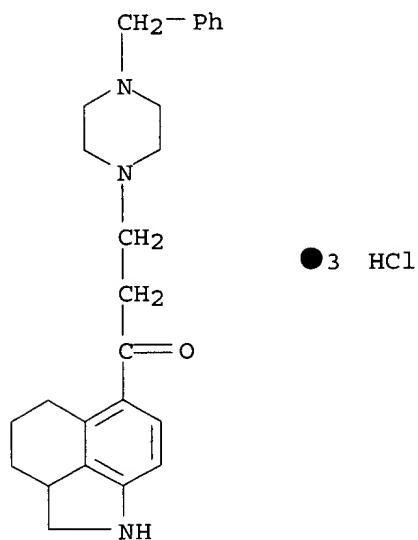
RN 157647-24-0 CAPLUS

CN Benz[cd]indole-1(2H)-carboxaldehyde, 2a,3,4,5-tetrahydro-6-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



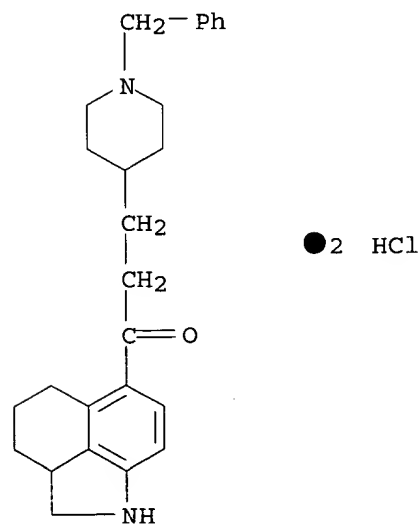
RN 157647-25-1 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[4-(phenylmethyl)-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



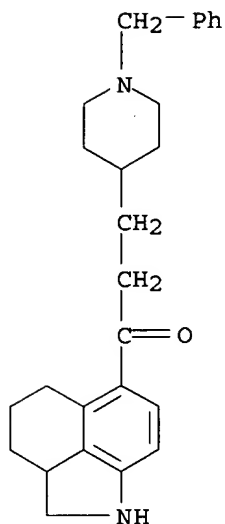
RN 157647-27-3 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



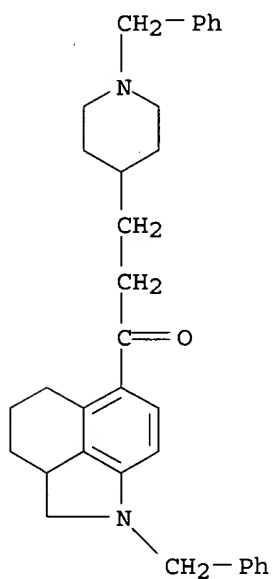
RN 157647-28-4 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 157647-30-8 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyloxy]- (9CI) (CA INDEX NAME)



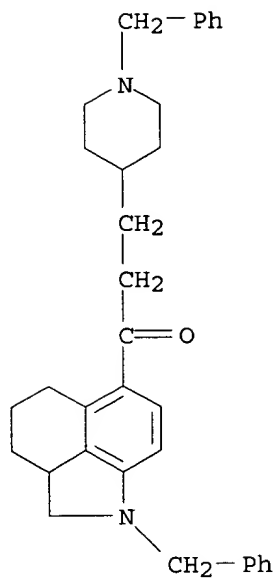
RN 157647-31-9 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(phenylmethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyloxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-30-8

CMF C33 H38 N2 O

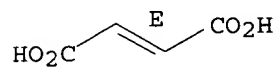


CM 2

CRN 110-17-8

CMF C4 H4 O4

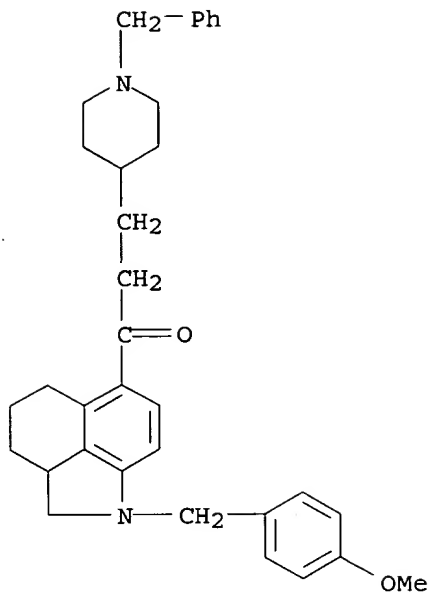
Double bond geometry as shown.



RN 157647-32-0 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-[(4-methoxyphenyl)methyl]benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

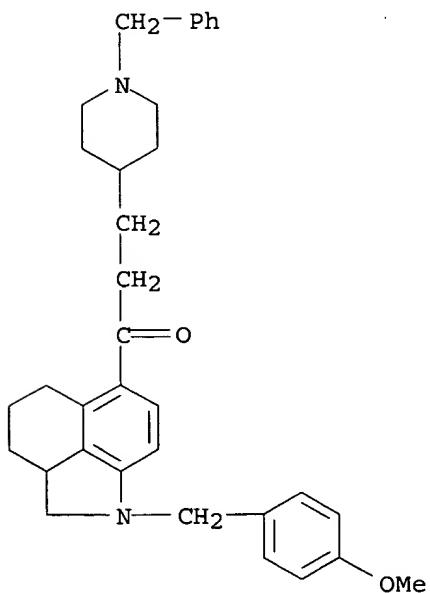




RN 157647-33-1 CAPLUS  
 CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-[(4-methoxyphenyl)methyl]benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

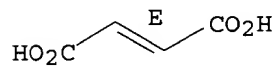
CRN 157647-32-0  
 CMF C34 H40 N2 O2



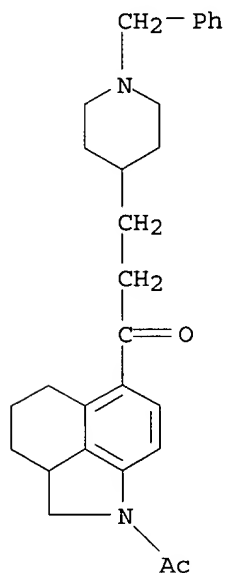
CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



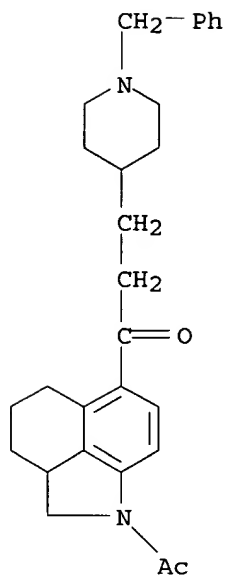
RN 157647-34-2 CAPLUS  
CN Benz[cd]indole, 1-acetyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 157647-35-3 CAPLUS  
CN Benz[cd]indole, 1-acetyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-34-2  
CMF C28 H34 N2 O2

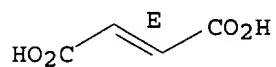


CM 2

CRN 110-17-8

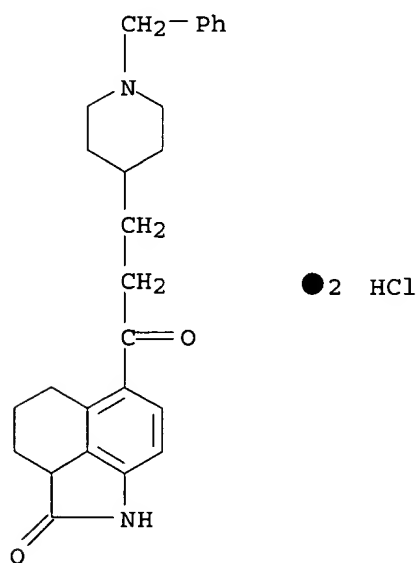
CMF C4 H4 O4

Double bond geometry as shown.

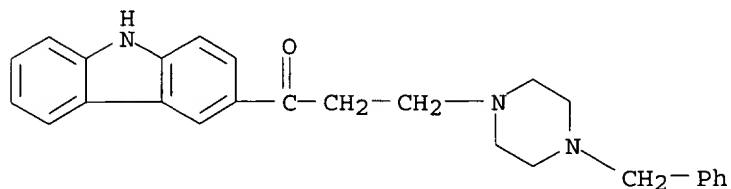


RN 157647-36-4 CAPLUS

CN Benz[cd]indol-2(1H)-one, 2a,3,4,5-tetrahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

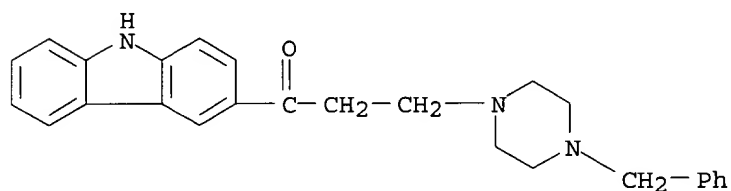


RN 157647-37-5 CAPLUS

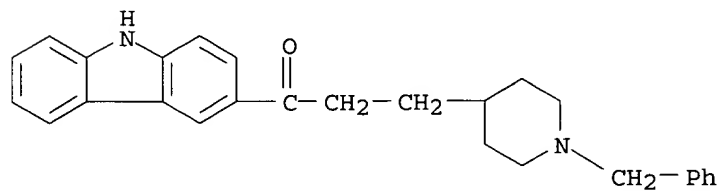
CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[4-(phenylmethyl)-1-piperazinyl]-,  
dihydrochloride (9CI) (CA INDEX NAME)

● 2 HCl

RN 157647-38-6 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[4-(phenylmethyl)-1-piperazinyl]-  
(9CI) (CA INDEX NAME)

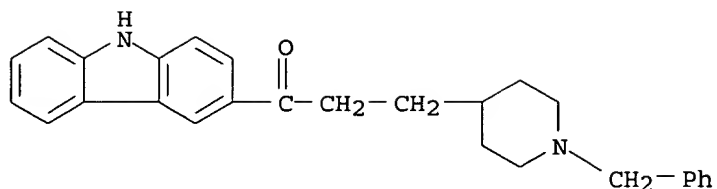
RN 157647-42-2 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-,  
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

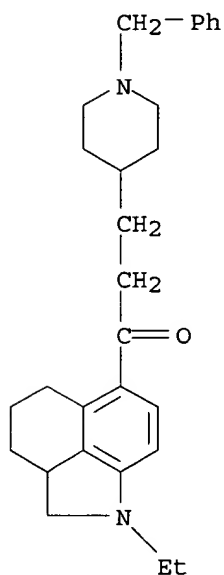
RN 157647-43-3 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-  
(9CI) (CA INDEX NAME)



RN 157647-45-5 CAPLUS

CN 1-Propanone, 1-(1-ethyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]- (9CI) (CA INDEX NAME)



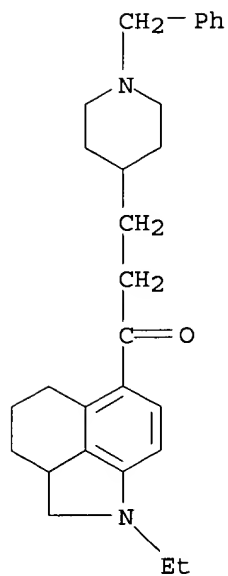
RN 157647-46-6 CAPLUS

CN 1-Propanone, 1-(1-ethyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]-, (2E)-2'-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-45-5

CMF C28 H36 N2 O

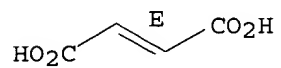


CM 2

CRN 110-17-8

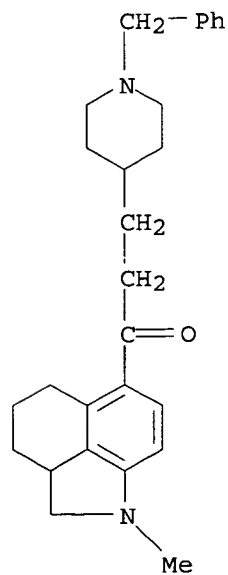
CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-47-7 CAPLUS

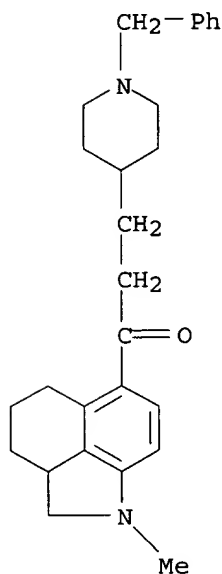
CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-methylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]- (9CI) (CA INDEX NAME)



RN 157647-48-8 CAPLUS  
CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-methylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

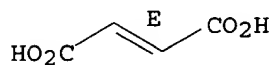
CRN 157647-47-7  
CMF C27 H34 N2 O



CM 2

CRN 110-17-8  
CMF C4 H4 O4

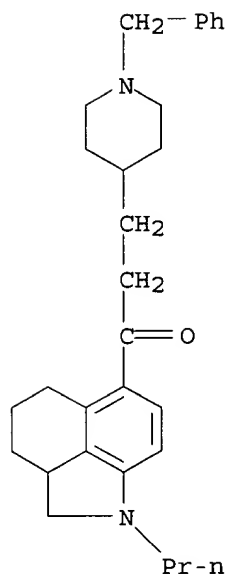
Double bond geometry as shown.



RN 157647-50-2 CAPLUS  
CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-propylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-49-9  
CMF C29 H38 N2 O

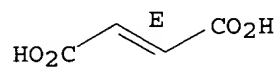


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-52-4 CAPLUS

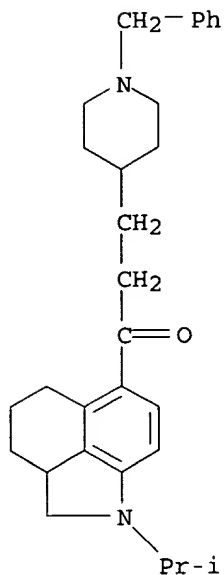
CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(1-methylethyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-51-3

CMF C29 H38 N2 O



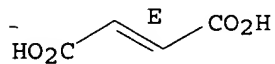


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



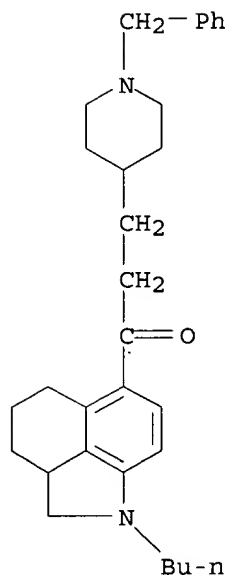
RN 157647-54-6 CAPLUS

CN 1-Propanone, 1-(1-butyl-1,2,2a,3,4,5-hexahydrobenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-53-5

CMF C30 H40 N2 O

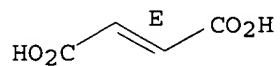


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



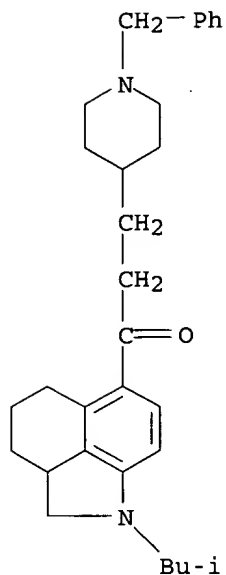
RN 157647-56-8 CAPLUS

CN 1-Propanone, 1-[1,2,2a,3,4,5-hexahydro-1-(2-methylpropyl)benz[cd]indol-6-yl]-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-55-7

CMF C30 H40 N2 O

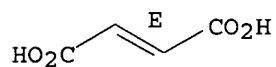


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



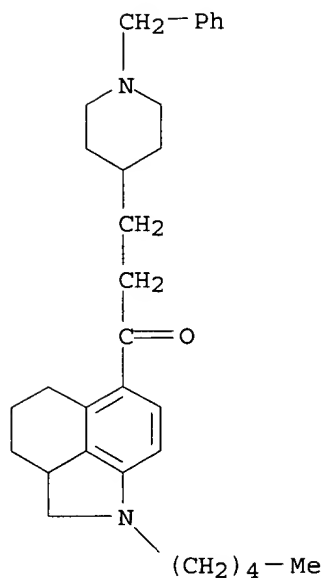
RN 157647-58-0 CAPLUS

CN 1-Propanone, 1-(1,2,2a,3,4,5-hexahydro-1-pentylbenz[cd]indol-6-yl)-3-[1-(phenylmethyl)-4-piperidiny]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-57-9

CMF C31 H42 N2 O

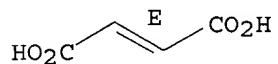


CM 2

CRN 110-17-8

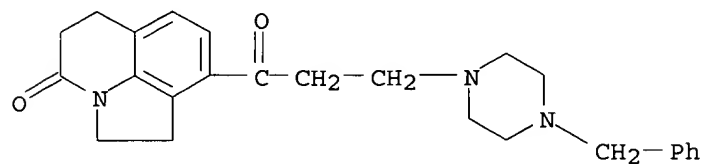
CMF C4 H4 O4

Double bond geometry as shown.



RN 157647-59-1 CAPLUS

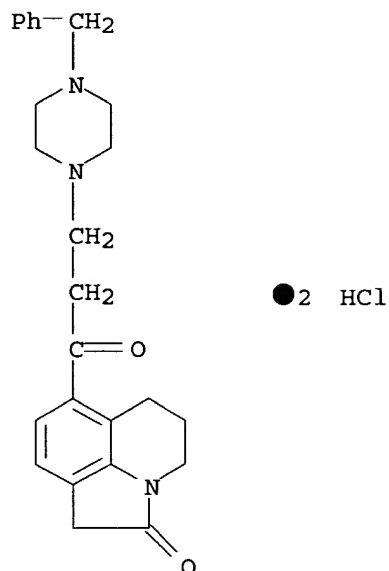
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



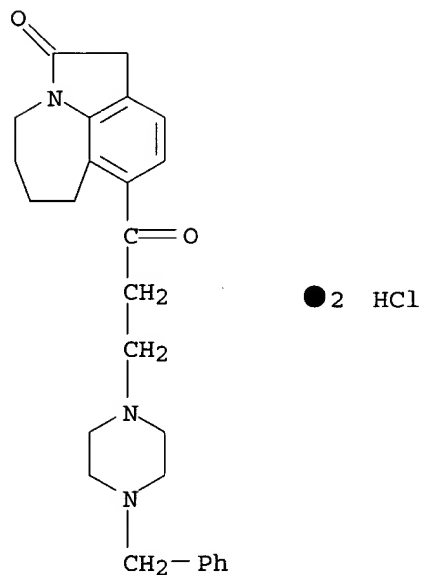
● 2 HCl

RN 157647-60-4 CAPLUS

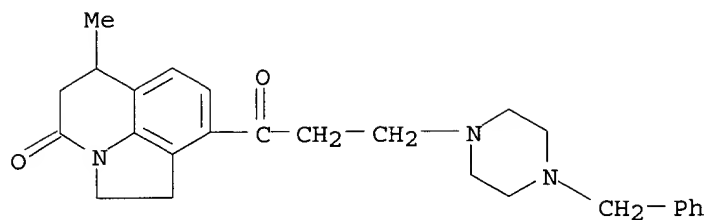
CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-61-5 CAPLUS  
 CN Azepino[3,2,1-hi]indol-2(1H)-one, 4,5,6,7-tetrahydro-8-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

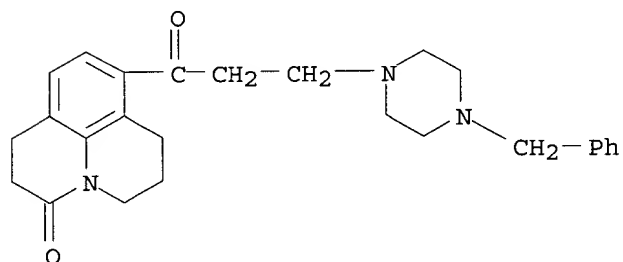


RN 157647-62-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-6-methyl-9-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



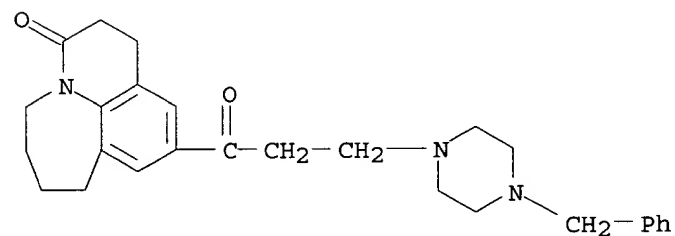
●2 HCl

RN 157647-64-8 CAPLUS  
 CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

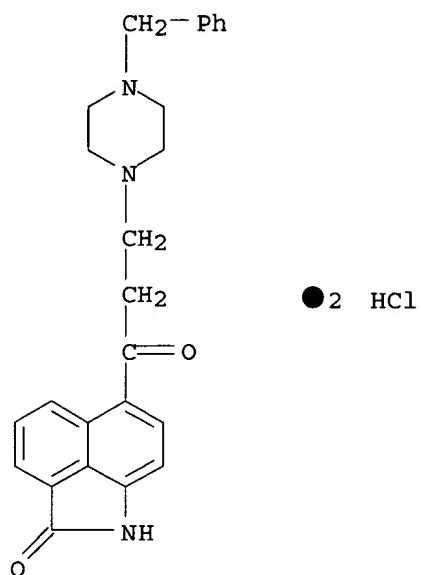
RN 157647-67-1 CAPLUS  
 CN 3H-Pyrido[3,2,1-*jk*][1]benzazepin-3-one, 1,2,5,6,7,8-hexahydro-10-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

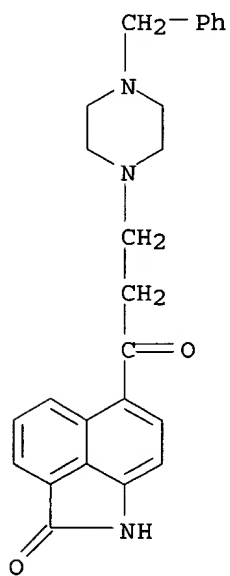
RN 157647-69-3 CAPLUS  
 CN Benz[*cd*]indol-2(1H)-one, 6-[1-oxo-3-[4-(phenylmethyl)-1-

piperazinylpropyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 157647-70-6 CAPLUS

CN Benz[cd]indol-2(1H)-one, 6-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



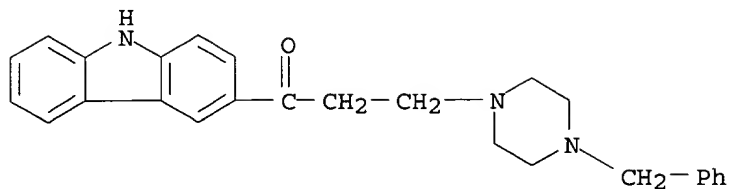
RN 157647-71-7 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[4-(phenylmethyl)-1-piperazinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-38-6

CMF C26 H27 N3 O

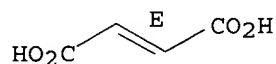


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



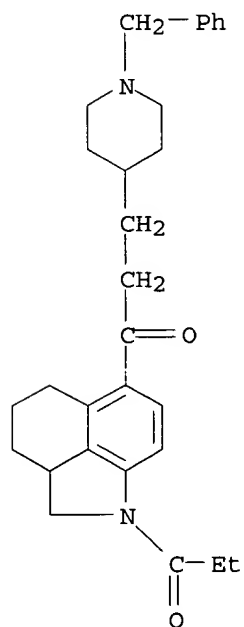
RN 157647-75-1 CAPLUS

CN Benz[cd]indole, 1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-1-(1-oxopropyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-74-0

CMF C29 H36 N2 O2



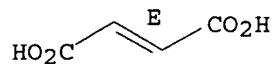


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



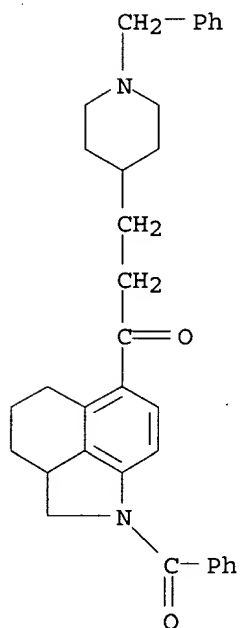
RN 157647-77-3 CAPLUS

CN Benz[cd]indole, 1-benzoyl-1,2,2a,3,4,5-hexahydro-6-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, (2E)-2-butenedioate (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 157647-76-2

CMF C33 H36 N2 O2

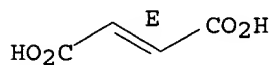


CM 2

CRN 110-17-8

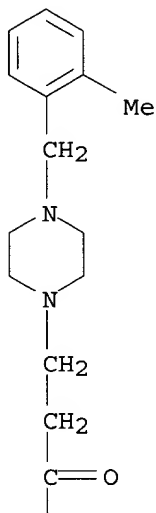
CMF C4 H4 O4

Double bond geometry as shown.

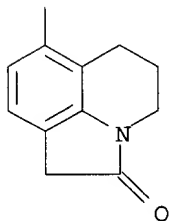


RN 157647-80-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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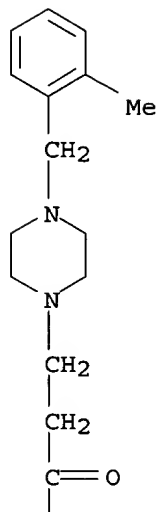
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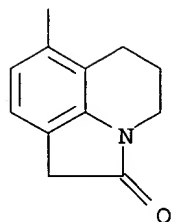
●2 HCl

RN 157647-81-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

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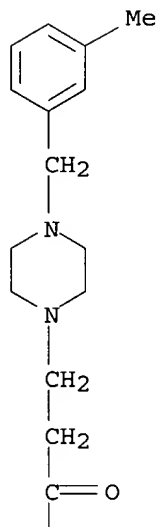


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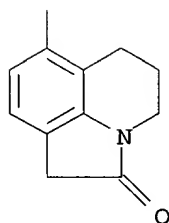


RN 157647-88-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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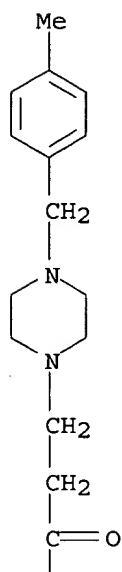
PAGE 2-A



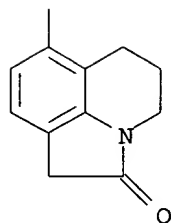
● 2 HCl

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 (CA INDEX NAME)

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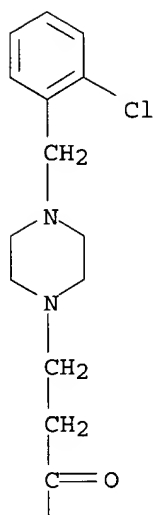
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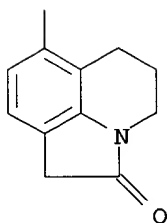
● 2 HCl

RN 157647-90-0 CAPLUS  
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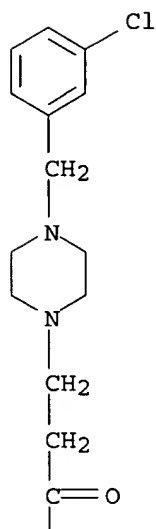
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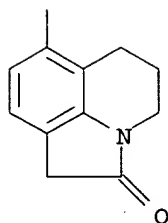
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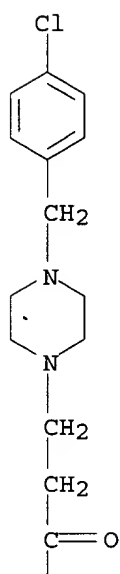
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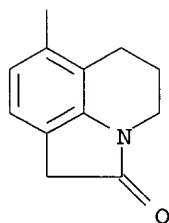
● 2 HCl

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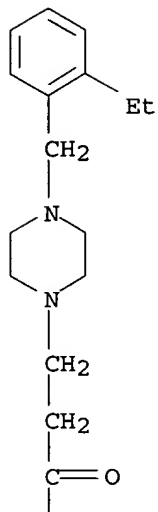


● 2 HCl

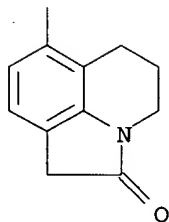
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CN	4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[4-[(2-ethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)	



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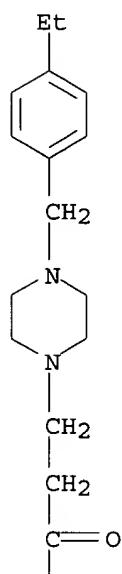
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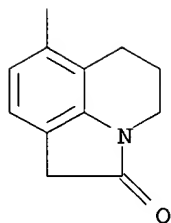
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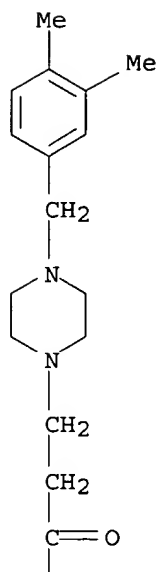
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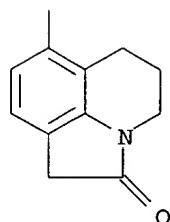
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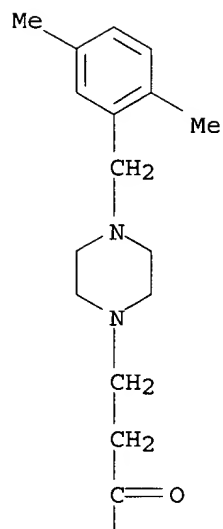
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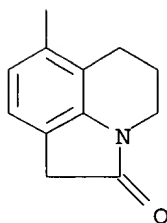
● 2 HCl

RN 157647-96-6 CAPLUS  
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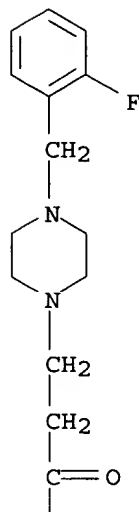
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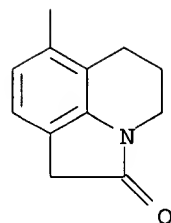
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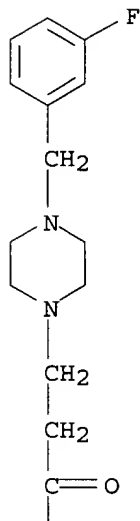
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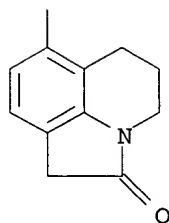
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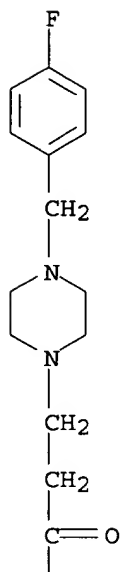
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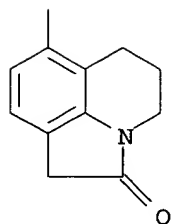
● 2 HCl

RN 157647-99-9 CAPLUS  
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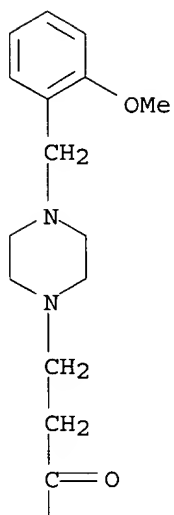
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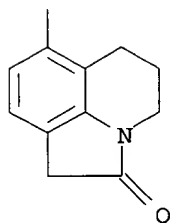
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 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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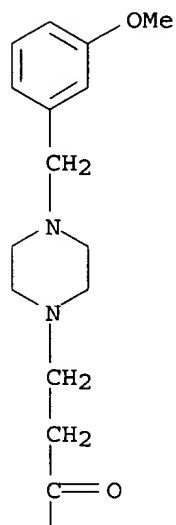


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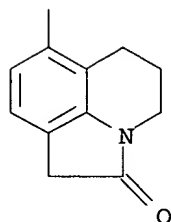
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 (CA INDEX NAME)



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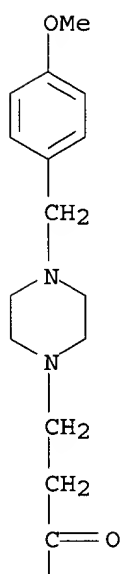
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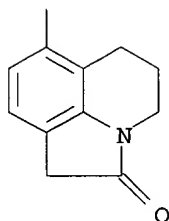
● 2 HCl

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 (CA INDEX NAME)

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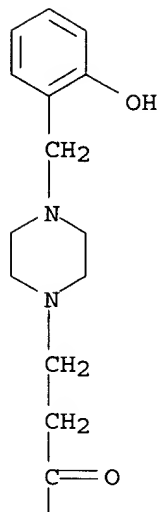
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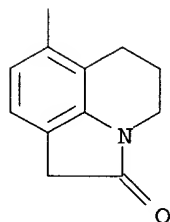
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 (CA INDEX NAME)

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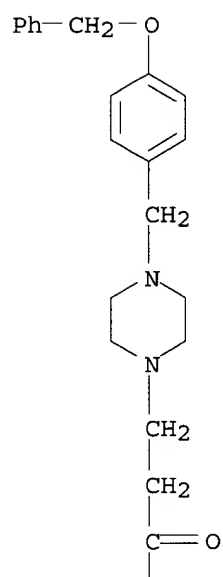
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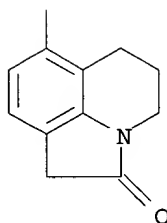
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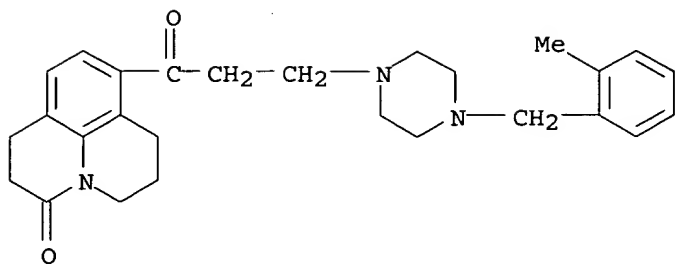
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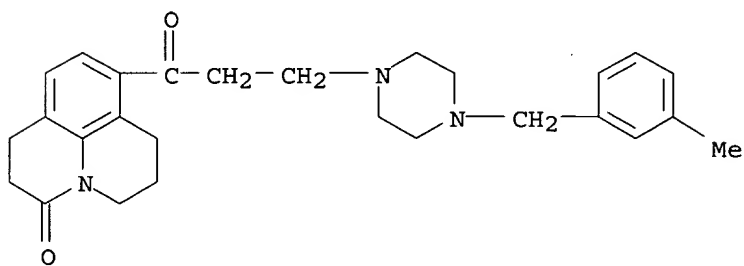


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 (CA INDEX NAME)



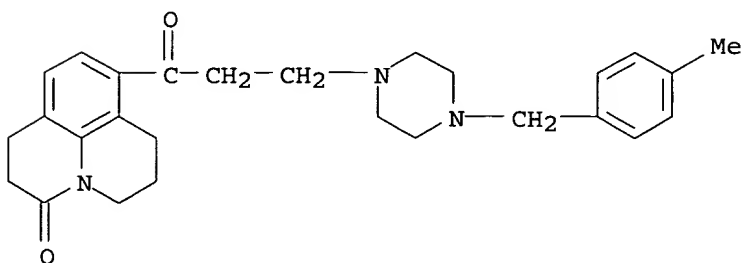
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 (CA INDEX NAME)



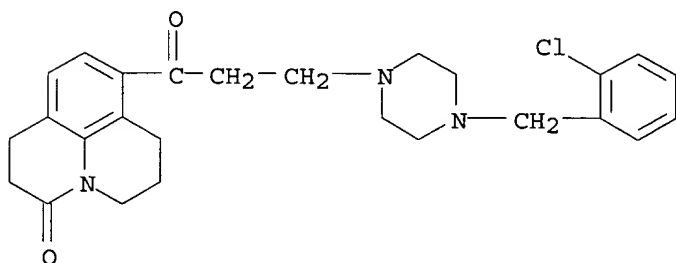
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 (CA INDEX NAME)



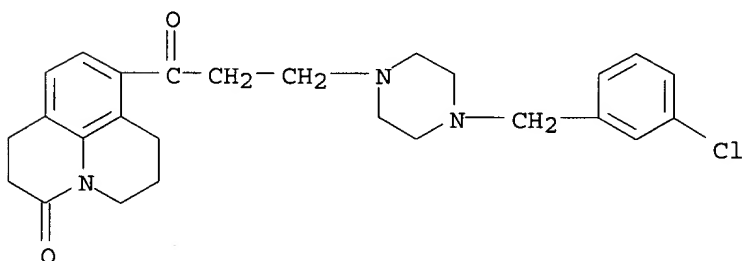
● 2 HCl

RN 157648-08-3 CAPLUS  
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



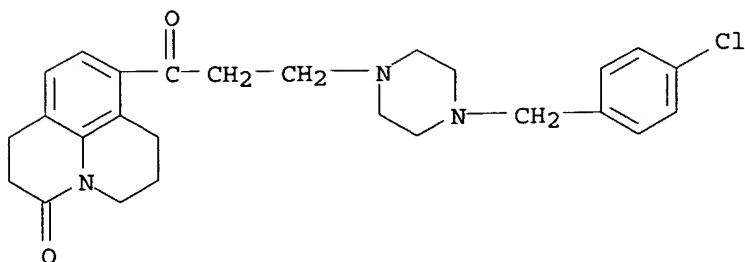
●2 HCl

RN 157648-09-4 CAPLUS  
CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



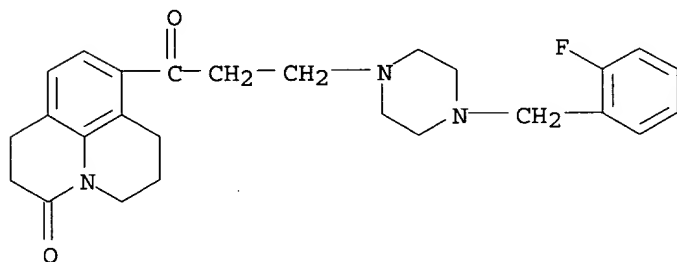
●2 HCl

RN 157648-10-7 CAPLUS  
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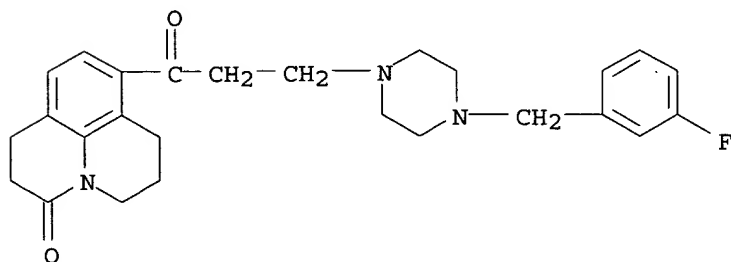
● 2 HCl

RN 157648-11-8 CAPLUS  
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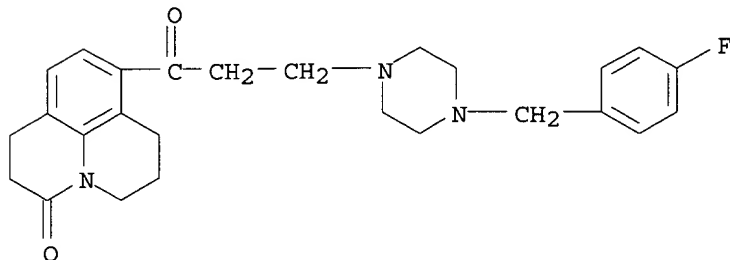
● 2 HCl

RN 157648-12-9 CAPLUS  
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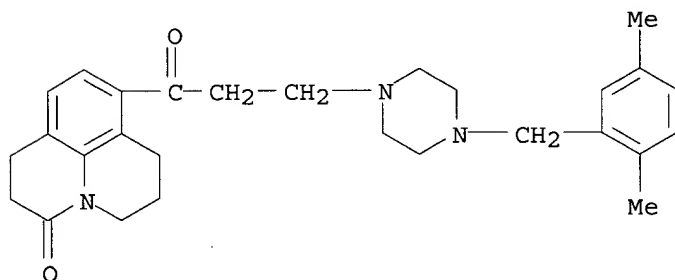
● 2 HCl

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CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 10-[3-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-2,3,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

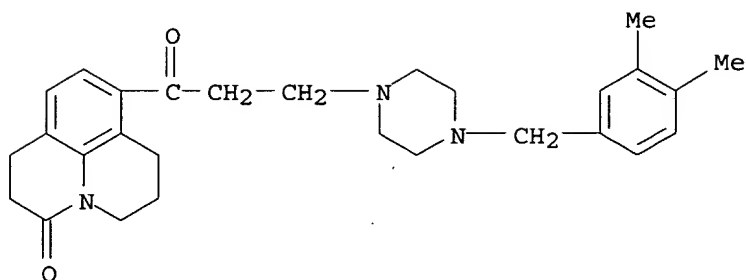
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● 2 HCl

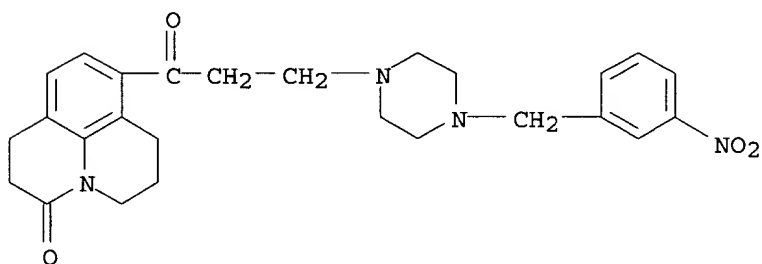
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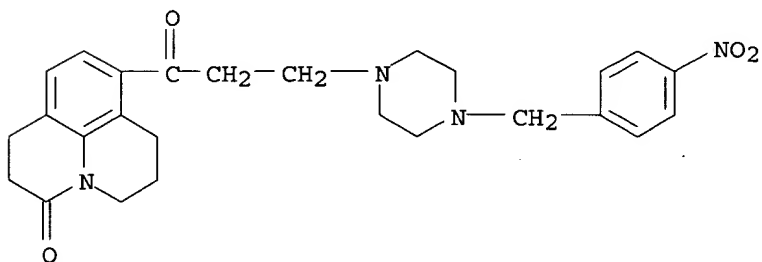
●2 HCl

RN 157648-16-3 CAPLUS  
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 (CA INDEX NAME)



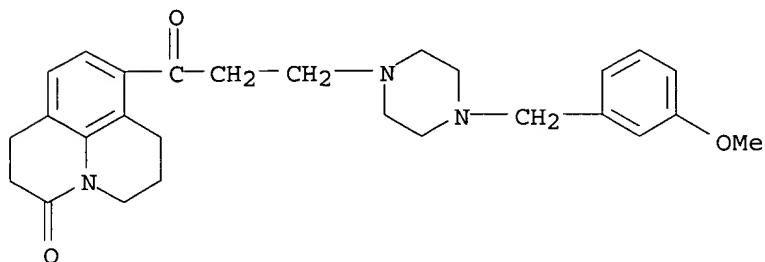
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RN 157648-17-4 CAPLUS  
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 (CA INDEX NAME)



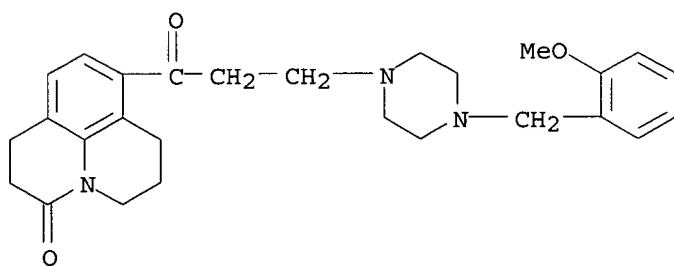
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 (CA INDEX NAME)



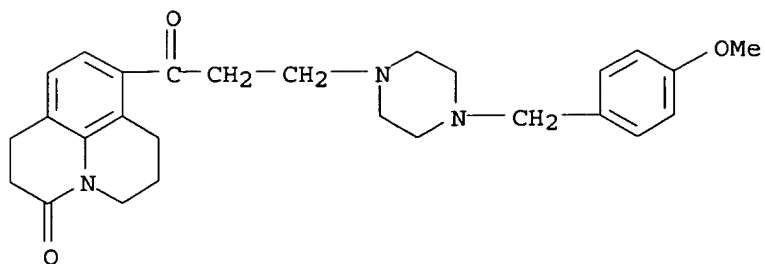
●2 HCl

RN 157648-19-6 CAPLUS  
 CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)



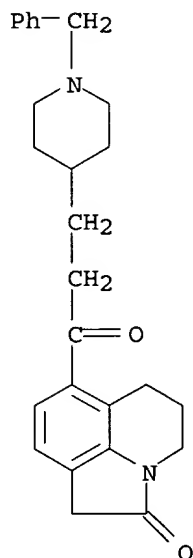
●2 HCl

RN 157648-20-9 CAPLUS  
 CN 1H,5H-Benzo[*ij*]quinolizin-5-one, 2,3,6,7-tetrahydro-10-[3-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)



● 2 HCl

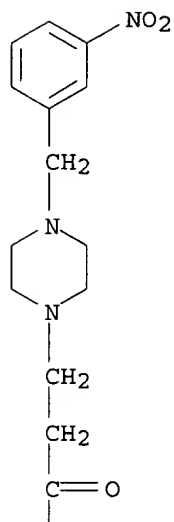
RN 157648-21-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[1-(phenylmethyl)-4-piperidiny]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



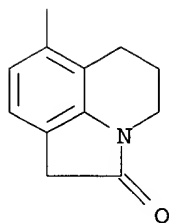
● HCl

RN 157648-22-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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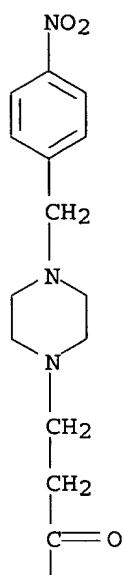
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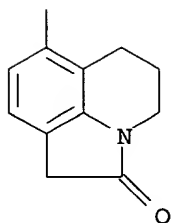
● 2 HCl

RN 157648-23-2 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[4-[(4-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI)  
 (CA INDEX NAME)

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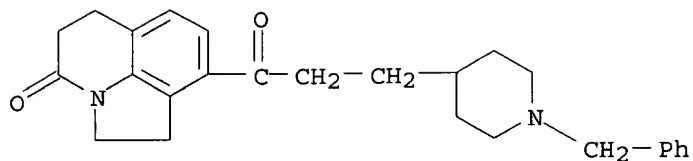


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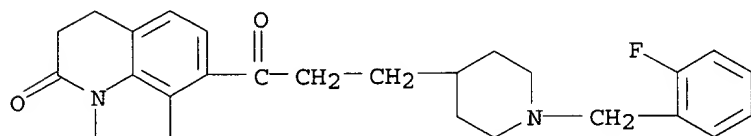
● 2 HCl

RN 157648-25-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



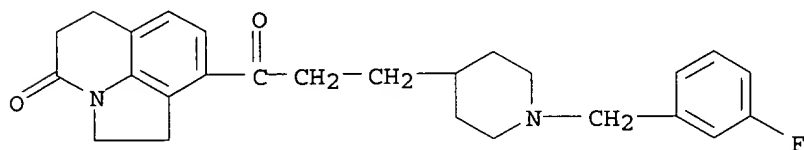
● HCl

RN 157648-26-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



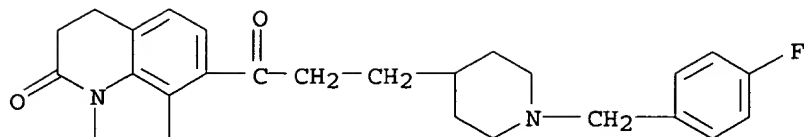
● HCl

RN 157648-27-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



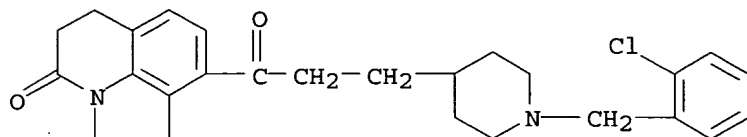
● HCl

RN 157648-28-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



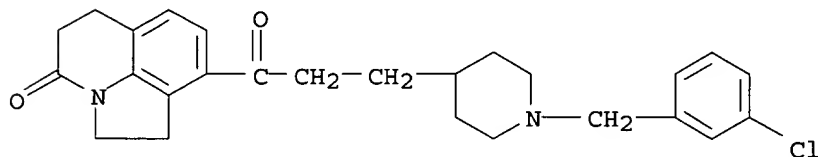
● HCl

RN 157648-29-8 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



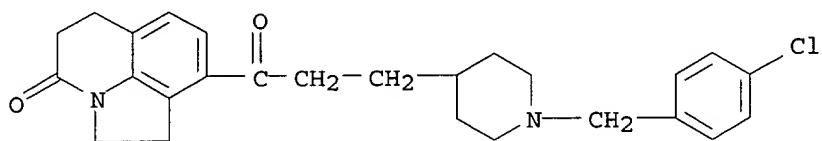
● HCl

RN	157648-30-1	CAPLUS
CN	4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)	



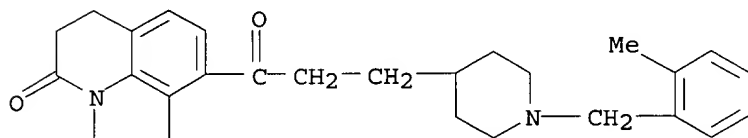
● HCl

RN	157648-31-2	CAPLUS
CN	4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)	
	(CA INDEX NAME)	



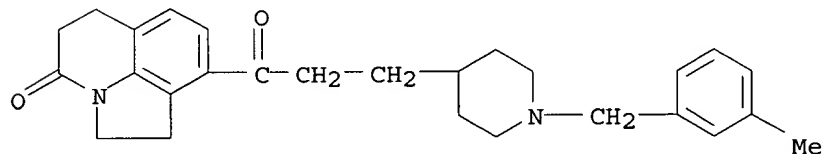
● HCl

RN 157648-32-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

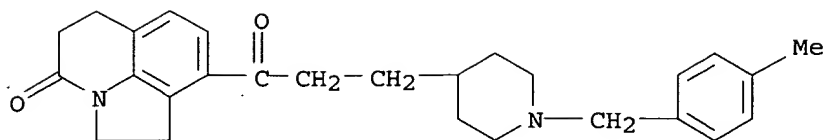
RN 157648-33-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

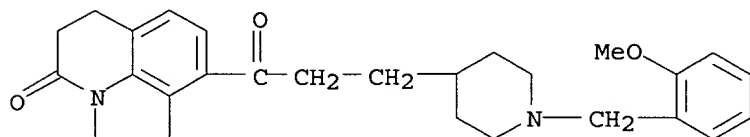
RN 157648-34-5 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)





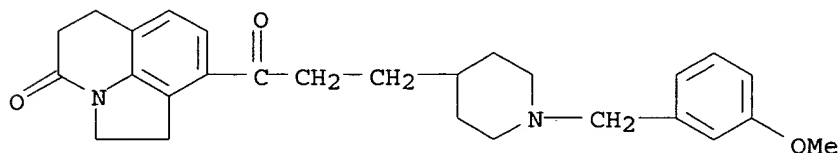
● HCl

RN 157648-35-6 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



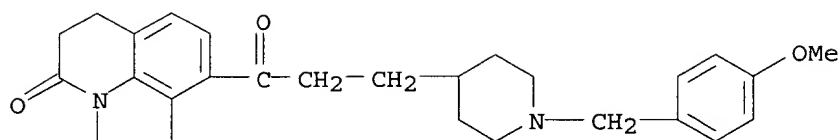
● HCl

RN 157648-36-7 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



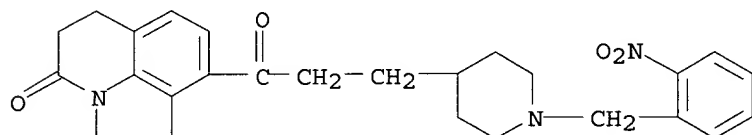
● HCl

RN 157648-37-8 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



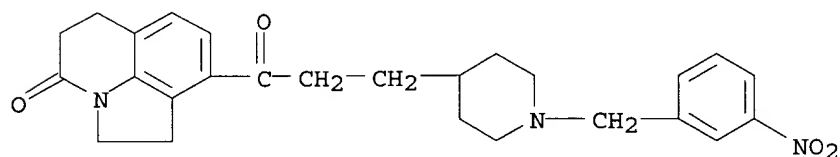
● HCl

RN 157648-38-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



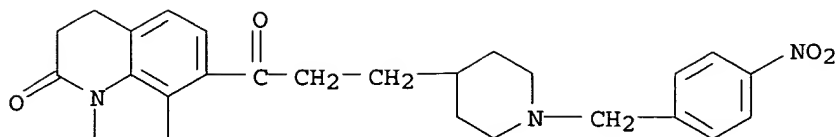
● HCl

RN 157648-39-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



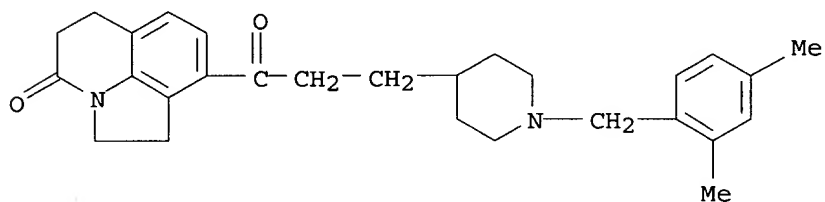
● HCl

RN 157648-40-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



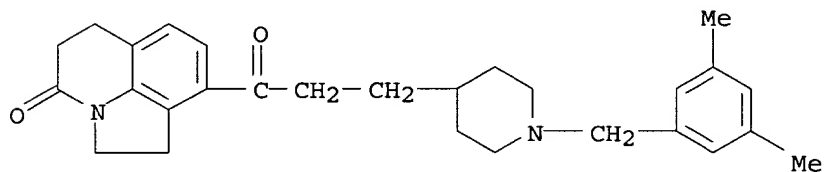
● HCl

RN 157648-41-4 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,4-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



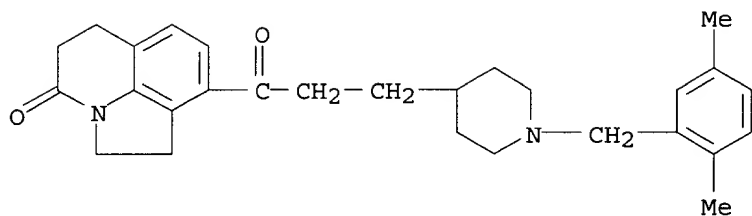
● HCl

RN 157648-42-5 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



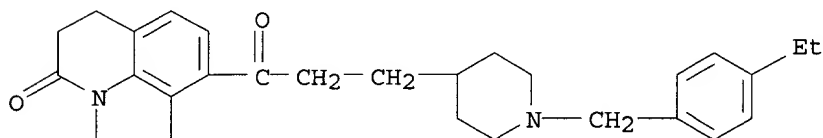
● HCl

RN 157648-43-6 CAPLUS  
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,5-dimethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



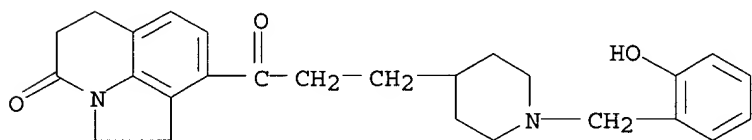
● HCl

RN 157648-44-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



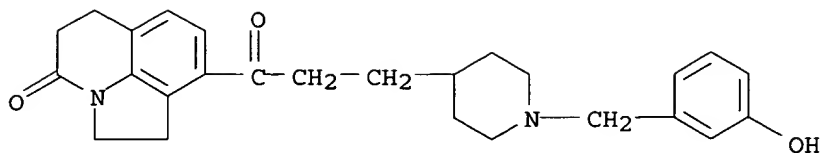
● HCl

RN 157648-45-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



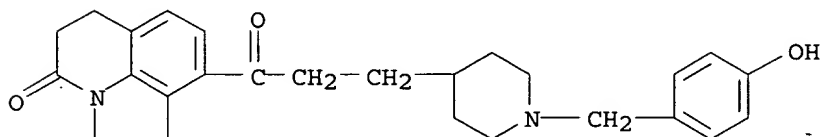
● HCl

RN 157648-46-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride  
 (9CI) (CA INDEX NAME)



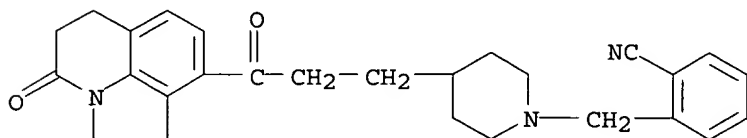
● HCl

RN 157648-47-0 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



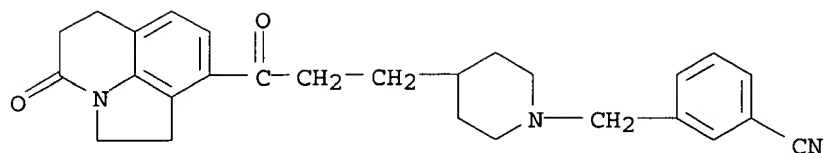
● HCl

RN 157648-48-1 CAPLUS  
 CN Benzonitrile, 2-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



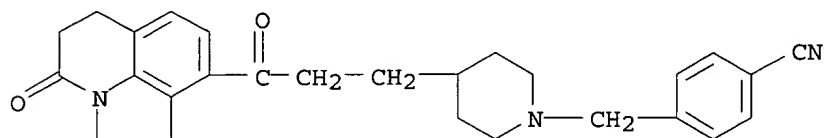
● HCl

RN 157648-49-2 CAPLUS  
 CN Benzonitrile, 3-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



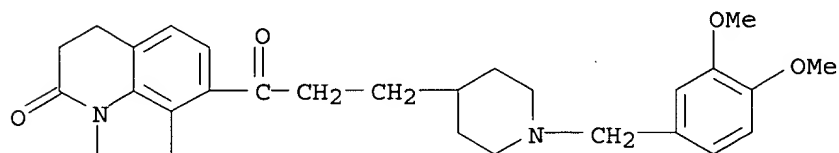
● HCl

RN 157648-50-5 CAPLUS  
 CN Benzonitrile, 4-[[4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-1-piperidinyl]methyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



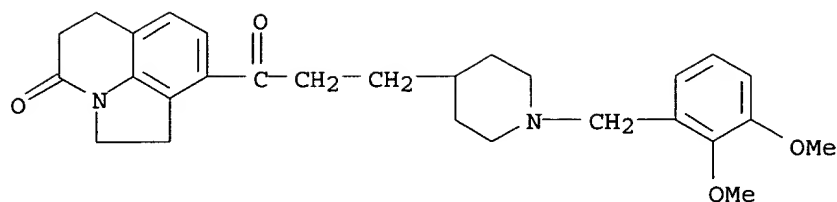
● HCl

RN 157648-51-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



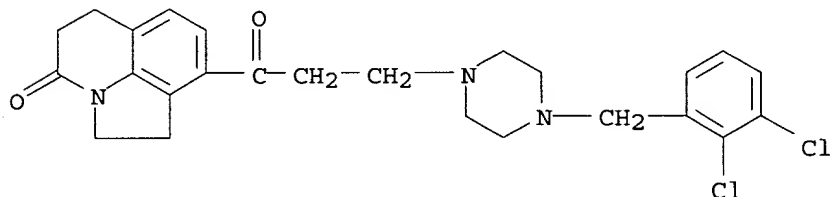
● HCl

RN 157648-52-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[1-[(2,3-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
 (CA INDEX NAME)



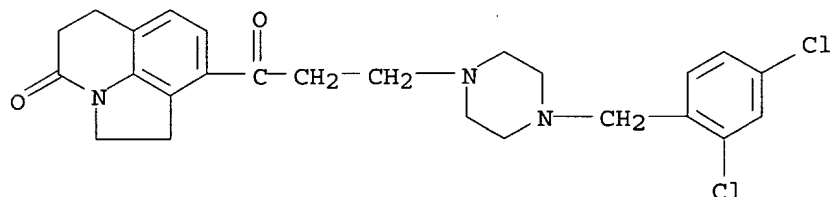
● HCl

RN 157648-53-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,3-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



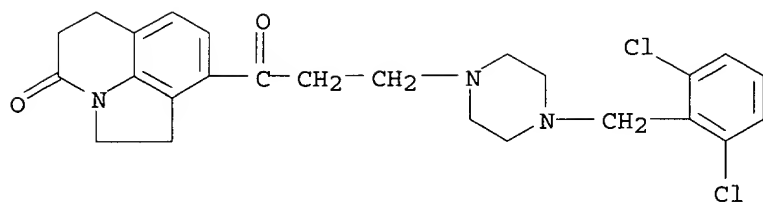
●2 HCl

RN 157648-54-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(2,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



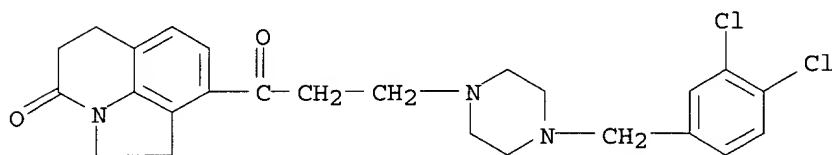
●2 HCl

RN 157648-55-0 CAPLUS  
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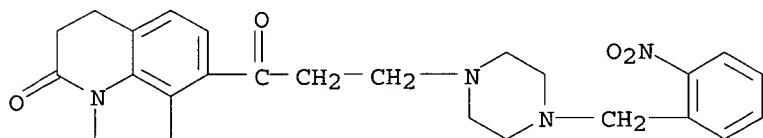
● 2 HCl

RN 157648-56-1 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

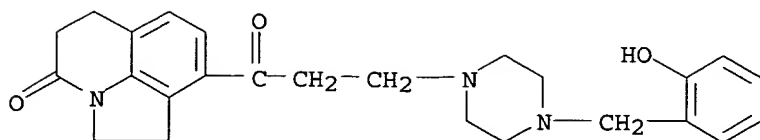
RN 157648-57-2 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-nitrophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157648-58-3 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(2-hydroxyphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

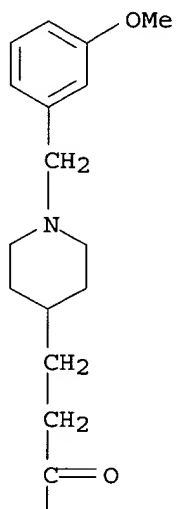




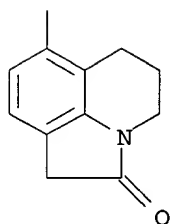
● 2 HCl

RN 157648-59-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidiny]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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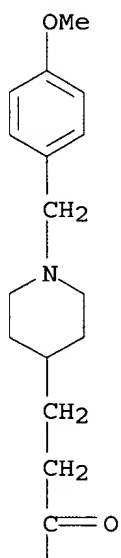
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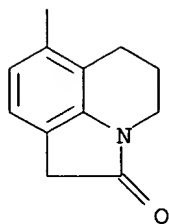
● HCl

RN 157648-60-7 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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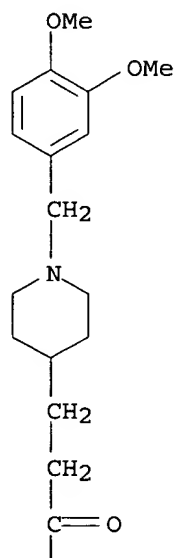
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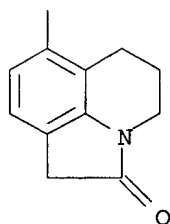
● HCl

RN 157648-61-8 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(3,4-dimethoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

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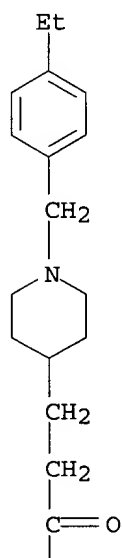
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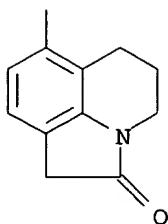
● HCl

RN 157648-62-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 7-[3-[1-[(4-ethylphenyl)methyl]-4-piperidinyl]-1-oxopropyl]-5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



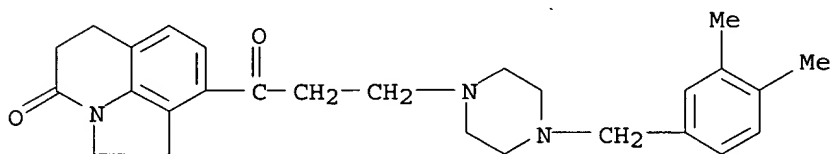
PAGE 2-A



● HCl

RN 157648-63-0 CAPLUS

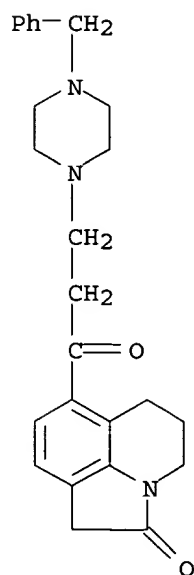
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

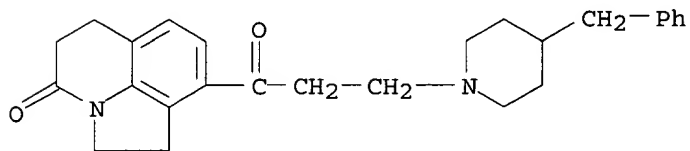
RN 157648-65-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-2(1H)-one, 5,6-dihydro-7-[1-oxo-3-[4-(phenylmethyl)-1-piperazinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



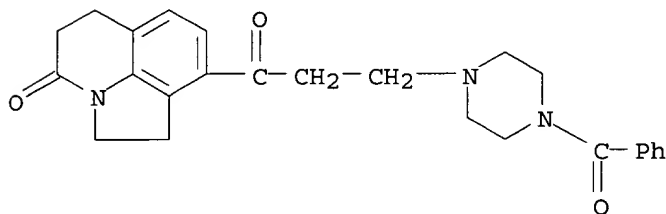
● HCl

RN 157648-67-4 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[4-(phenylmethyl)-1-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



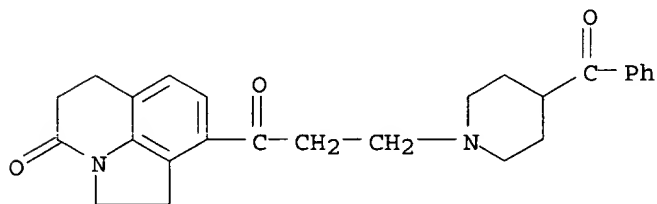
● HCl

RN 157648-68-5 CAPLUS  
 CN Piperazine, 1-benzoyl-4-[3-oxo-3-(1,2,5,6-tetrahydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-9-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

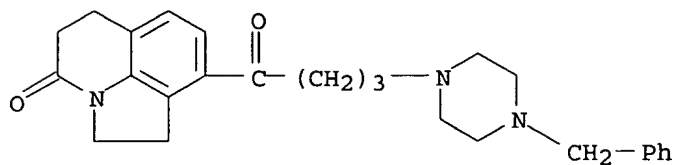
RN 157648-69-6 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-(4-benzoyl-1-piperidinyl)-1-oxopropyl]-1,2,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 157648-70-9 CAPLUS  
 CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-[4-

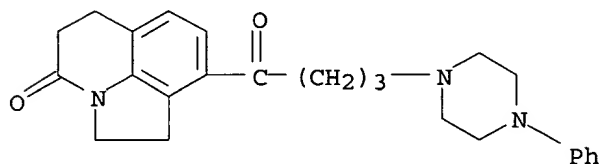
(phenylmethyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 157648-71-0 CAPLUS

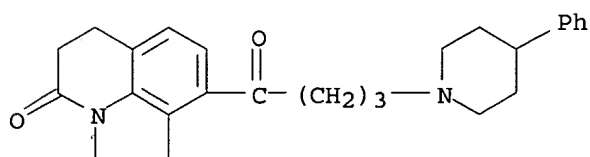
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperazinyl)butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 157648-73-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-4-(4-phenyl-1-piperidinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

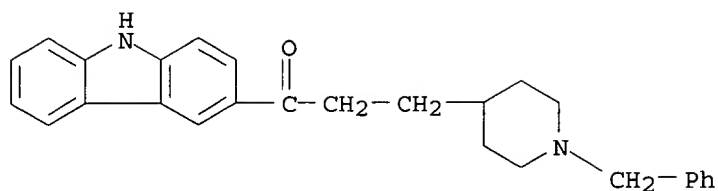
RN 157648-94-7 CAPLUS

CN 1-Propanone, 1-(9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 157647-43-3

CMF C27 H28 N2 O

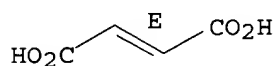


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



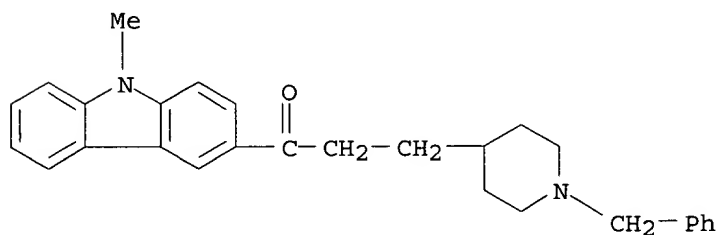
RN 157648-96-9 CAPLUS

CN 1-Propanone, 1-(9-methyl-9H-carbazol-3-yl)-3-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 157648-95-8

CMF C28 H30 N2 O

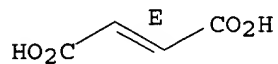


CM 2

CRN 110-17-8

CMF C4 H4 O4

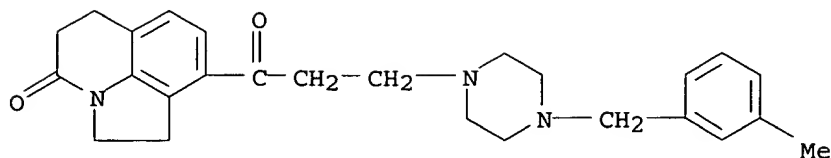
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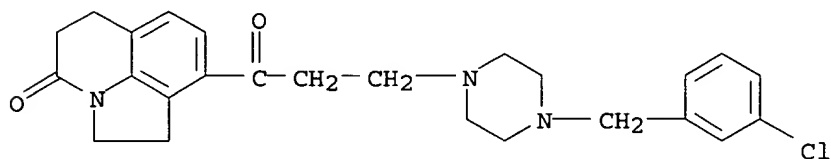
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[4-[(3-methylphenyl)methyl]-1-piperazinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)





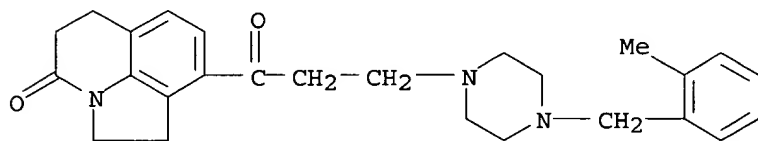
RN 157648-99-2 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



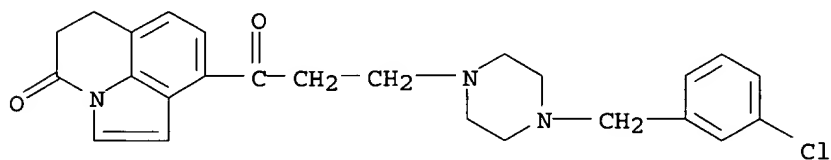
RN 157649-00-8 CAPLUS

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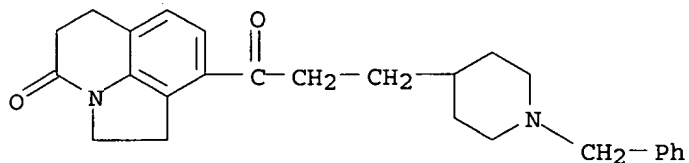
RN 157649-01-9 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 9-[3-[4-[(3-chlorophenyl)methyl]-1-piperazinyl]-1-oxopropyl]-5,6-dihydro- (9CI) (CA INDEX NAME)



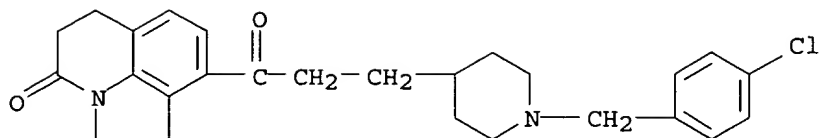
RN 157649-02-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



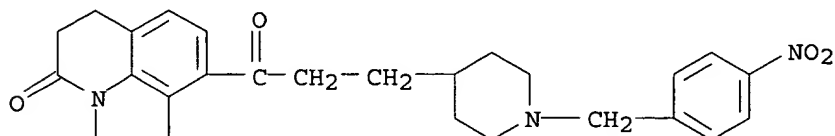
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Page 160



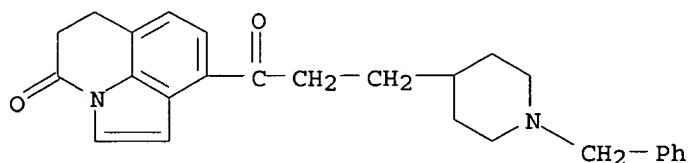
RN 157649-08-6 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1,2,5,6-tetrahydro-9-[3-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



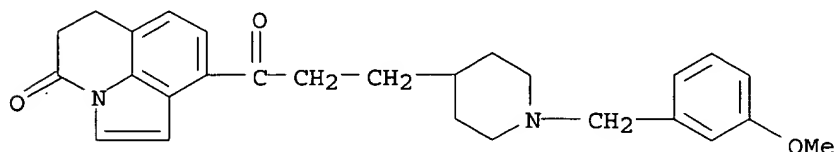
RN 157649-09-7 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 5,6-dihydro-9-[1-oxo-3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 157649-10-0 CAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 5,6-dihydro-9-[3-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



L52 ANSWER 22 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2005:227510 USPATFULL

TITLE: Preventives/remedies for urinary disturbance

INVENTOR(S): Ishihara, Yuji, Itami-shi, JAPAN

Ishichi, Yuji, Sakai-shi, JAPAN

Doi, Takayuki, Osaka-shi, JAPAN

Nagabukuro, Hiroshi, Osaka-shi, JAPAN

Kanzaki, Naoyuki, Ibaraki-shi, JAPAN

Ikeuchi, Motoki, Nishinomiya-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005197362	A1	20050908
APPLICATION INFO.:	US 2004-935646	A1	20040908 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 500217, PENDING A 371 of International Ser. No. WO 2002-JP13653, filed on 26 Dec 2002		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2001-402064	20011228
	JP 2002-72027	20020315
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021, US	
NUMBER OF CLAIMS:	43	
EXEMPLARY CLAIM:	1	
LINE COUNT:	13787	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Preventives/remedies for voiding disturbance containing a compound having both of an acetylcholinesterase inhibitory action and an  $\alpha 1$  antagonistic action which exhibits an excellent effect of improving the urinary function of the bladder (i.e., effects of improving urine flow rate and voiding efficiency) without affecting the urinary pressure or the blood pressure.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L52 ANSWER 23 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2004:152235 USPATFULL

TITLE: Agents for improving excretory potency of urinary bladder

INVENTOR(S): **Ishihara, Yuji**, Itami-shi, JAPAN  
**Doi, Takayuki**, Izumi-shi, JAPAN  
**Nagabukuro, Hiroshi**, Osaka-shi, JAPAN  
**Ishichi, Yuji**, Ibaraki-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116457	A1	20040617
APPLICATION INFO.:	US 2003-726486	A1	20031204 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-787288, filed on 15 Mar 2001, ABANDONED A 371 of International Ser. No. WO 1999-JP5367, filed on 30 Sep 1999, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-276677	19980930
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3989	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Agents for improving excretory potency of the urinary bladder which comprises an amine compound of non-carbamate-type having an

acetylcholinesterase-inhibiting action.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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# Search history

Truong 09/960477

12/27/2005

=> d his full

(FILE 'HOME' ENTERED AT 14:28:03 ON 27 DEC 2005)

FILE 'CAPLUS' ENTERED AT 14:28:11 ON 27 DEC 2005

E US2001-960477/APPS

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D SCA TI  
SEL RN L1

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D SCA

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L4 50 SEA SSS SAM L3

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FILE 'REGISTRY' ENTERED AT 15:02:14 ON 27 DEC 2005

L5 STRUCTURE UPLOADED  
L6 9 SEA SSS SAM L5  
D SCA

FILE 'STNGUIDE' ENTERED AT 15:06:59 ON 27 DEC 2005

FILE 'REGISTRY' ENTERED AT 15:09:48 ON 27 DEC 2005

L7 STRUCTURE UPLOADED  
L8 7 SEA SSS SAM L7  
D SCA

FILE 'STNGUIDE' ENTERED AT 15:11:59 ON 27 DEC 2005

FILE 'REGISTRY' ENTERED AT 15:12:38 ON 27 DEC 2005

L9 STRUCTURE UPLOADED

L10           5 SEA SSS SAM L9  
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L17       1 SEA ABB=ON PLU=ON BENZENE/CN  
              D RSD  
L18       948578 SEA ABB=ON PLU=ON L15 AND 46.150.18/RID  
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L23       12 SEA SUB=L20 SSS SAM L22  
              D SCA  
L24       345 SEA SUB=L20 SSS FUL L22  
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L27       ANALYZE PLU=ON L24 1- LC :       10 TERMS  
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FILE 'USPATFULL, USPAT2' ENTERED AT 15:56:43 ON 27 DEC 2005  
L28       10 SEA ABB=ON PLU=ON L24

FILE 'PROUSDDR' ENTERED AT 15:57:00 ON 27 DEC 2005



L29 1 SEA ABB=ON PLU=ON L24

FILE 'TOXCENTER' ENTERED AT 15:57:20 ON 27 DEC 2005

L30 2 SEA ABB=ON PLU=ON L24

FILE 'STNGUIDE' ENTERED AT 16:00:10 ON 27 DEC 2005

FILE 'CAPLUS' ENTERED AT 16:01:02 ON 27 DEC 2005

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L32 68 SEA ABB=ON PLU=ON L20 (L) (BAC OR DMA OR PAC OR PKT OR THU)/RL  
D SCA L1

L33 257164 SEA ABB=ON PLU=ON URIN?/BI

L34 3384738 SEA ABB=ON PLU=ON ?URIN?/BI

L35 76253 SEA ABB=ON PLU=ON ?BLAD?/BI

L\*\*\* DEL 56397 S ?ACETYLCHOLIN?

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L37 16 SEA ABB=ON PLU=ON L32 AND (L34 OR L35 OR L36)

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L39 18 SEA ABB=ON PLU=ON L21 AND (L34 OR L35 OR L36)

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L41 2422 SEA ABB=ON PLU=ON DOI T?/AU

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L46 21 SEA ABB=ON PLU=ON L44 OR L45

FILE 'USPATFULL' ENTERED AT 16:11:49 ON 27 DEC 2005

L47 332 SEA ABB=ON PLU=ON ISHIHARA Y?/AU

L48 448 SEA ABB=ON PLU=ON DOI T?/AU

L49 4 SEA ABB=ON PLU=ON NAGABUKURO H?/AU

L50 3 SEA ABB=ON PLU=ON ISHICHI Y?/AU

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D STAT QUE L51

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L52 23 DUP REM L46 L51 (1 DUPLICATE REMOVED)  
ANSWERS '1-21' FROM FILE CAPLUS  
ANSWERS '22-23' FROM FILE USPATFULL  
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D IBIB ABS HITSTR L52 22-23

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D STAT QUE L24

FILE 'CAPLUS' ENTERED AT 16:19:36 ON 27 DEC 2005  
D QUE NOS L25  
D QUE NOS L31  
D QUE NOS L37

L53 D QUE NOS L38  
D QUE NOS L39  
20 SEA ABB=ON PLU=ON L25 OR L31 OR L37 OR L38 OR L39

FILE 'USPATFULL, USPAT2' ENTERED AT 16:21:18 ON 27 DEC 2005  
D STAT QUE NOS L28

FILE 'PROUSDDR' ENTERED AT 16:21:52 ON 27 DEC 2005  
D STAT QUE NOS L29

FILE 'TOXCENTER' ENTERED AT 16:22:05 ON 27 DEC 2005  
D STAT QUE NOS L30

L54 FILE 'CAPLUS, TOXCENTER, PROUSDDR, USPATFULL, USPAT2' ENTERED AT 16:22:49  
ON 27 DEC 2005  
29 DUP REM L53 L30 L29 L28 (4 DUPLICATES REMOVED)  
ANSWERS '1-20' FROM FILE CAPLUS  
ANSWER '21' FROM FILE PROUSDDR  
ANSWERS '22-29' FROM FILE USPATFULL  
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D IALL L54 21  
D IBIB ABS HITSTR L54 22-29

FILE 'STNGUIDE' ENTERED AT 16:26:01 ON 27 DEC 2005

FILE HOME

FILE CAPLUS

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FILE COVERS 1907 - 27 Dec 2005 VOL 144 ISS 1  
FILE LAST UPDATED: 26 Dec 2005 (20051226/ED)

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FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6  
DICTIONARY FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE STNGUIDE  
FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Dec 23, 2005 (20051223/UP).

FILE USPATFULL  
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Dec 2005 (20051227/PD)  
FILE LAST UPDATED: 27 Dec 2005 (20051227/ED)  
HIGHEST GRANTED PATENT NUMBER: US6981281  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005283878  
CA INDEXING IS CURRENT THROUGH 27 Dec 2005 (20051227/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Dec 2005 (20051227/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

```
>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

## FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 27 Dec 2005 (20051227/PD)  
FILE LAST UPDATED: 27 Dec 2005 (20051227/ED)  
HIGHEST GRANTED PATENT NUMBER: US2004267271  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005283875  
CA INDEXING IS CURRENT THROUGH 27 Dec 2005 (20051227/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Dec 2005 (20051227/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

USPAT2 is a companion file to USPATFULL. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. USPATFULL contains full text of the original published US patents from 1971 to date and the original applications from 2001. In addition, a USPATFULL record for an invention contains a complete list of publications that may be searched in standard search fields, e.g., /PN, /PK, etc.

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Use USPATALL when searching terms such as patent assignees, classifications, or claims, that may potentially change from the earliest to the latest publication.

## FILE PROUSDDR

FILE COVERS 1980 TO 1 Dec 2005 (20051201/ED)

## FILE TOXCENTER

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TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

See <http://www.nlm.nih.gov/mesh/>

[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_med\\_data\\_changes.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html)

[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_2006\\_MeSH.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html)

for a description of changes.